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NEWS 2	DEC 01	ChemPort single article sales feature unavailable
NEWS 3	FEB 02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 4	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 5	FEB 06	Patent sequence location (PSL) data added to USGENE
NEWS 6	FEB 10	COMPENDEX reloaded and enhanced
NEWS 7	FEB 11	WTEXTILES reloaded and enhanced
NEWS 8	FEB 19	New patent-examiner citations in 300,000 CA/CAplus patent records provide insights into related prior art
NEWS 9	FEB 19	Increase the precision of your patent queries -- use terms from the IPC Thesaurus, Version 2009.01
NEWS 10	FEB 23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS 11	FEB 23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS 12	FEB 23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS 13	FEB 23	Three million new patent records blast AEROSPACE into STN patent clusters
NEWS 14	FEB 25	USGENE enhanced with patent family and legal status display data from INPADOCDB
NEWS 15	MAR 06	INPADOCDB and INPAFAMDB enhanced with new display formats
NEWS 16	MAR 11	EPFULL backfile enhanced with additional full-text applications and grants
NEWS 17	MAR 11	ESBIOBASE reloaded and enhanced
NEWS 18	MAR 20	CAS databases on STN enhanced with new super role for nanomaterial substances
NEWS 19	MAR 23	CA/CAplus enhanced with more than 250,000 patent equivalents from China
NEWS 20	MAR 30	IMSPATENTS reloaded and enhanced
NEWS 21	APR 03	CAS coverage of exemplified prophetic substances enhanced
NEWS 22	APR 07	STN is raising the limits on saved answers
NEWS 23	APR 24	CA/CAplus now has more comprehensive patent assignee information
NEWS 24	APR 26	USPATFULL and USPAT2 enhanced with patent assignment/reassignment information
NEWS 25	APR 28	CAS patent authority coverage expanded
NEWS 26	APR 28	ENCOMPLIT/ENCOMPLIT2 search fields enhanced
NEWS 27	APR 28	Limits doubled for structure searching in CAS REGISTRY
NEWS 28	MAY 08	STN Express, Version 8.4, now available
NEWS 29	MAY 11	STN on the Web enhanced

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 NEWS 30  MAY 11  BEILSTEIN substance information now available on
                  STN Easy
 NEWS 31  MAY 14  DGENE, PCTGEN and USGENE enhanced with increased
                  limits for exact sequence match searches and
                  introduction of free HIT display format
 NEWS 32  MAY 15  INPADOCDB and INPAFAMDB enhanced with Chinese legal
                  status data

 NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.

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                                                         ENTRY    SESSION
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=>
Uploading C:\Program Files\Stnexp\Queries\10568148.str
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chain nodes :
26 27 29 30 31 32 33 34 36 37 38 39 40
ring nodes :
1 2 3 4 5 6 7 11 12 13 14 15 16 17 18 19 20 21 22 23
chain bonds :
2-34 2-36 3-37 3-38 4-40 6-39 12-26 15-27 16-29 20-33 21-32 22-31 23-30

ring bonds :
1-2 1-6 1-7 2-3 3-4 4-5 4-7 5-6 11-16 11-12 12-13 13-14 13-17 14-15
14-19 15-16 17-18 18-19 18-20 19-23 20-21 21-22 22-23
exact/norm bonds :
1-2 1-6 1-7 2-3 2-34 2-36 3-4 3-37 3-38 4-5 4-7 4-40 5-6 6-39 12-26
13-17 14-19 15-27 16-29 17-18 20-33 21-32 22-31 23-30
normalized bonds :
11-16 11-12 12-13 13-14 14-15 15-16 18-19 18-20 19-23 20-21 21-22 22-23
isolated ring systems :
containing 1 : 11 :

G1:C,O,S,N

G2:H,CH3,Et

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 11:Atom 12:Atom 13:Atom
14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom
23:Atom 26:CLASS 27:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 33:CLASS
34:CLASS 36:CLASS 37:CLASS 38:CLASS 39:CLASS 40:CLASS

```
L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

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=> s l1 full
FULL SEARCH INITIATED 20:25:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -    55631 TO ITERATE

100.0% PROCESSED    55631 ITERATIONS                              239 ANSWERS
SEARCH TIME: 00.00.01

L2          239 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                         ENTRY    SESSION
FULL ESTIMATED COST                                     185.88     186.10

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FILE LAST UPDATED: 14 May 2009  (20090514/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Feb 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Feb 2009

CAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate

=> s l2 full
L3          34 L2

=> d ibib abs hitstr tot
```

L3 ANSWER 1 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:253368 CAPLUS
DOCUMENT NUMBER: 150:397901
TITLE: NMR enantiodiscrimination phenomena by quinine C9-carbamates
AUTHOR(S): Uccello-Barretta, Gloria; Vanni, Letizia; Balzano, Federica
CORPORATE SOURCE: Dipartimento di Chimica e Chimica Industriale, Universita degli Studi di Pisa, Pisa, 56126, Italy
SOURCE: European Journal of Organic Chemistry (2009), (6), 860-869
CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English

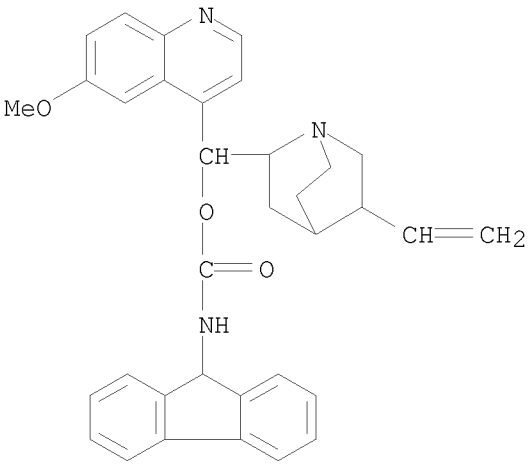
AB Several C9-carbamoyl derivs. of quinine were prepared and compared as chiral solvating agents in NMR enantiodiscrimination expts. of amino acid derivs. The origin of the enantiodiscrimination phenomena was identified by NMR conformational anal. of the chiral auxiliaries and study of solution complexation phenomena.

IT 1137945-57-3 1137945-59-5 1137945-67-5
1137945-86-8 1137945-96-0 1137946-02-1
1137946-13-4 1137946-30-5
RL: FMU (Formation, unclassified); PRP (Properties); FORM (Formation, nonpreparative)
(NMR enantiodiscrimination phenomena by quinine C9-carbamates)

RN 1137945-57-3 CAPLUS
CN D-Alanine, N-(2,2,2-trifluoroacetyl)-, compd. with (8α,9R)-6'-methoxycinchonan-9-yl N-(9H-fluoren-9-yl)carbamate (1:1) (CA INDEX NAME)

CM 1

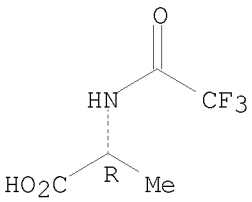
CRN 1137945-38-0
CMF C34 H33 N3 O3



CM 2

CRN 7592-26-9
CMF C5 H6 F3 N O3

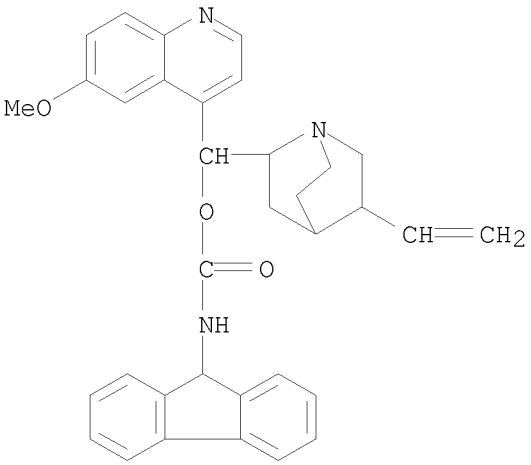
Absolute stereochemistry.



RN 1137945-59-5 CAPLUS
CN L-Alanine, N-(2,2,2-trifluoroacetyl)-, compd. with (8α,9R)-6'-methoxycinchonan-9-yl N-(9H-fluoren-9-yl)carbamate (1:1) (CA INDEX NAME)

CM 1

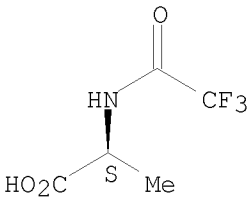
CRN 1137945-38-0
CMF C34 H33 N3 O3



CM 2

CRN 407-23-8
CMF C5 H6 F3 N O3

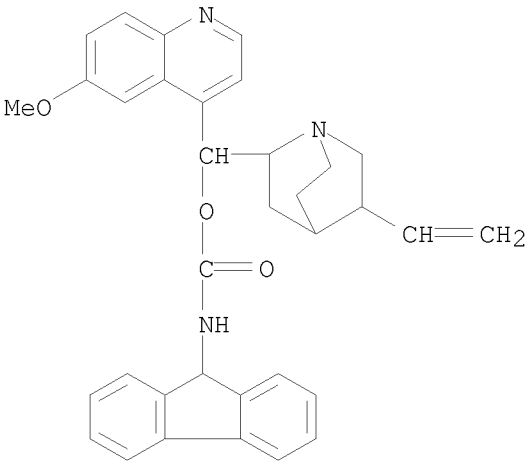
Absolute stereochemistry.



RN 1137945-67-5 CAPLUS
CN L-Valine, N-(2,2,2-trifluoroacetyl)-, compd. with (8α,9R)-6'-methoxycinchonan-9-yl N-(9H-fluoren-9-yl)carbamate (1:1) (CA INDEX NAME)

CM 1

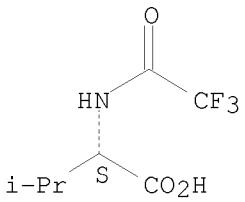
CRN 1137945-38-0
CMF C34 H33 N3 O3



CM 2

CRN 349-00-8
CMF C7 H10 F3 N O3

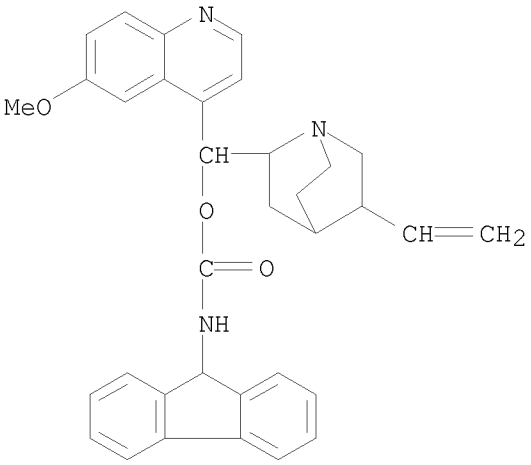
Absolute stereochemistry. Rotation (-).



RN 1137945-86-8 CAPLUS
CN Cinchonan-9-ol, 6'-methoxy-, 9-[N-(9H-fluoren-9-yl)carbamate], compd. with N-[(1S)-2-methyl-1-[(octylamino)carbonyl]propyl]-3,5-dinitrobenzamide (1:1) (CA INDEX NAME)

CM 1

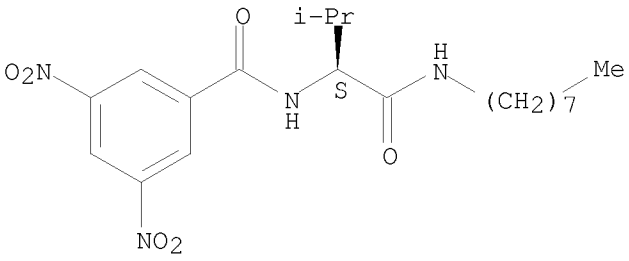
CRN 1137945-38-0
CMF C34 H33 N3 O3



CM 2

CRN 169826-34-0
CMF C20 H30 N4 O6

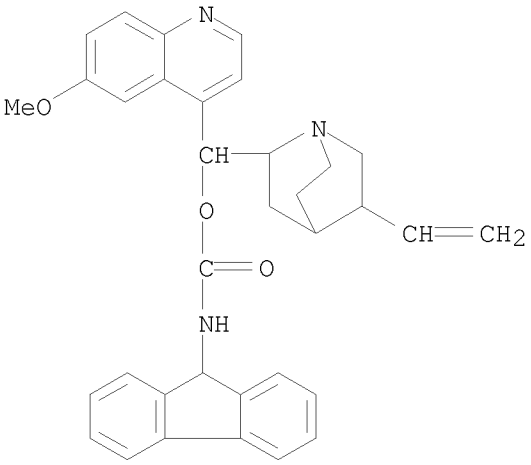
Absolute stereochemistry.



RN 1137945-96-0 CAPLUS
CN L-Phenylalanine, N-(2,2,2-trifluoroacetyl)-, compd. with (8α,9R)-6'-methoxycinchonan-9-yl N-(9H-fluoren-9-yl)carbamate (1:1) (CA INDEX NAME)

CM 1

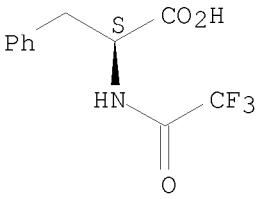
CRN 1137945-38-0
CMF C34 H33 N3 O3



CM 2

CRN 350-09-4
CMF C11 H10 F3 N O3

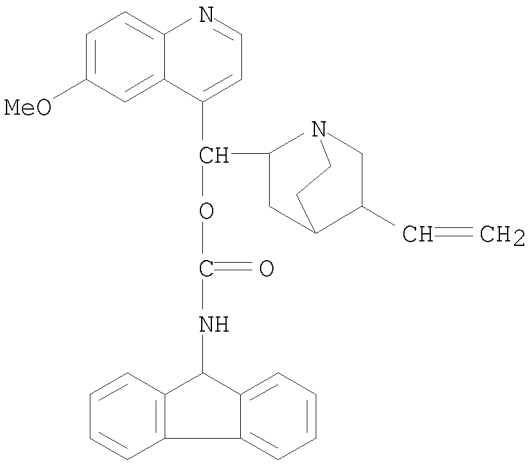
Absolute stereochemistry.



RN 1137946-02-1 CAPLUS
CN L-Leucine, N-(2,2,2-trifluoroacetyl)-, compd. with (8α,9R)-6'-methoxycinchonan-9-yl N-(9H-fluoren-9-yl)carbamate (1:1) (CA INDEX NAME)

CM 1

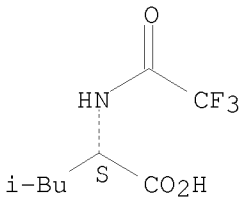
CRN 1137945-38-0
CMF C34 H33 N3 O3



CM 2

CRN 1480-30-4
CMF C8 H12 F3 N O3

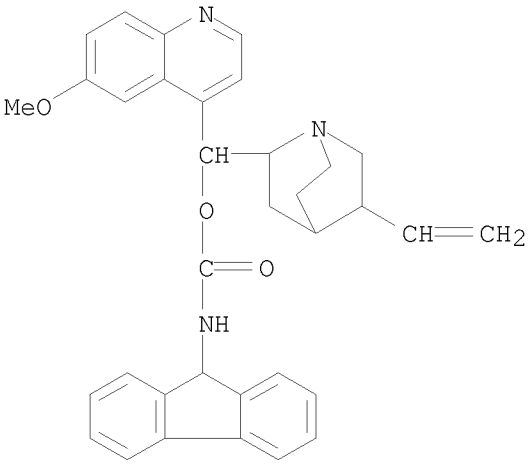
Absolute stereochemistry.



RN 1137946-13-4 CAPLUS
CN L-Methionine, N-(2,2,2-trifluoroacetyl)-, compd. with (8α,9R)-6'-methoxycinchonan-9-yl N-(9H-fluoren-9-yl)carbamate (1:1) (CA INDEX NAME)

CM 1

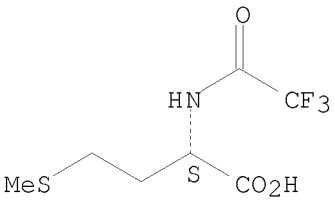
CRN 1137945-38-0
CMF C34 H33 N3 O3



CM 2

CRN 2576-55-8
CMF C7 H10 F3 N O3 S

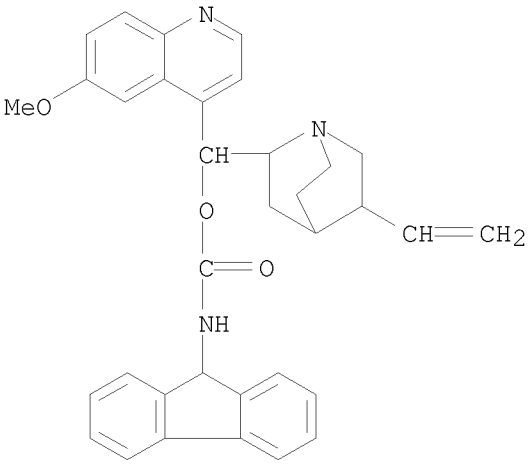
Absolute stereochemistry.



RN 1137946-30-5 CAPLUS
CN L-Alanine, N-(2,2,2-trifluoroacetyl)-, ethyl ester, compd. with (8α,9R)-6'-methoxycinchonan-9-yl N-(9H-fluoren-9-yl)carbamate (1:1) (CA INDEX NAME)

CM 1

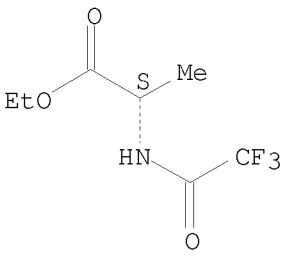
CRN 1137945-38-0
CMF C34 H33 N3 O3



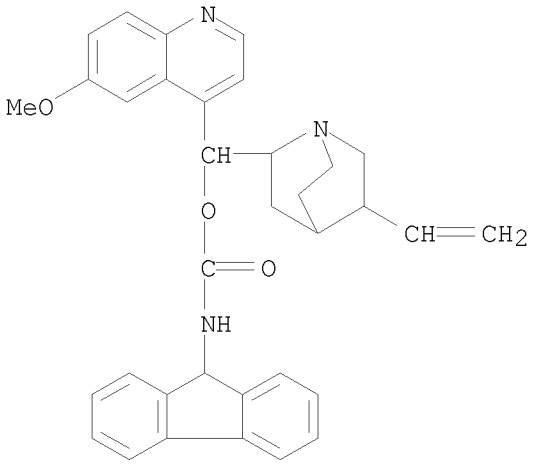
CM 2

CRN 155749-20-5
CMF C7 H10 F3 N O3

Absolute stereochemistry.



IT 1137945-38-0
RL: RCT (Reactant); RACT (Reactant or reagent)
(in chiral shift reagent preparation; NMR enantiodiscrimination phenomena by quinine C9-carbamates)
RN 1137945-38-0 CAPLUS
CN Cinchonan-9-ol, 6'-methoxy-, 9-[N-(9H-fluoren-9-yl)carbamate], (8α,9R)- (CA INDEX NAME)

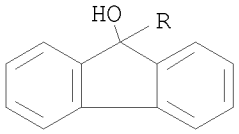


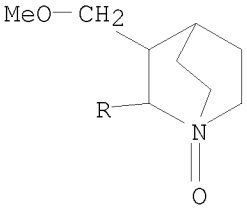
REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1389610 CAPLUS
DOCUMENT NUMBER: 150:121822
TITLE: Directed lithiation on the quinuclidine ring system: the synthesis of 2,3-difunctionalised quinuclidines
AUTHOR(S): O'Neil, Ian A.; Hitchin, James; Bhamra, Inder; Chorlton, Alan P.; Tapolczay, David J.
CORPORATE SOURCE: Robert Robinson Laboratories, Department of Chemistry, University of Liverpool, Liverpool, L69 7ZD, UK
SOURCE: Tetrahedron Letters (2008), 49(52), 7416-7418
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 150:121822

AB Lithiation of 3-(methoxymethyl)quinuclidine N-oxide occurs regioselectively to generate the 2-lithio 3-methoxymethyl derivative, which can be trapped out with non-enolizable electrophiles to give 2,3-disubstituted quinuclidine N-oxides in good yield.

IT 1097635-21-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of quinuclidines by directed lithiation)
RN 1097635-21-6 CAPLUS
CN 9H-Fluoren-9-ol, 9-[3-(methoxymethyl)-1-oxido-1-azabicyclo[2.2.2]oct-2-yl]- (CA INDEX NAME)





REFERENCE COUNT: 22 THERE ARE 22 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

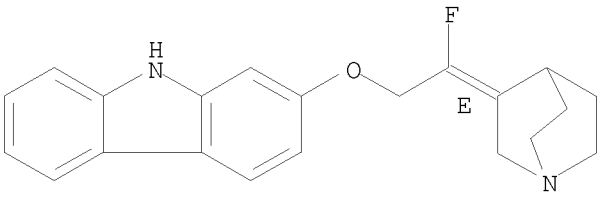
L3 ANSWER 3 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:487396 CAPLUS
DOCUMENT NUMBER: 150:162841
TITLE: Investigation of coenzyme Q biosynthesis in human fibroblast and HepG2 cells
AUTHOR(S): Tekle, Michael; Turunen, Mikael; Dallner, Gustav; Chojnacki, Tadeusz; Swiezewska, Ewa
CORPORATE SOURCE: Department of Biochemistry and Biophysics, Stockholm University, Stockholm, Swed.
SOURCE: Journal of Biochemical and Biophysical Methods (2008), 70(6), 909-917
CODEN: JBBMDG; ISSN: 0165-022X
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Coenzyme Q (CoQ) deficiency occurs in genetic disorders, during aging and various diseases. Diagnosis requires skin fibroblasts in tissue culture. [3H]Mevalonate incorporation was appropriate to measure the rate of CoQ synthesis in fibroblasts and hepatoblastoma cells. [14C]p-Hydroxybenzoate had limited permeability, but it could be increased with Fugene and cyclodextrin. Inhibition of decaprenyl-4-hydroxybenzoatetransferase results in the accumulation of decaprenyl diphosphate, an indicator of enzyme deficiency. Also, anal. of the corresponding mRNAs in this case is useful. In vitro assays to measure trans-prenyltransferase and decaprenyl-4-hydroxybenzoatetransferase activities are not available. Neither measurement of methyltransferases is reliable in human cells. In vitro reconstruction of CoQ synthesis, in opposite to cholesterol synthesis, proved to be unsuccessful. Thus, the biochem. characterization of the CoQ biosynthetic system in human cells is restricted to a few reliable anal. procedures.

IT 182959-33-7, YM-53601
RL: ARG (Analytical reagent use); BUU (Biological use, unclassified); ANST (Analytical study); BIOL (Biological study); USES (Uses)
(coenzyme Q biosynthesis in human fibroblast and HepG2 cells)

RN 182959-33-7 CAPLUS
CN 9H-Carbazole, 2-[(2E)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)-2-fluoroethoxy]-, hydrochloride (1:1) (CA INDEX NAME)

Double bond geometry as shown.



● HCl

REFERENCE COUNT: 42 THERE ARE 42 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 4 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:533750 CAPLUS
DOCUMENT NUMBER: 146:502264
TITLE: Photosensitive polymer compositions with high contrast in development and articles having them
INVENTOR(S): Sakayori, Katsuya; Fukuda, Shunji
PATENT ASSIGNEE(S): Dainippon Printing Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 27pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

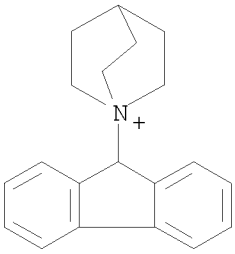
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2007119766	A	20070517	JP 2006-266499	20060929
PRIORITY APPLN. INFO.:			JP 2005-288763 A	20050930

OTHER SOURCE(S): MARPAT 146:502264

AB The compns., useful for coatings, inks, color filters, etc., contain polymer precursors and ammonium compds. decomposing by absorption of electromagnetic waves and releasing lower-mol.-weight amines. Thus, a composition comprising 400 mg 4,4'-diaminodiphenyl ether-pyromellitic dianhydride polyimide precursor, 200 mg ammonium compound having absorption band at 254 nm prepared from 9-bromofluorene and quinuclidine, and NMP was applied on glass, dried, irradiated with UV, immersing in tetramethylammonium hydroxide solution, and imidized to give patterns of exposed areas.

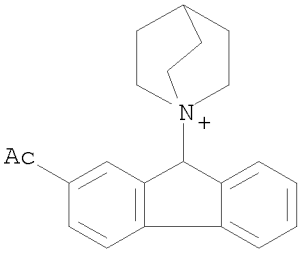
IT 405113-63-5P 936539-22-9P 936539-23-0P
936539-24-1P
RL: IMF (Industrial manufacture); MOA (Modifier or additive use); PREP (Preparation); USES (Uses)
(photobase generator; photobase generator-containing photosensitive polymer compns. with high contrast in development)

RN 405113-63-5 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-(9H-fluoren-9-yl)-, bromide (1:1) (CA INDEX NAME)



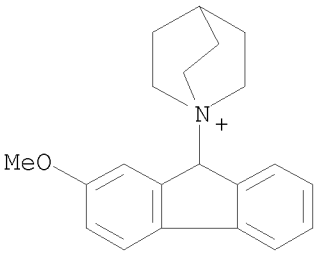
● Br^-

RN 936539-22-9 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-(2-acetyl-9H-fluoren-9-yl)-, bromide (1:1) (CA INDEX NAME)



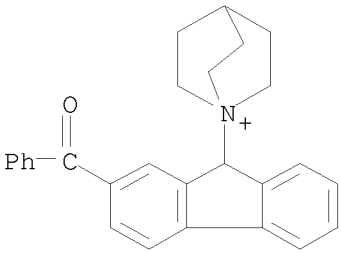
● Br^-

RN 936539-23-0 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-(2-methoxy-9H-fluoren-9-yl)-, bromide (1:1) (CA INDEX NAME)



● Br^-

RN 936539-24-1 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-(2-benzoyl-9H-fluoren-9-yl)-, bromide (1:1) (CA INDEX NAME)



● Br^-

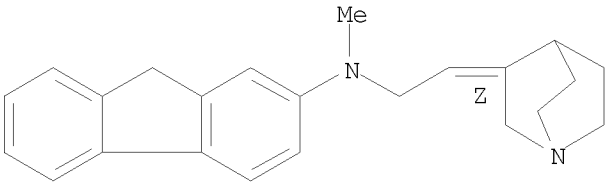
L3 ANSWER 5 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:1090228 CAPLUS
DOCUMENT NUMBER: 146:54693
TITLE: Bridging chemical and biological space: "Target Fishing" using two- and three-dimensional molecular descriptors
AUTHOR(S): Nettles, James H.; Jenkins, Jeremy L.; Bender, Andreas; Deng, Zhan; Davies, John W.; Glick, Meir
CORPORATE SOURCE: Lead Discovery Informatics, Lead Discovery Center, Novartis Institutes for BioMedical Research Inc., Cambridge, MA, 02139, USA
SOURCE: Journal of Medicinal Chemistry (2006), 49(23), 6802-6810
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Bridging chemical and biol. space is the key to drug discovery and development. Typically, cheminformatics methods operate under the assumption that similar chems. have similar biol. activity. Ideally then, one could predict a drug's biol. function(s) given only its chemical structure by similarity searching in libraries of compds. with known activities. In practice, effectively choosing a similarity metric is case dependent. This work compares both two- and three-dimensional (2D) (3D) chemical descriptors as tools for predicting the biol. targets of ligand probes, on the basis of their similarity to reference mols. in a 46,000 compound, biol. annotated chemical database. Overall, we found that the 2D methods employed here outperform the 3D (88% vs. 67% success) in correct target prediction. However, the 3D descriptors proved superior in cases of probes with low structural similarity to other compds. in the database (singletons). Addnl., the 3D method (FEPOPS) shows promise for providing pharmacophoric alignment of the small mols.' chemical features consistent with those seen in exptl. ligand/ receptor complexes. These results suggest that querying annotated chemical databases with a systematic combination of both 2D and 3D descriptors will prove more effective than employing single methods.

IT 591733-19-6 654083-83-7 768357-66-0
RL: PAC (Pharmacological activity); BIOL (Biological study)
(bridging chemical and biol. space using two- and three-dimensional mol. descriptors)

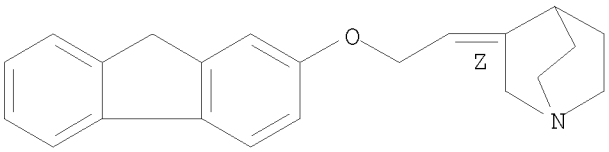
RN 591733-19-6 CAPLUS
CN 9H-Fluoren-2-amine, N-[2-(2Z)-1-azabicyclo[2.2.2]oct-3-ylideneethyl]-N-methyl- (CA INDEX NAME)

Double bond geometry as shown.



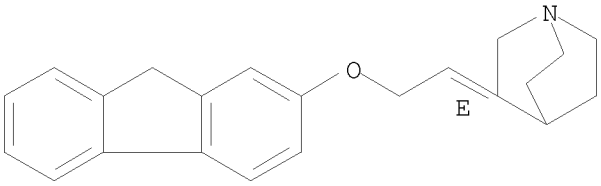
RN 654083-83-7 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-[2-(9H-fluoren-2-yloxy)ethylidene]-, (3Z)- (CA INDEX NAME)

Double bond geometry as shown.



RN 768357-66-0 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-[2-(9H-fluoren-2-yloxy)ethylidene]-, (3E)-
(CA INDEX NAME)

Double bond geometry as shown.



REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:437481 CAPLUS
DOCUMENT NUMBER: 144:468354
TITLE: Preparation of quinuclidine derivatives as muscarinic M3 receptor antagonists
INVENTOR(S): Press, Neil John; Collingwood, Stephen Paul; Baettig, Urs; Cox, Brian; Garad, Sudhakar Devidasrao; Kim, Hyungchul; Papoutsakis, Dimitris; Watson, Simon James
PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
SOURCE: PCT Int. Appl., 68 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2006048225	A1	20060511	WO 2005-EP11662		20051031
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
AU 2005300710	A1	20060511	AU 2005-300710		20051031
CA 2583237	A1	20060511	CA 2005-2583237		20051031
EP 1811999	A1	20070801	EP 2005-798470		20051031
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, HR					
CN 101056634	A	20071017	CN 2005-80038067		20051031
JP 2008518890	T	20080605	JP 2007-538352		20051031
BR 2005017945	A	20081021	BR 2005-17945		20051031
IN 2007DN02750	A	20070803	IN 2007-DN2750		20070412
MX 2007005189	A	20070511	MX 2007-5189		20070430
NO 2007002371	A	20070712	NO 2007-2371		20070508
US 20090048281	A1	20090219	US 2008-577417		20080610
PRIORITY APPLN. INFO.:			GB 2004-24284	A	20041102
			WO 2005-EP11662	W	20051031

OTHER SOURCE(S): CASREACT 144:468354; MARPAT 144:468354
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. [I; when R1, R2 = independently (un)substituted Ph, R3 = H, alkoxy, OH, alkyl, alkylthio; or R1 = R2 = Ph, and R3 = H, alkyl, alkoxy, alkylthio; or R1 = cycloalkyl, 4- to 6-membered heterocycle containing ≥ 1 N, O, S, R2 = (un)substituted Ph, and R3 = H, OH, alkyl, alkoxy, alkylthio; or CR1R2R3 = 9-hydroxy/9H-fluoren-9-yl, 9H-xanthen-9-yl, etc., and R4 = alkyl substituted at 1-3 positions by CON(R5)R6 where R5 = H, alkyl, and R6 = 4- to 6-membered heterocyclyl; or R1 = R2 = Ph, R3 = OH, and R4 = alkyl, substituted at 1-3 positions by CON(R5)R6 where R6 = 5-methyl-3-isoxazolyl; or R1 = R2 = Ph, R3 = OH, and

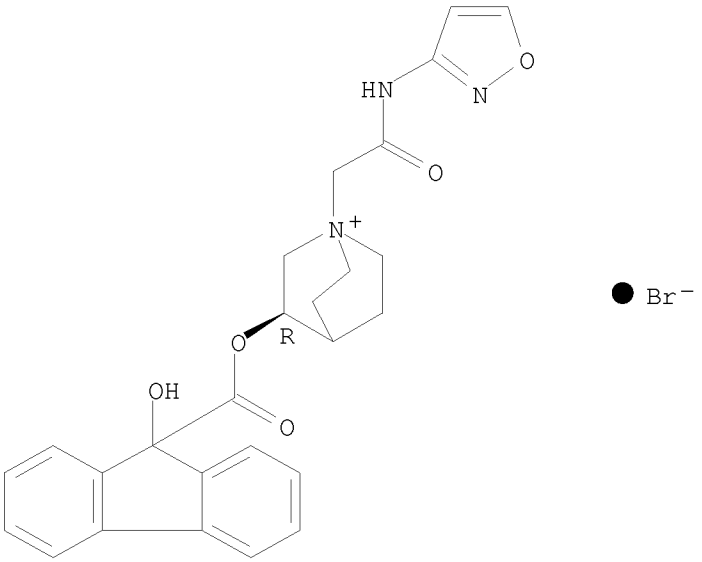
R4 = 1-Et substituted at 1-3 positions by CON(R5)R6 where R6 = 4- to 6-membered heterocyclyl; with the exception of specified compds.], in salt or zwitterionic form, were prepared as muscarinic M3 receptor antagonists (data) for treatment inflammatory or obstructive airways diseases (no data). Thus, II•Br- was prepared in 3 steps from bromoacethyl bromide and 3-aminoisoxazole (no data for intermediates). In a competitive filtration binding assay, I had Ki values < 1 μM at the human muscarinic acetylcholine M3 receptor.

IT 886490-66-0P 886490-98-8P 886491-22-1P
886491-23-2P 886491-43-6P 886491-44-7P
886491-73-2P 886491-79-8P 886491-87-8P
886492-05-3P 886492-13-3P 886492-31-5P
886492-48-4P 886492-54-2P 886492-67-7P
886492-89-3P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of quinuclidine derivs. as muscarinic M3 receptor antagonists)

RN 886490-66-0 CAPLUS

CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-1-[2-(3-isoxazolylamino)-2-oxoethyl]-, bromide (1:1), (3R)- (CA INDEX NAME)

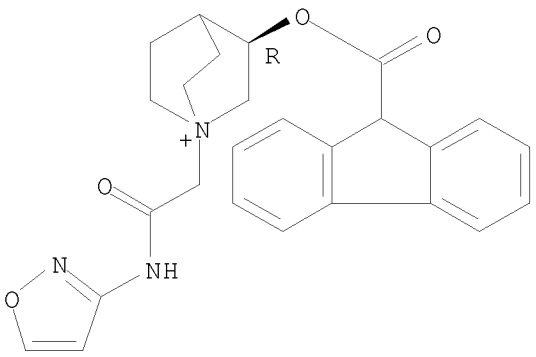
Absolute stereochemistry.



RN 886490-98-8 CAPLUS

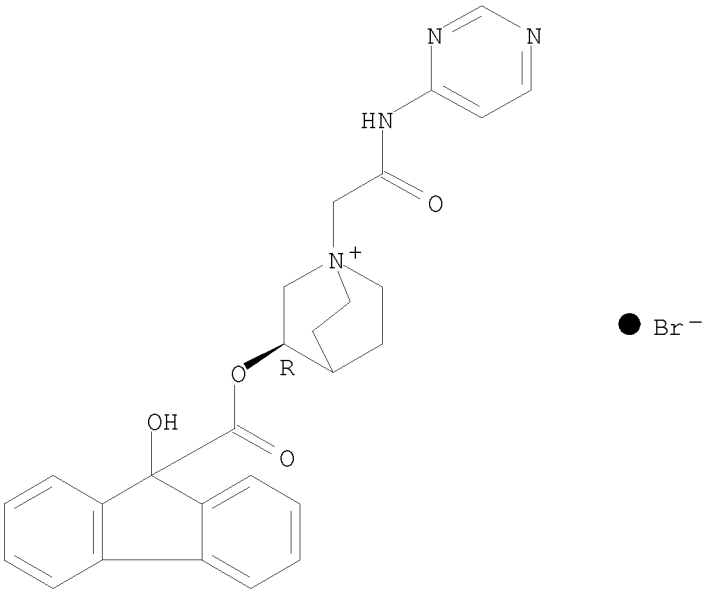
CN 1-Azoniabicyclo[2.2.2]octane, 3-[(9H-fluoren-9-ylcarbonyl)oxy]-1-[2-(3-isoxazolylamino)-2-oxoethyl]-, bromide (1:1), (3R)- (CA INDEX NAME)

Absolute stereochemistry.



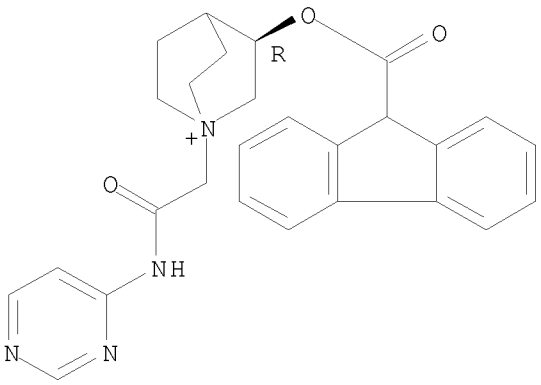
RN 886491-22-1 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-1-[2-oxo-2-(4-pyrimidinylamino)ethyl]-, bromide (1:1), (3R)- (CA INDEX NAME)

Absolute stereochemistry.



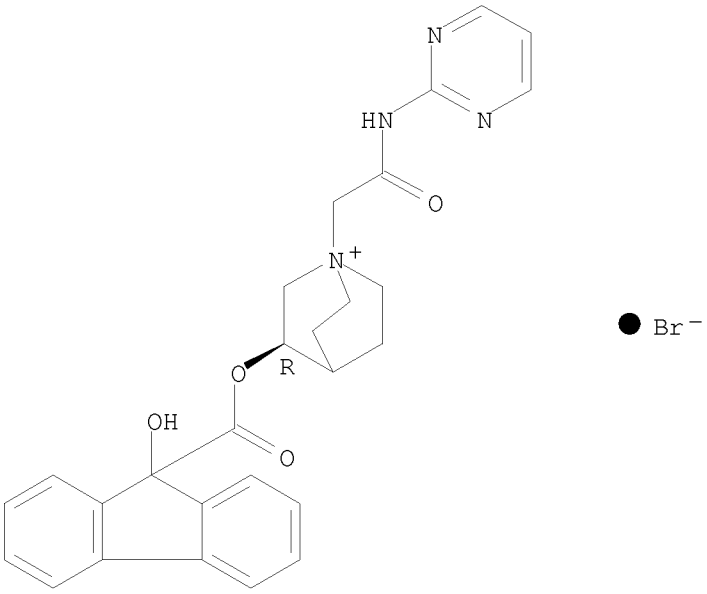
RN 886491-23-2 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[(9H-fluoren-9-ylcarbonyl)oxy]-1-[2-oxo-2-(4-pyrimidinylamino)ethyl]-, bromide (1:1), (3R)- (CA INDEX NAME)

Absolute stereochemistry.



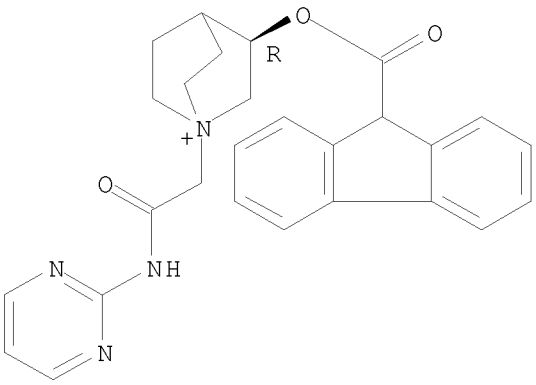
RN 886491-43-6 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-1-[2-oxo-2-(2-pyrimidinylamino)ethyl]-, bromide (1:1), (3R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 886491-44-7 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[(9H-fluoren-9-ylcarbonyl)oxy]-1-[2-oxo-2-(2-pyrimidinylamino)ethyl]-, bromide (1:1), (3R)- (CA INDEX NAME)

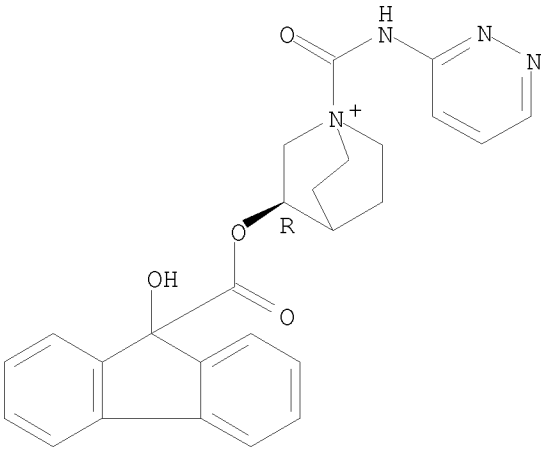
Absolute stereochemistry.



● Br^-

RN 886491-73-2 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-1-[(3-pyridazinylamino)carbonyl]-, bromide (1:1), (3R)- (CA INDEX NAME)

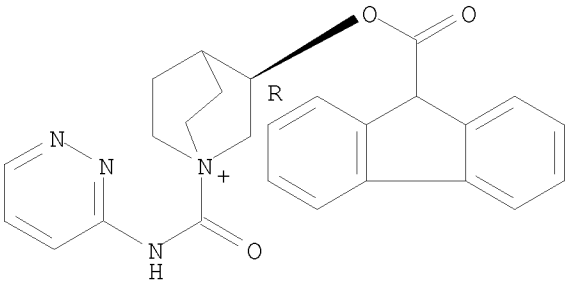
Absolute stereochemistry.



● Br^-

RN 886491-79-8 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[(9H-fluoren-9-ylcarbonyl)oxy]-1-[(3-pyridazinylamino)carbonyl]-, bromide (1:1), (3R)- (CA INDEX NAME)

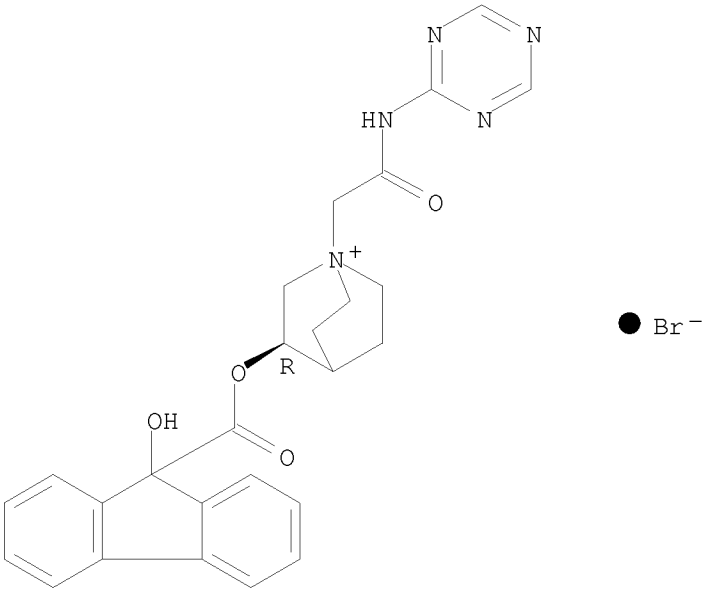
Absolute stereochemistry.



● Br^-

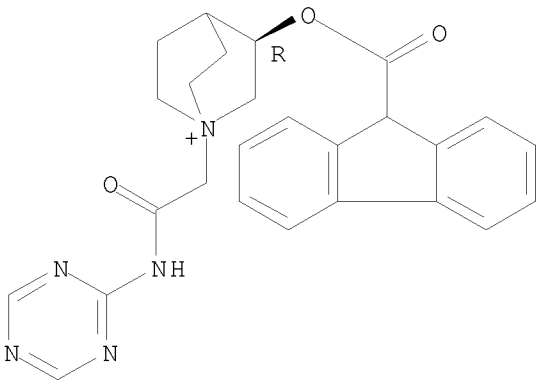
RN 886491-87-8 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-1-[2-oxo-2-(1,3,5-triazin-2-ylamino)ethyl]-, bromide (1:1), (3R)- (CA INDEX NAME)

Absolute stereochemistry.



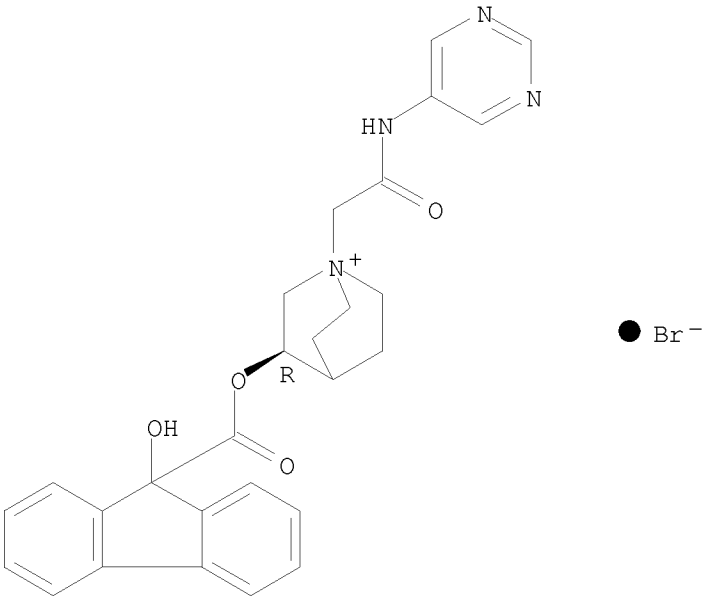
RN 886492-05-3 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[(9H-fluoren-9-ylcarbonyl)oxy]-1-[2-oxo-2-(1,3,5-triazin-2-ylamino)ethyl]-, bromide (1:1), (3R)- (CA INDEX NAME)

Absolute stereochemistry.



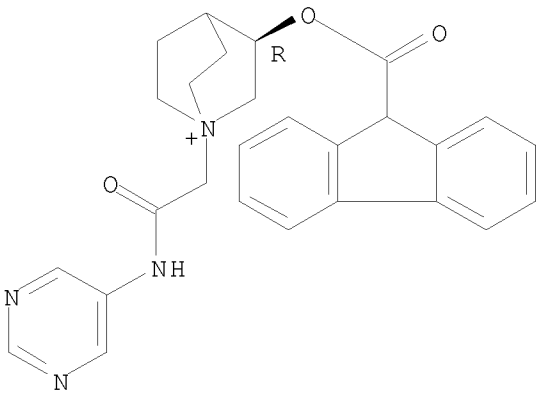
RN 886492-13-3 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-1-[2-oxo-2-(5-pyrimidinylamino)ethyl]-, bromide (1:1), (3R)- (CA INDEX NAME)

Absolute stereochemistry.



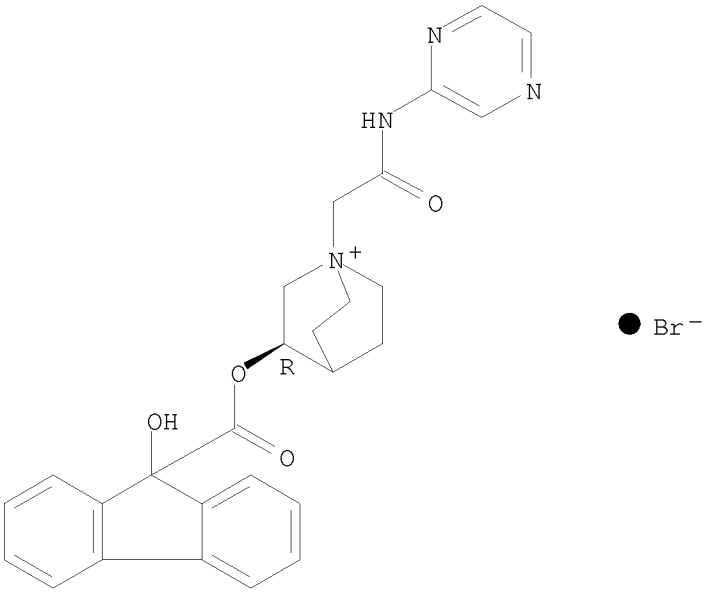
RN 886492-31-5 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[(9H-fluoren-9-ylcarbonyl)oxy]-1-[2-oxo-2-(5-pyrimidinylamino)ethyl]-, bromide (1:1), (3R)- (CA INDEX NAME)

Absolute stereochemistry.



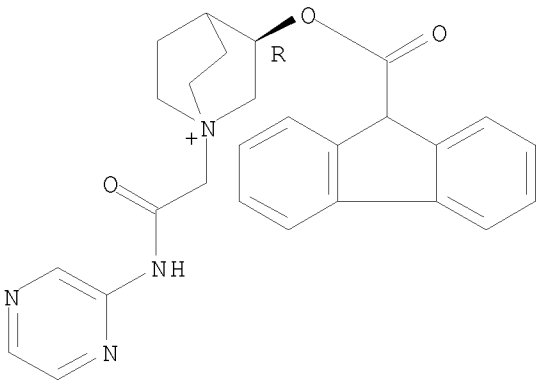
RN 886492-48-4 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-1-[2-oxo-2-(2-pyrazinylamino)ethyl]-, bromide (1:1), (3R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 886492-54-2 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[(9H-fluoren-9-ylcarbonyl)oxy]-1-[2-oxo-2-(2-pyrazinylamino)ethyl]-, bromide (1:1), (3R)- (CA INDEX NAME)

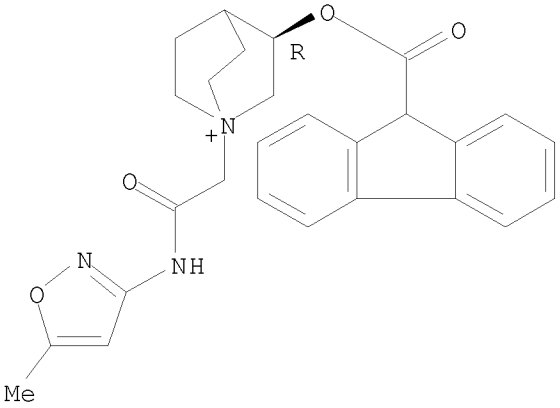
Absolute stereochemistry.



● Br^-

RN 886492-67-7 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[(9H-fluoren-9-ylcarbonyl)oxy]-1-[2-[(5-methyl-3-isoxazolyl)amino]-2-oxoethyl]-, bromide (1:1), (3R)- (CA INDEX NAME)

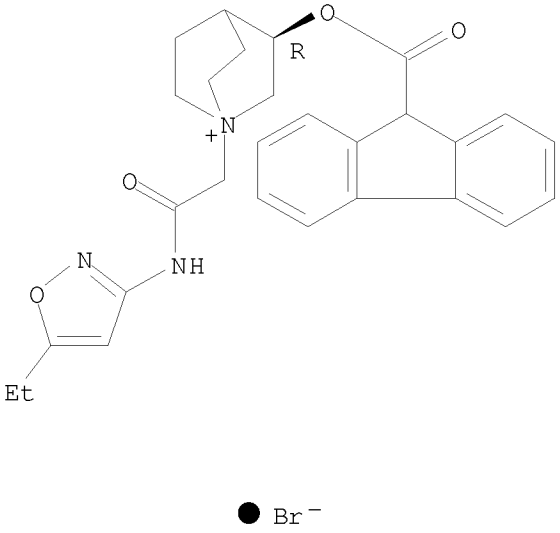
Absolute stereochemistry.



● Br^-

RN 886492-89-3 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-[2-[(5-ethyl-3-isoxazolyl)amino]-2-oxoethyl]-3-[(9H-fluoren-9-ylcarbonyl)oxy]-, bromide (1:1), (3R)- (CA INDEX NAME)

Absolute stereochemistry.

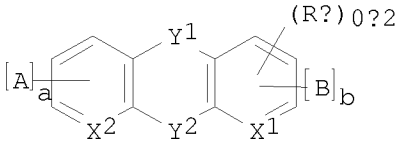


REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1132908 CAPLUS
DOCUMENT NUMBER: 143:405799
TITLE: Preparation of amino-substituted tricyclic derivatives as modulators of α7 nicotinic receptors and methods of use
INVENTOR(S): Schrimpf, Michael R.; Sippy, Kevin B.; Ji, Jianguo; Li, Tao; Frost, Jennifer M.; Briggs, Clark A.; Bunnelle, William H.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: U.S. Pat. Appl. Publ., 90 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050234031	A1	20051020	US 2005-51437	20050204
US 7365193	B2	20080429		
US 20080161281	A1	20080703	US 2008-46599	20080312

PRIORITY APPLN. INFO.: US 2004-541651P P 20040204
US 2005-51437 A1 20050204
OTHER SOURCE(S): CASREACT 143:405799; MARPAT 143:405799
GI



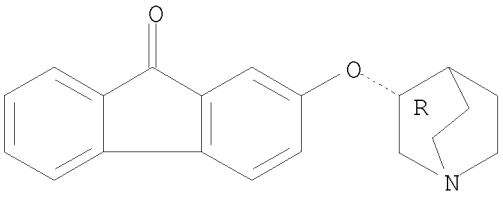
I

AB The title compds. I [A and B = H, halo, alkoxy, amino, etc.; X1, X2 = C, CH, N; provided that when one of X1 and X2 = N, the other + C or CH; Y1 = C(O), CH2, CH(OH), C(S), etc.; Y2 is a bond or Y2 = O, S, and N(R12); R12 = H, alkyl; Rx = H, halo, alkoxy, amino, alkylamino, dialkylamino, acylamino, dialkylaminoalkyl, and cyano; a = 0-1; b = 0-1; provided that when one of a and b = 0, the other = 1] and compns. containing I are contemplated as well as methods for treating conditions or disorders prevented by or ameliorated by α7 nAChR ligands that encompass compds. I and other tricyclic derivs. Compds. I had Ki values of from .apprx.1 nM to .apprx.10 μM when tested by the [3H]-methyllycaconitine binding assay, many having a Ki of <1 μM. (3H)-Cytisine binding values of I ranged from .apprx.50 nM to at least 100 μM. Preferred compds. typically exhibited greater potency at α7 receptors compared to α4β2 receptors. Although the methods of preparation are not claimed, 67 example prepns. are included. For example, 2,7-bis[((2R)-1-methylpyrrolidin-2-yl)methoxy]fluoren-9-one di-p-toluenesulfonate was prepared in 4 steps (54, 89, 26 and 74 % yields) starting from 2,7-dihydroxyfluoren-9-one, (2R)-(+)-1-Boc-2-pyrrolidinemethanol and involving intermediates 2,7-bis[((2R)-1-Boc-pyrrolidin-2-yl)methoxy]fluoren-9-one, 2,7-bis[((2R)-pyrrolidin-2-yl)methoxy]fluoren-9-one, and 2,7-bis[((2R)-1-methylpyrrolidin-2-yl)methoxy]fluoren-9-one.
IT 861118-25-4P, 2-[[(3R)-1-Azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one 861118-29-8P, 2-[[(3S)-1-Azabicyclo[2.2.2]octan-3-

yl]oxy]fluoren-9-one
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of amino-substituted tricyclic derivs. as modulators of α7 nicotinic receptors and methods of use)

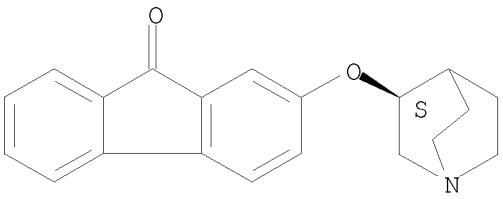
RN 861118-25-4 CAPLUS
CN 9H-Fluoren-9-one, 2-[(3R)-1-azabicyclo[2.2.2]oct-3-yloxy]- (CA INDEX NAME)

Absolute stereochemistry.



RN 861118-29-8 CAPLUS
CN 9H-Fluoren-9-one, 2-[(3S)-1-azabicyclo[2.2.2]oct-3-yloxy]- (CA INDEX NAME)

Absolute stereochemistry.



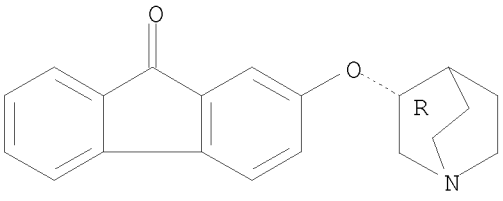
IT 861118-28-7P, 2-[[(3R)-1-Azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one p-toluenesulfonate 861118-30-1P, 2-[[(3S)-1-Azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one fumarate 861118-93-6P, 2-[(1-Azabicyclo[2.2.2]octan-3-yl)oxy]-9H-carbazole
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of amino-substituted tricyclic derivs. as modulators of α7 nicotinic receptors and methods of use)

RN 861118-28-7 CAPLUS
CN 9H-Fluoren-9-one, 2-[(3R)-1-azabicyclo[2.2.2]oct-3-yloxy]-, 4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CM 1

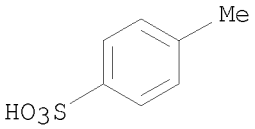
CRN 861118-25-4
CMF C20 H19 N O2

Absolute stereochemistry.



CM 2

CRN 104-15-4
CMF C7 H8 O3 S

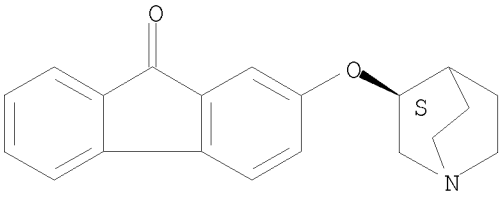


RN 861118-30-1 CAPLUS
CN 9H-Fluoren-9-one, 2-[(3S)-1-azabicyclo[2.2.2]oct-3-yloxy]-, (2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 861118-29-8
CMF C20 H19 N O2

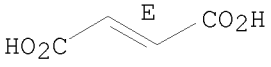
Absolute stereochemistry.



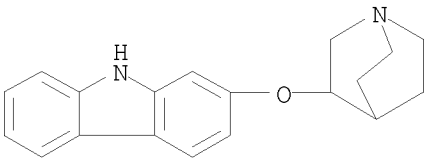
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



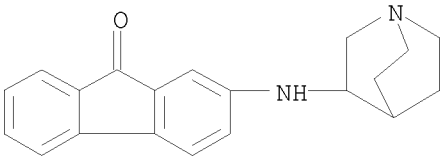
RN 861118-93-6 CAPLUS
CN 9H-Carbazole, 2-(1-azabicyclo[2.2.2]oct-3-yloxy)- (CA INDEX NAME)



IT 867373-89-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of amino-substituted tricyclic derivs. as modulators of α7 nicotinic receptors and methods of use)
RN 867373-89-5 CAPLUS
CN 9H-Fluoren-9-one, 2-(1-azabicyclo[2.2.2]oct-3-ylamino)-, 4-methylbenzenesulfonate (1:2) (CA INDEX NAME)

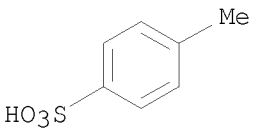
CM 1

CRN 867373-88-4
CMF C20 H20 N2 O

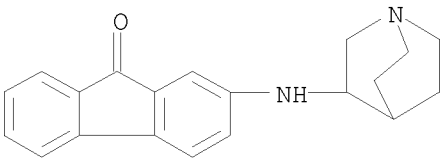


CM 2

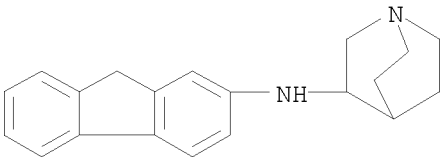
CRN 104-15-4
CMF C7 H8 O3 S



IT 867373-88-4P 867373-99-7P 867374-00-3P
867374-01-4P 867374-02-5P 867374-03-6P
867374-04-7P 867374-05-8P 867374-06-9P
867374-07-0P 867374-65-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of amino-substituted tricyclic derivs. as modulators of α7 nicotinic receptors and methods of use)
RN 867373-88-4 CAPLUS
CN 9H-Fluoren-9-one, 2-(1-azabicyclo[2.2.2]oct-3-ylamino)- (CA INDEX NAME)



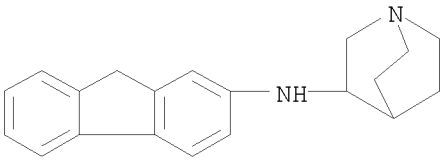
RN 867373-99-7 CAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-amine, N-9H-fluoren-2-yl- (CA INDEX NAME)



RN 867374-00-3 CAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-amine, N-9H-fluoren-2-yl-,
4-methylbenzenesulfonate (1:2) (CA INDEX NAME)

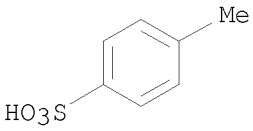
CM 1

CRN 867373-99-7
CMF C20 H22 N2



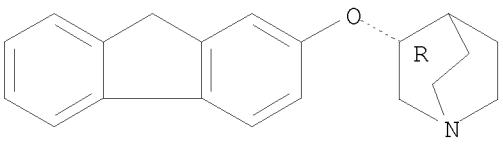
CM 2

CRN 104-15-4
CMF C7 H8 O3 S



RN 867374-01-4 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-(9H-fluoren-2-yloxy)-, hydrochloride (1:1),
(3R)- (CA INDEX NAME)

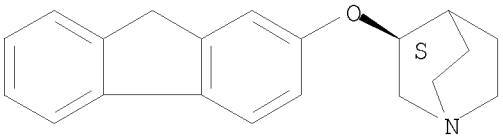
Absolute stereochemistry.



● HCl

RN 867374-02-5 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-(9H-fluoren-2-yloxy)-, hydrochloride (1:1), (3S)- (CA INDEX NAME)

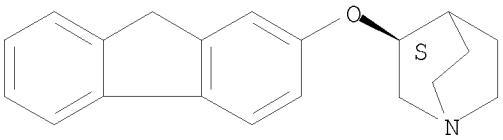
Absolute stereochemistry.



● HCl

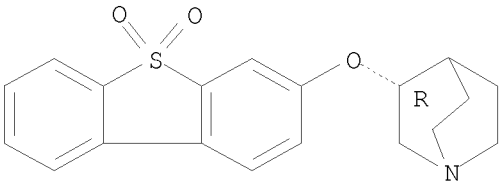
RN 867374-03-6 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-(9H-fluoren-2-yloxy)-, (3S)- (CA INDEX NAME)

Absolute stereochemistry.



RN 867374-04-7 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-[(5,5-dioxido-3-dibenzothienyl)oxy]-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.

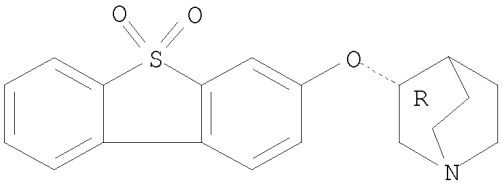


RN 867374-05-8 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-[(5,5-dioxido-3-dibenzothienyl)oxy]-, (3R)-, 4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CM 1

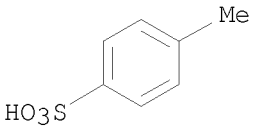
CRN 867374-04-7
CMF C19 H19 N O3 S

Absolute stereochemistry.



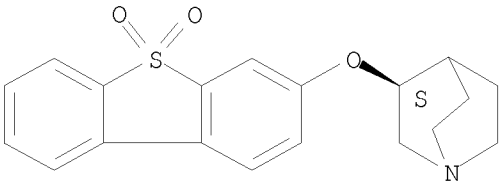
CM 2

CRN 104-15-4
CMF C7 H8 O3 S



RN 867374-06-9 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-[(5,5-dioxido-3-dibenzothienyl)oxy]-, (3S)-
(CA INDEX NAME)

Absolute stereochemistry.

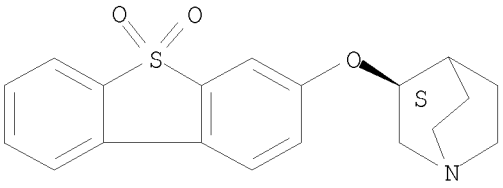


RN 867374-07-0 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-[(5,5-dioxido-3-dibenzothienyl)oxy]-, (3S)-,
4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CM 1

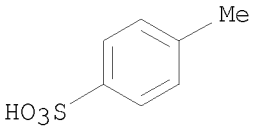
CRN 867374-06-9
CMF C19 H19 N O3 S

Absolute stereochemistry.



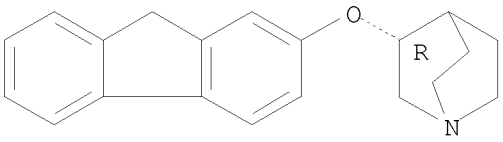
CM 2

CRN 104-15-4
CMF C7 H8 O3 S



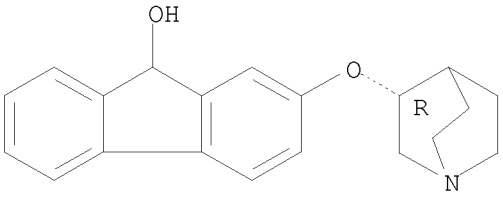
RN 867374-65-0 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-(9H-fluoren-2-yloxy)-, (3R)- (CA INDEX NAME)

Absolute stereochemistry.



IT 867374-48-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of amino-substituted tricyclic derivs. as modulators of α7 nicotinic receptors and methods of use)
RN 867374-48-9 CAPLUS
CN 9H-Fluoren-9-ol, 2-[(3R)-1-azabicyclo[2.2.2]oct-3-yloxy]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 111 THERE ARE 111 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:1042242 CAPLUS
DOCUMENT NUMBER: 143:326495
TITLE: Preparation of quaternized quinuclidine esters as antimuscarinic agents
INVENTOR(S): Fernandez Forner, Maria Dolors; Prat Quinones, Maria; Buil Albero, Maria Antonia
PATENT ASSIGNEE(S): Almirall Prodesfarma, S. A., Spain
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2005090342	A1	20050929	WO 2005-EP2523		20050310
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW					
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG					
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CA 2560157	A1	20050929	CA 2005-2560157		20050310
EP 1725552	A1	20061129	EP 2005-729711		20050310
EP 1725552	B1	20080625			
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BR 2005008177	A	20070807	BR 2005-8177		20050310
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NO 2006004659	A	20061213	NO 2006-4659		20061013
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PRIORITY APPLN. INFO.:			ES 2004-638	A	20040315
			WO 2005-EP2523	W	20050310

OTHER SOURCE(S): CASREACT 143:326495; MARPAT 143:326495
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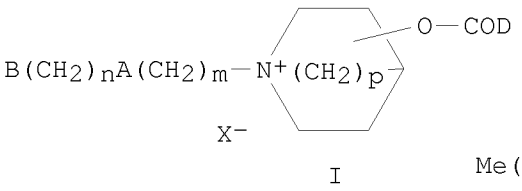

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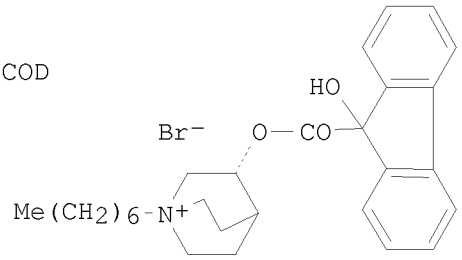


II

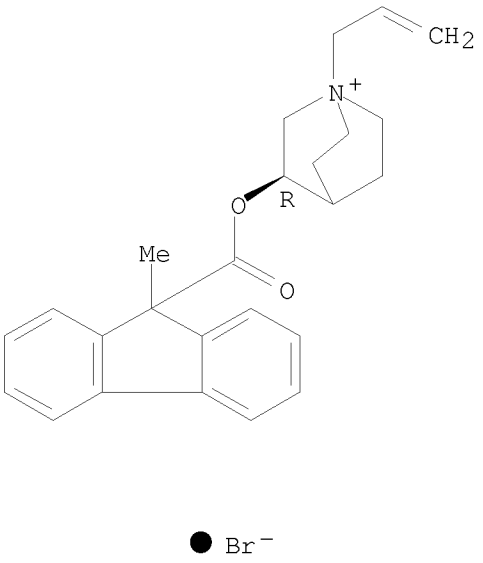
AB Quaternized esters of formula I [A = CH2, (substituted) CH=CH, O, CO, etc.; B = H, OH, alkyl, alkoxy, acyl, etc.; D = CR1R2R3, (substituted) tricyclic group; R1-R3 = H, Ph, thienyl, furyl, cycloalkyl, Me, OH, CH2OH, etc.; X = anion of mono or polyvalent acid; n = 0-4; m = 0-8; p = 1-2] are prepared the treatment of respiratory, urol. or gastrointestinal disorders or diseases. The invention also relates to a process for their preparation, to pharmaceutical compns. comprising them, as well as to combinations with other compds. which are active in the treatment of respiratory, urol. or gastrointestinal disorders or diseases. Thus, II was prepared from 1-bromoheptane and 9-hydroxy-9H-fluorene-9-carboxylic acid (3R)-1-azabicyclo[2.2.2]oct-3-yl ester (preparation given) in 85.5% yield.

IT 865377-98-6P 865377-99-7P 865378-00-3P
865378-01-4P 865378-02-5P 865378-03-6P
865378-04-7P 865378-35-4P 865378-36-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quaternized quinuclidine esters as antimuscarinic agents)

RN 865377-98-6 CAPLUS

CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-methyl-9H-fluoren-9-yl)carbonyl]oxy]-1-(2-propen-1-yl)-, bromide (1:1), (3R)- (CA INDEX NAME)

Absolute stereochemistry.



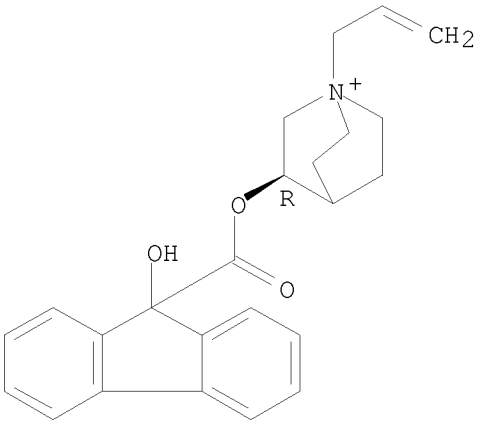
● Br⁻

RN 865377-99-7 CAPLUS

CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-

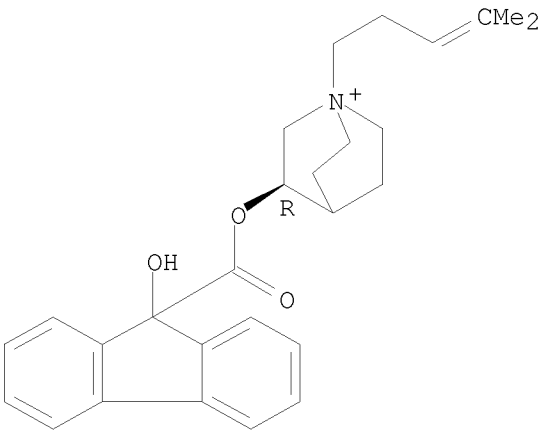
1-(2-propen-1-yl)-, bromide (1:1), (3R)- (CA INDEX NAME)

Absolute stereochemistry.



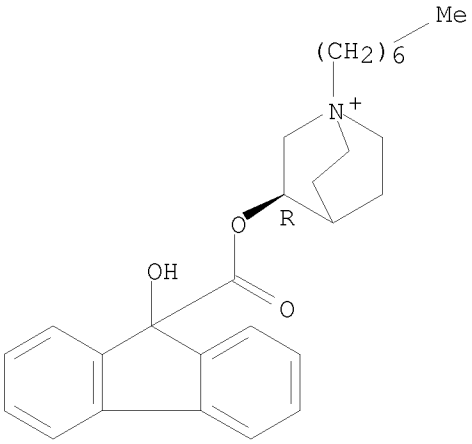
RN 865378-00-3 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-1-(4-methyl-3-penten-1-yl)-, bromide (1:1), (3R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 865378-01-4 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-heptyl-3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-, bromide (1:1), (3R)- (CA INDEX NAME)

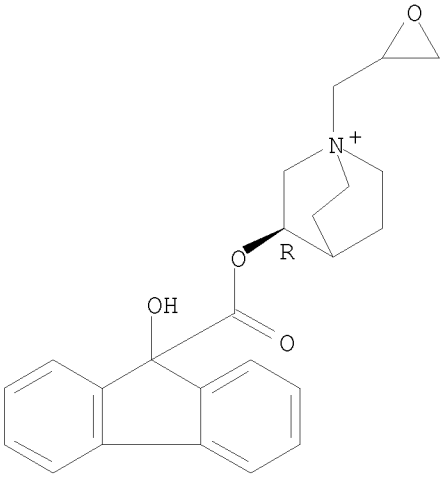
Absolute stereochemistry.



● Br^-

RN 865378-02-5 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-1-(2-oxiranylmethyl)-, bromide (1:1), (3R)- (CA INDEX NAME)

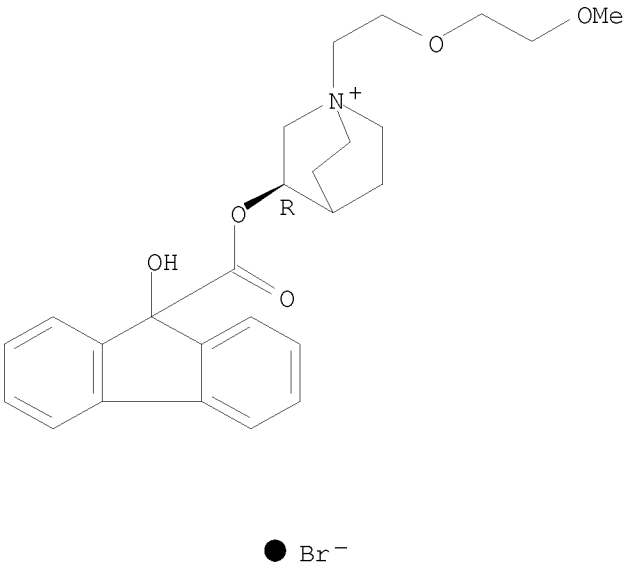
Absolute stereochemistry.



● Br^-

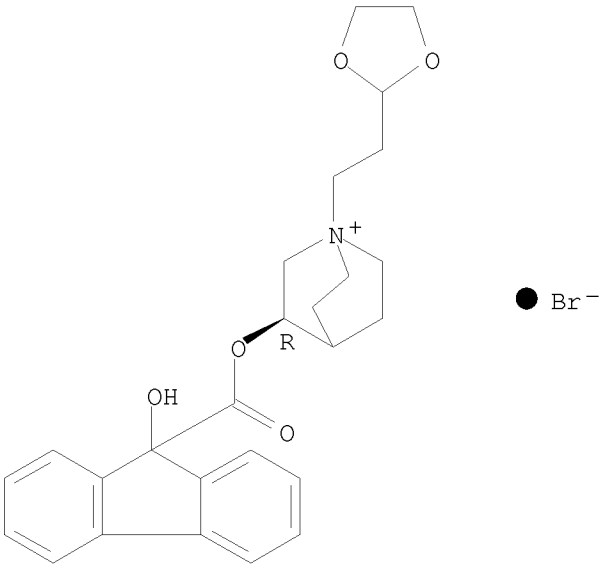
RN 865378-03-6 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-1-[2-(2-methoxyethoxy)ethyl]-, bromide (1:1), (3R)- (CA INDEX NAME)

Absolute stereochemistry.



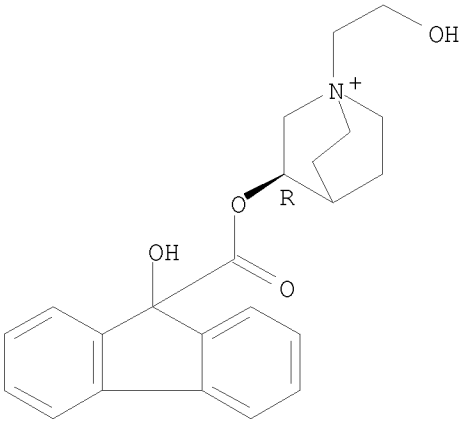
RN 865378-04-7 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-[2-(1,3-dioxolan-2-yl)ethyl]-3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-, bromide (1:1), (3R)- (CA INDEX NAME)

Absolute stereochemistry.



RN 865378-35-4 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-(2-hydroxyethyl)-3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-, bromide (1:1), (3R)- (CA INDEX NAME)

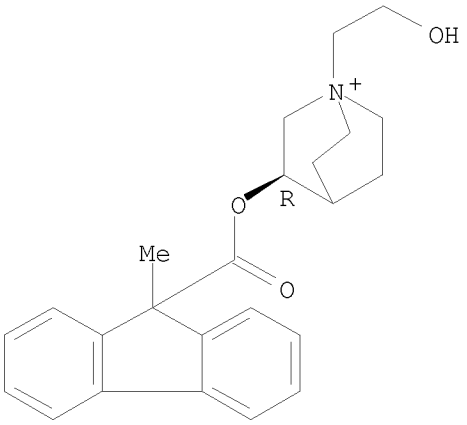
Absolute stereochemistry.



● Br^-

RN 865378-36-5 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-(2-hydroxyethyl)-3-[[(9-methyl-9H-fluoren-9-yl)carbonyl]oxy]-, bromide (1:1), (3R)- (CA INDEX NAME)

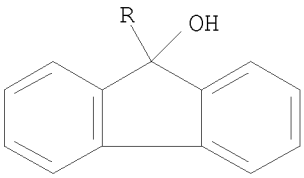
Absolute stereochemistry.

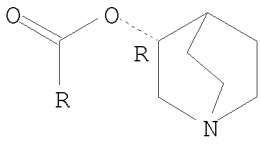


● Br^-

IT 221671-34-7P 320348-02-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of quaternized quinuclidine esters as antimuscarinic agents)
RN 221671-34-7 CAPLUS
CN 9H-Fluorene-9-carboxylic acid, 9-hydroxy-, (3R)-1-azabicyclo[2.2.2]oct-3-yl ester (CA INDEX NAME)

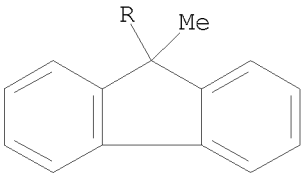
Absolute stereochemistry.

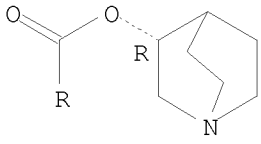




RN 320348-02-5 CAPLUS
CN 9H-Fluorene-9-carboxylic acid, 9-methyl-, (3R)-1-azabicyclo[2.2.2]oct-3-yl ester (CA INDEX NAME)

Absolute stereochemistry.



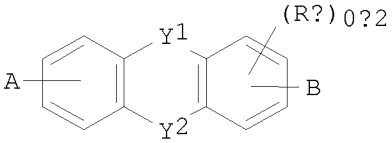


REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 9 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:698355 CAPLUS
DOCUMENT NUMBER: 143:172757
TITLE: Preparation of amino-substituted tricyclic derivatives as modulators of α7 nicotinic receptors and methods of use
INVENTOR(S): Schrimpf, Michael R.; Sippy, Kevin B.; Ji, Jianguo; Li, Tao; Pace, Jennifer M.; Briggs, Clark A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 67 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050171079	A1	20050804	US 2004-772192	20040204
CA 2555884	A1	20050825	CA 2005-2555884	20050204
WO 2005077899	A2	20050825	WO 2005-US3578	20050204
WO 2005077899	A3	20051201		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, SM				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1711463	A2	20061018	EP 2005-712865	20050204
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, IS				
JP 2007523899	T	20070823	JP 2006-552264	20050204
MX 2006008817	A	20061106	MX 2006-8817	20060803

PRIORITY APPLN. INFO.: US 2004-772192 A 20040204
WO 2005-US3578 W 20050204
OTHER SOURCE(S): CASREACT 143:172757; MARPAT 143:172757
GI



I

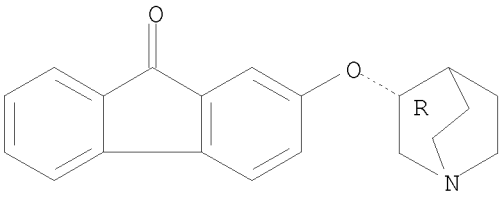
AB Amino-substituted tricyclic derivs. (shown as I; variables defined below; e.g. 2,7-Bis[((2R)-1-methylpyrrolidin-2-yl)methoxy]fluoren-9-one di-p-toluenesulfonate (II)) and compns. containing I are contemplated as well as methods for treating conditions or disorders prevented by or ameliorated by α7 nAChR ligands that encompass compds. I and other tricyclic derivs. Compds. I had Ki values of from .apprx.1 nM to .apprx.10 μM when tested by the [3H]-methyllycaconitine binding assay, many having a Ki of <1 μM. (3H)-Cytisine binding values of I ranged from .apprx.50 nM to at least 100 μM. Preferred compds. typically exhibited greater potency at α7 receptors compared to

α4β2 receptors. For I: A and B = H, halogen, alkoxy, amino, alkylamino, acylamino, dialkylamino, cyano, nitro, and -SO3H, -C.tplbond.CCH2NR7R8 and -O-[C(R20)2-3N(R21)(R22)], et al.; Y1 = -C(O)-, -CH2-, -CH(OH)-, -C(S)-, -N(R11)-, -O-, -S-, -S(O)-, -S(O)2-, -C(O)NH-, and -S(O)2NH-; Y2 is a bond or Y2 = -O-, -S-, and -N(R12)-; Rx = H, halogen, alkoxy, amino, alkylamino, dialkylamino, acylamino, dialkylaminoalkyl, and cyano; addnl. details including provisos are given in the claims. Although the methods of preparation are not claimed, 22 example prepns. are included. For example, II was prepared in 4 steps (54, 89, 26 and 74 % yields) starting from 2,7-dihydroxyfluoren-9-one, (2R)-(+)-1-Boc-2-pyrrolidinemethanol and involving intermediates 2,7-bis[((2R)-1-Boc-pyrrolidin-2-yl)methoxy]fluoren-9-one, 2,7-bis[((2R)-pyrrolidin-2-yl)methoxy]fluoren-9-one, and 2,7-bis[((2R)-1-methylpyrrolidin-2-yl)methoxy]fluoren-9-one.

IT 861118-25-4P, 2-[[(3R)-1-Azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one 861118-29-8P, 2-[[(3S)-1-Azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; preparation of amino-substituted tricyclic derivs. as modulators of α7 nicotinic receptors and methods of use)

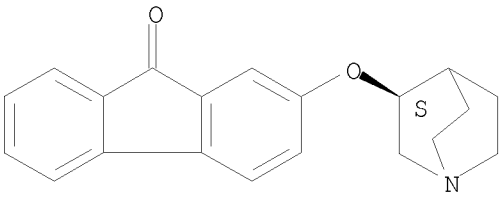
RN 861118-25-4 CAPLUS
CN 9H-Fluoren-9-one, 2-[(3R)-1-azabicyclo[2.2.2]oct-3-yloxy]- (CA INDEX NAME)

Absolute stereochemistry.



RN 861118-29-8 CAPLUS
CN 9H-Fluoren-9-one, 2-[(3S)-1-azabicyclo[2.2.2]oct-3-yloxy]- (CA INDEX NAME)

Absolute stereochemistry.



IT 861118-28-7P, 2-[[(3R)-1-Azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one p-toluenesulfonate 861118-30-1P, 2-[[(3S)-1-Azabicyclo[2.2.2]octan-3-yl]oxy]fluoren-9-one fumarate 861118-93-6P, 2-[(1-Azabicyclo[2.2.2]octan-3-yl)oxy]-9H-carbazole
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of amino-substituted tricyclic derivs. as modulators of α7 nicotinic receptors and methods of use)

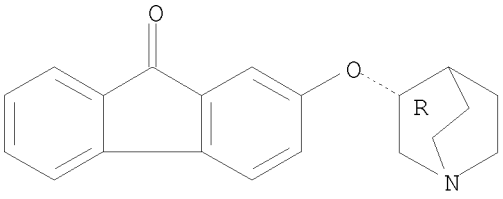
RN 861118-28-7 CAPLUS

CN 9H-Fluoren-9-one, 2-[(3R)-1-azabicyclo[2.2.2]oct-3-yloxy]-,
4-methylbenzenesulfonate (1:1) (CA INDEX NAME)

CM 1

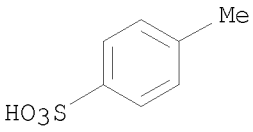
CRN 861118-25-4
CMF C20 H19 N O2

Absolute stereochemistry.



CM 2

CRN 104-15-4
CMF C7 H8 O3 S

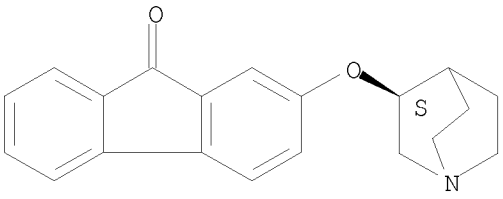


RN 861118-30-1 CAPLUS
CN 9H-Fluoren-9-one, 2-[(3S)-1-azabicyclo[2.2.2]oct-3-yloxy]-,
(2E)-2-butenedioate (1:1) (CA INDEX NAME)

CM 1

CRN 861118-29-8
CMF C20 H19 N O2

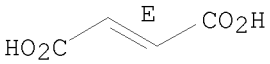
Absolute stereochemistry.



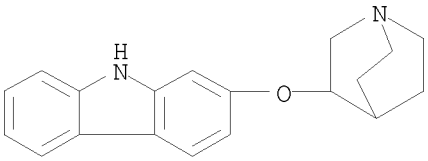
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 861118-93-6 CAPLUS
CN 9H-Carbazole, 2-(1-azabicyclo[2.2.2]oct-3-yloxy)- (CA INDEX NAME)



L3 ANSWER 10 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:41467 CAPLUS

DOCUMENT NUMBER: 140:94180

TITLE: Preparation of new quinuclidine amide derivatives for therapeutic uses as antagonists of M3 muscarinic receptors

INVENTOR(S): Prat Quinones, Maria

PATENT ASSIGNEE(S): Almirall Prodesfarma S.A., Spain

SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

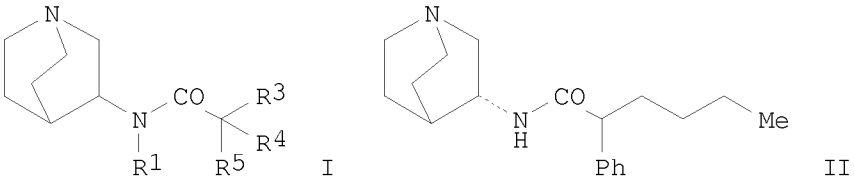
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004005285	A1	20040115	WO 2003-EP6708	20030625
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
ES 2204295	A1	20040416	ES 2002-1539	20020702
ES 2204295	B1	20050801		
CA 2492535	A1	20040115	CA 2003-2492535	20030625
AU 2003242757	A1	20040123	AU 2003-242757	20030625
AU 2003242757	B2	20090108		
EP 1519933	A1	20050406	EP 2003-762514	20030625
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003012216	A	20050412	BR 2003-12216	20030625
CN 1678610	A	20051005	CN 2003-820648	20030625
CN 100404533	C	20080723		
JP 2005533826	T	20051110	JP 2004-518575	20030625
NZ 537341	A	20060428	NZ 2003-537341	20030625
RU 2314306	C2	20080110	RU 2005-102585	20030625
MX 2004012271	A	20050408	MX 2004-12271	20041207
ZA 2004010404	A	20050905	ZA 2004-10404	20041223
IN 2004DN04140	A	20061229	IN 2004-DN4140	20041227
US 20060167042	A1	20060727	US 2005-518714	20050801
US 7488735	B2	20090210		
US 20080234316	A1	20080925	US 2008-970698	20080108

PRIORITY APPLN. INFO.:

ES 2002-1539	A	20020702
WO 2003-EP6708	W	20030625
US 2005-518714	A3	20050801

OTHER SOURCE(S): MARPAT 140:94180

GI

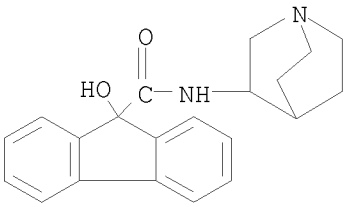


AB N-quinuclidinyl amides, such as I [R1 = H, alkyl; R3 = furyl, thienyl, phenyl; R4 = alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylmethyl, Ph, benzyl, phenethyl, furyl, thienyl; R5 = H, OH, Me, CH2OH], were prepared for use in therapy as antagonists of M3 muscarinic receptors. These amides are claimed for use in the treatment of respiratory, urol. or gastrointestinal pathol. conditions and diseases susceptible to amelioration by antagonism of M3 muscarinic receptors. Thus, amide II was prepared in 63.1% yield via an amidation reaction of (3R)-aminoquinuclidine with 2-phenylhexanoic acid in DMF and CHCl3. The prepared N-quinuclidinyl amides were assayed for human muscarinic receptor binding activity and for effect on bronchial response to i.v. acetylcholine challenge in guinea pigs. Tablet, liquid inhalant, powder inhalant, and inhalation aerosol pharmaceutical compns. of the amides were presented.

IT 644468-37-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of N-quinuclidinyl amides for use in pharmaceutical compns. as M3 muscarinic receptor antagonists)

RN 644468-37-1 CAPLUS

CN 9H-Fluorene-9-carboxamide, N-1-azabicyclo[2.2.2]oct-3-yl-9-hydroxy- (CA INDEX NAME)

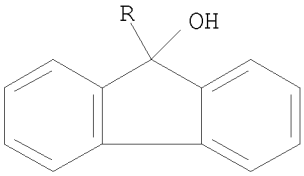


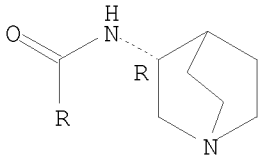
IT 644468-25-7P 644468-36-0P 644468-85-9P
644468-95-1P 644468-99-5P 644469-01-2P
644469-03-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of N-quinuclidinyl amides for use in pharmaceutical compns. as M3 muscarinic receptor antagonists)

RN 644468-25-7 CAPLUS

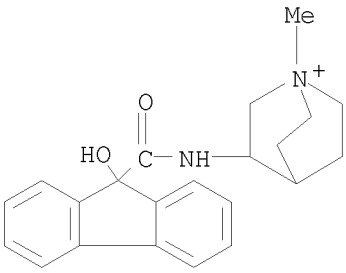
CN 9H-Fluorene-9-carboxamide, N-(3R)-1-azabicyclo[2.2.2]oct-3-yl-9-hydroxy- (CA INDEX NAME)

Absolute stereochemistry.



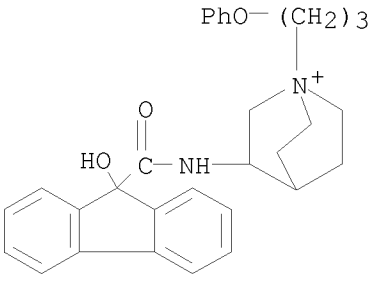


RN 644468-36-0 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]amino]-1-methyl-, bromide (1:1) (CA INDEX NAME)



● Br^-

RN 644468-85-9 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]amino]-1-(3-phenoxypropyl)-, bromide (1:1) (CA INDEX NAME)

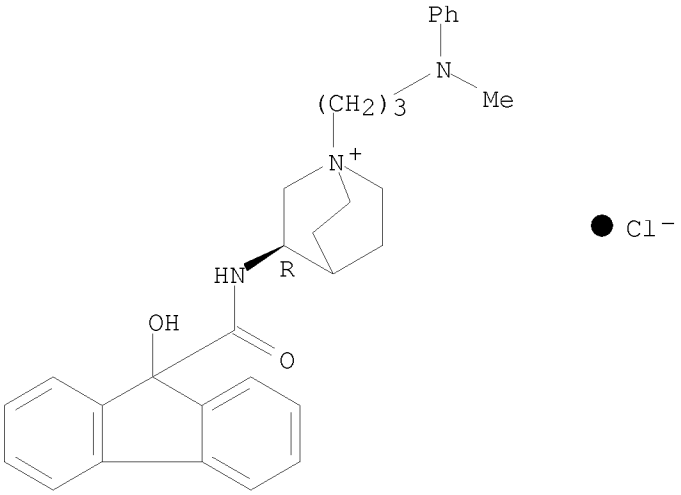


● Br^-

RN 644468-95-1 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-

yl)carbonyl]amino]-1-[3-(methylphenylamino)propyl]-, chloride (1:1), (3R)-
(CA INDEX NAME)

Absolute stereochemistry.

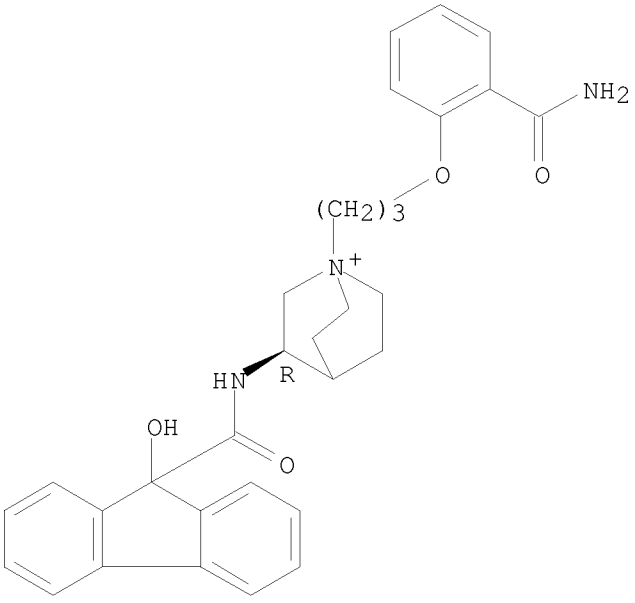


RN 644468-99-5 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-[3-[2-(aminocarbonyl)phenoxy]propyl]-3-
[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]amino]-, formate (1:1), (3R)- (CA
INDEX NAME)

CM 1

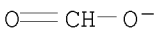
CRN 644468-98-4
CMF C31 H34 N3 O4

Absolute stereochemistry.



CM 2

CRN 71-47-6
CMF C H O2

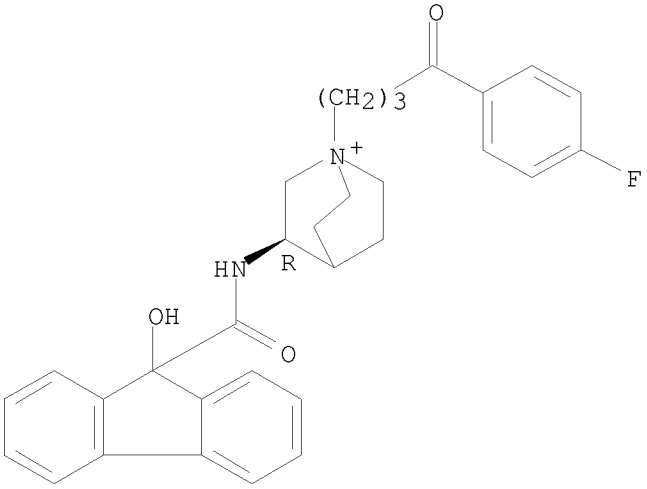


RN 644469-01-2 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-[4-(4-fluorophenyl)-4-oxobutyl]-3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]amino]-, formate (1:1), (3R)- (CA INDEX NAME)

CM 1

CRN 644469-00-1
CMF C31 H32 F N2 O3

Absolute stereochemistry.



CM 2

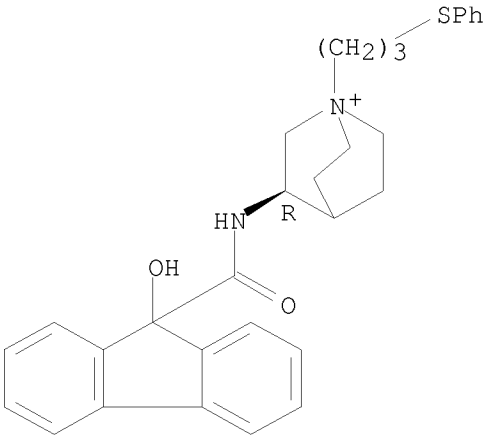
CRN 71-47-6
CMF C H O2

RN 644469-03-4 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]amino]-1-[3-(phenylthio)propyl]-, formate (1:1), (3R)- (CA INDEX NAME)

CM 1

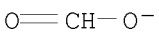
CRN 644469-02-3
CMF C30 H33 N2 O2 S

Absolute stereochemistry.



CM 2

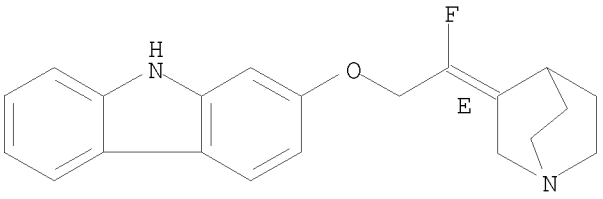
CRN 71-47-6
CMF C H O2



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 11 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:595172 CAPLUS
DOCUMENT NUMBER: 140:156701
TITLE: Syntheses of 3-Ethylidenequinuclidine derivatives as squalene synthase inhibitors. Part 2: enzyme inhibition and effects on plasma lipid levels
AUTHOR(S): Ishihara, Tsukasa; Kakuta, Hirotoshi; Moritani, Hiroshi; Ugawa, Tohru; Sakamoto, Shuichi; Tsukamoto, Shin-ichi; Yanagisawa, Isao
CORPORATE SOURCE: Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co., Ltd., Tsukuba, Ibaraki, 305-8585, Japan
SOURCE: Bioorganic & Medicinal Chemistry (2003), 11(17), 3735-3745
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 140:156701
AB Squalene synthase (E.C. 2.5.1.21) is a microsomal enzyme which catalyzes the reductive dimerization of two mols. of farnesyl diphosphate to form squalene, and is involved in the first committed step in cholesterol biosynthesis. It is an attractive target for hypocholesterolemic and hypotriglyceridemic strategies. We synthesized a series of 3-ethylidenequinuclidine derivs., and evaluated their ability to inhibit squalene synthase in vitro and to lower non-HDL cholesterol levels in hamsters. 3-Ethylidenequinuclidine derivs. incorporating an unsubstituted 9H-carbazole moiety reduced plasma non-HDL cholesterol levels and did not affect plasma transaminase levels, indicating a lack of hepatotoxicity. Among the novel compds., (Z)-2-[2-(quinuclidin-3-ylidene)ethoxy]-9H-carbazole hydrochloride (8) (YM-53579) and (E)-2-[2-fluoro-2-(quinuclidin-3-ylidene)ethoxy]-9H-carbazole hydrochloride (28) (YM-53601) were potent inhibitors of squalene synthase derived from human hepatoma cells, with IC50 values of 160 and 79 nM, resp. They also reduced plasma non-HDL cholesterol levels in hamsters by approx. 50 and 70%, resp., at an oral dose of 50 mg/kg/day for 5 days.
IT 182959-33-7P, (E)-2-[2-Fluoro-2-(quinuclidin-3-ylidene)ethoxy]-9H-carbazole hydrochloride 182959-40-6P 182959-44-0P 182959-54-2P 182959-60-0P 182959-67-7P 182959-79-1P 182959-85-9P 182959-90-6P 654084-18-1P 654084-19-2P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and structure-activity relationship of 3-ethylidenequinuclidine derivs. as squalene synthase inhibitors and their effects on plasma lipid levels)
RN 182959-33-7 CAPLUS
CN 9H-Carbazole, 2-[(2E)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)-2-fluoroethoxy]-, hydrochloride (1:1) (CA INDEX NAME)

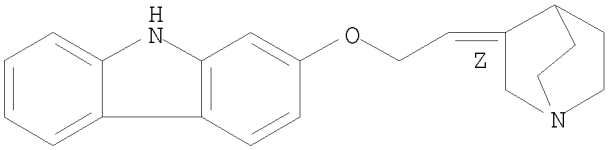
Double bond geometry as shown.



● HCl

RN 182959-40-6 CAPLUS
CN 9H-Carbazole, 2-[(2Z)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

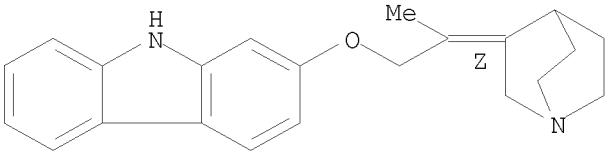
Double bond geometry as shown.



● HCl

RN 182959-44-0 CAPLUS
CN 9H-Carbazole, 2-[(2Z)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

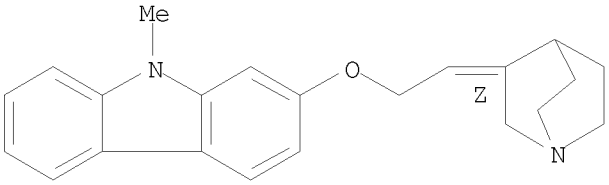
Double bond geometry as shown.



● HCl

RN 182959-54-2 CAPLUS
CN 9H-Carbazole, 2-[(2Z)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-9-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

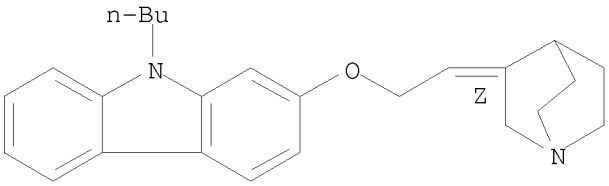
Double bond geometry as shown.



● HCl

RN 182959-60-0 CAPLUS
CN 9H-Carbazole, 2-[(2Z)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-9-butyl-, monohydrochloride (9CI) (CA INDEX NAME)

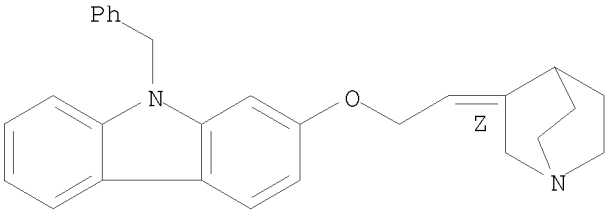
Double bond geometry as shown.



● HCl

RN 182959-67-7 CAPLUS
CN 9H-Carbazole, 2-[(2Z)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-9-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

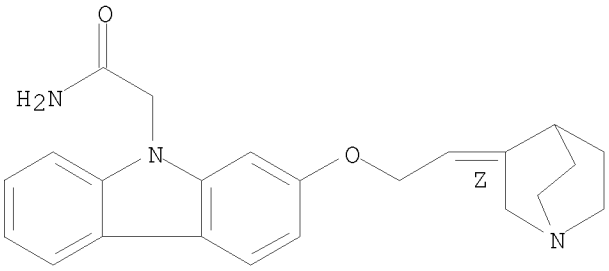
Double bond geometry as shown.



● HCl

RN 182959-79-1 CAPLUS
CN 9H-Carbazole-9-acetamide, 2-[(2Z)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

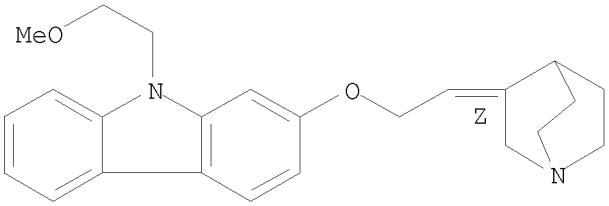
Double bond geometry as shown.



● HCl

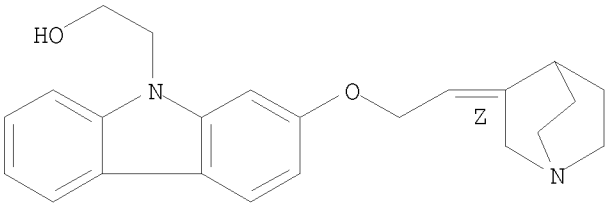
RN 182959-85-9 CAPLUS
CN 9H-Carbazole, 2-[(2Z)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-9-(2-methoxyethyl)- (CA INDEX NAME)

Double bond geometry as shown.



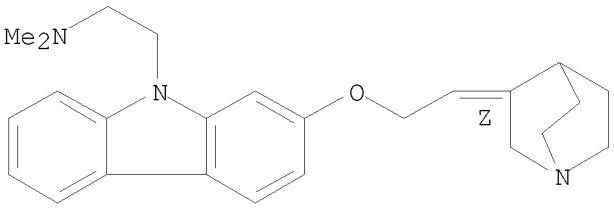
RN 182959-90-6 CAPLUS
CN 9H-Carbazole-9-ethanol, 2-[(2Z)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]- (CA INDEX NAME)

Double bond geometry as shown.



RN 654084-18-1 CAPLUS
CN 9H-Carbazole-9-ethanamine, 2-[(2Z)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-N,N-dimethyl-, hydrochloride (1:1) (CA INDEX NAME)

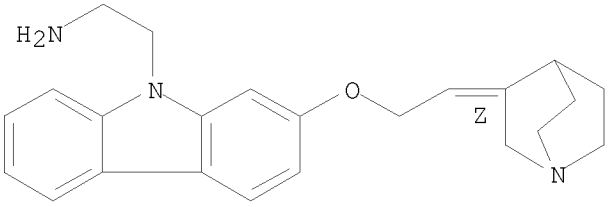
Double bond geometry as shown.



● HCl

RN 654084-19-2 CAPLUS
CN 9H-Carbazole-9-ethanamine, 2-[(2Z)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-, hydrochloride (1:1) (CA INDEX NAME)

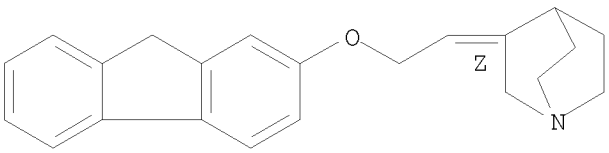
Double bond geometry as shown.



● HCl

IT 654083-83-7
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation and structure-activity relationship of 3-ethylidenequinuclidine derivs. as squalene synthase inhibitors and their effects on plasma lipid levels)
RN 654083-83-7 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-[2-(9H-fluoren-2-yloxy)ethylidene]-, (3Z)- (CA INDEX NAME)

Double bond geometry as shown.

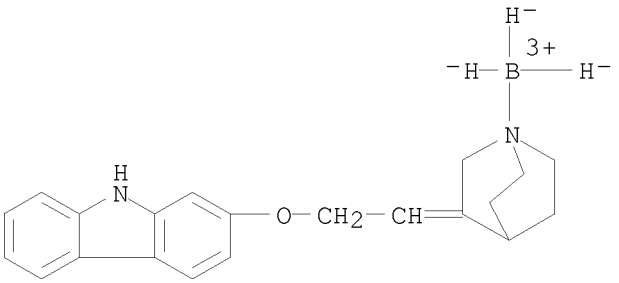


IT 182961-46-2P 182961-49-5P 182961-50-8P
182961-51-9P 182961-52-0P 654083-99-5P
654084-01-2P 654084-03-4P 654084-07-8P
654084-09-0P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and structure-activity relationship of 3-ethylidenequinuclidine derivs. as squalene synthase inhibitors and their effects on plasma

lipid levels)

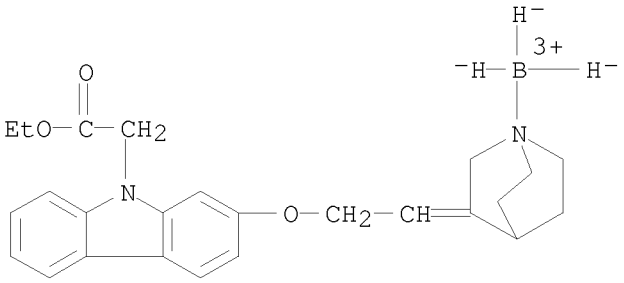
RN 182961-46-2 CAPLUS

CN Boron, [2-[2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-9H-carbazole-N2]trihydro-, [T-4-(Z)]- (9CI) (CA INDEX NAME)



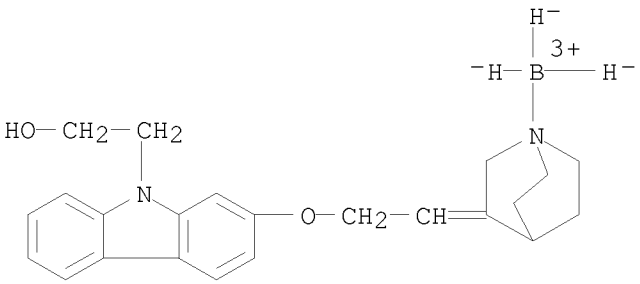
RN 182961-49-5 CAPLUS

CN Boron, [ethyl 2-[2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-9H-carbazole-9-acetate-N2]trihydro-, [T-4-(Z)]- (9CI) (CA INDEX NAME)



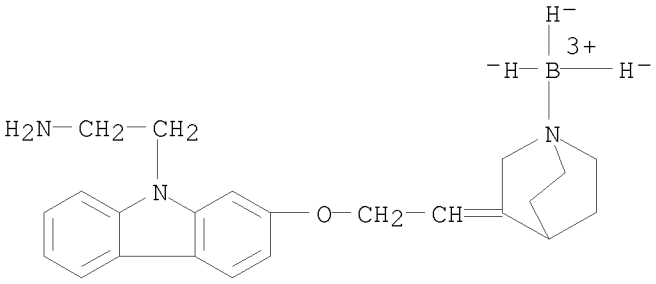
RN 182961-50-8 CAPLUS

CN Boron, [2-[2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-9H-carbazole-9-ethanol-N2]trihydro-, [T-4-(Z)]- (9CI) (CA INDEX NAME)

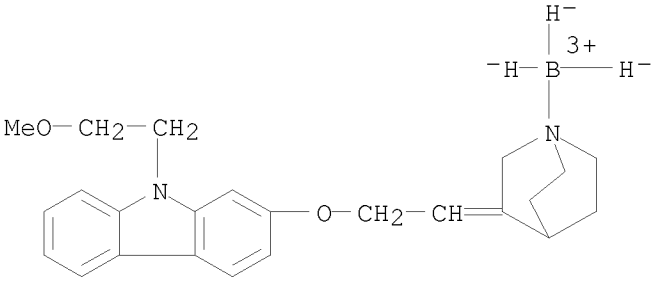


RN 182961-51-9 CAPLUS

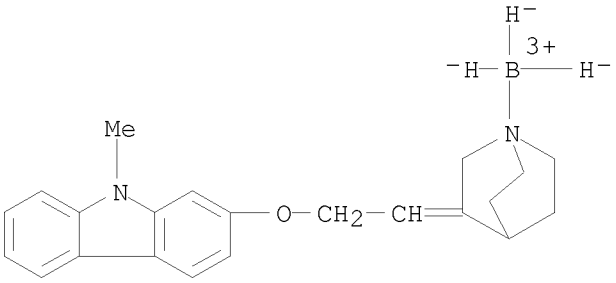
CN Boron, [2-[2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-9H-carbazole-9-ethanamine-N2]trihydro-, [T-4-(Z)]- (9CI) (CA INDEX NAME)



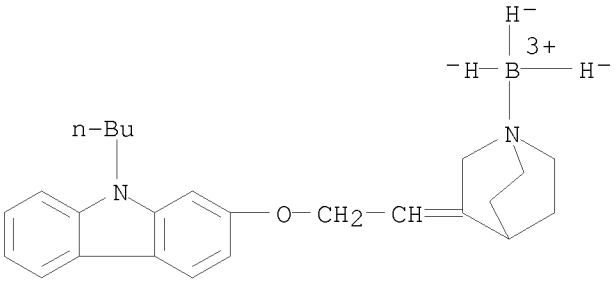
RN 182961-52-0 CAPLUS
CN Boron, [2-[2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-9-(2-methoxyethyl)-9H-carbazole-N2]trihydro-, [T-4-(Z)]- (9CI) (CA INDEX NAME)



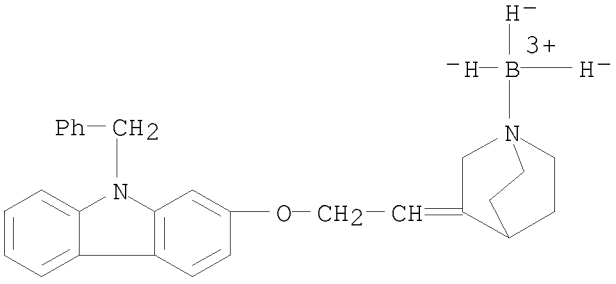
RN 654083-99-5 CAPLUS
CN Boron, [2-[(2Z)-2-(1-azabicyclo[2.2.2]oct-3-ylidene-κN)ethoxy]-9-methyl-9H-carbazole]trihydro-, (T-4)- (9CI) (CA INDEX NAME)



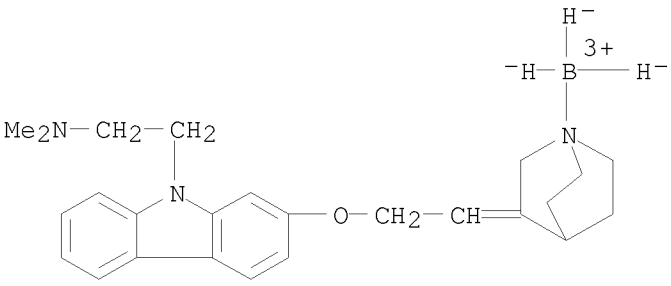
RN 654084-01-2 CAPLUS
CN Boron, [2-[(2Z)-2-(1-azabicyclo[2.2.2]oct-3-ylidene-κN)ethoxy]-9-butyl-9H-carbazole]trihydro-, (T-4)- (9CI) (CA INDEX NAME)



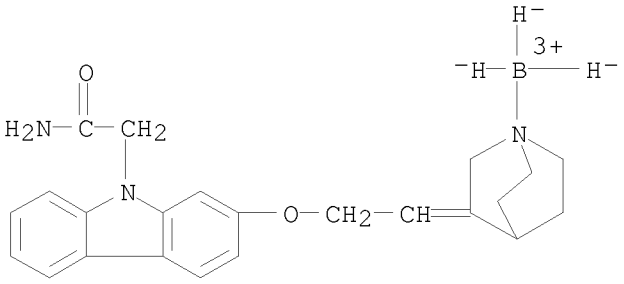
RN 654084-03-4 CAPLUS
CN Boron, [2-[(2Z)-2-(1-azabicyclo[2.2.2]oct-3-ylidene-κN)ethoxy]-9-(phenylmethyl)-9H-carbazole]trihydro-, (T-4)- (9CI) (CA INDEX NAME)



RN 654084-07-8 CAPLUS
CN Boron, [2-[(2Z)-2-(1-azabicyclo[2.2.2]oct-3-ylidene-κN)ethoxy]-N,N-dimethyl-9H-carbazole-9-ethanamine]trihydro-, (T-4)- (9CI) (CA INDEX NAME)



RN 654084-09-0 CAPLUS
CN Boron, [2-[(2Z)-2-(1-azabicyclo[2.2.2]oct-3-ylidene-κN)ethoxy]-9H-carbazole-9-acetamide]trihydro-, (T-4)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 12 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:570838 CAPLUS
DOCUMENT NUMBER: 139:128032
TITLE: Combined use of a GLP-1 compound and another drug for treating dyslipidemia
INVENTOR(S): Knudsen, Lotte Bjerre; Selmer, Johan
PATENT ASSIGNEE(S): Novo Nordisk A/S, Den.
SOURCE: PCT Int. Appl., 20 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003059378	A2	20030724	WO 2002-DK887	20021220
WO 2003059378	A3	20031127		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002351752	A1	20030730	AU 2002-351752	20021220
EP 1461069	A2	20040929	EP 2002-787466	20021220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005518408	T	20050623	JP 2003-559539	20021220
US 20030143183	A1	20030731	US 2002-328284	20021223
US 6939853	B2	20050906		
US 20050256053	A1	20051117	US 2005-185209	20050720
US 20070207964	A1	20070906	US 2007-799798	20070503

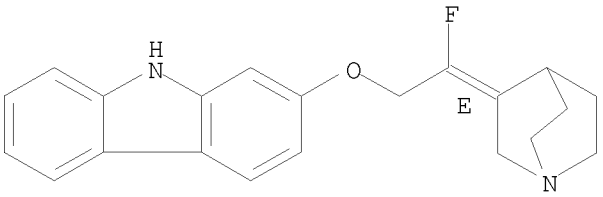
PRIORITY APPLN. INFO.:		
DK 2001-1970	A	20011229
DK 2002-759	A	20020517
US 2002-350088P	P	20020117
WO 2002-DK887	W	20021220
US 2002-328284	A1	20021223
US 2005-185209	A1	20050720

AB Methods and uses for treatment of dyslipidemia comprising administration of a GLP-1 compound and another antidyslipidemic drug.

IT 182959-33-7, YM 53601
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combined use of GLP-1 compound and another drug for treating dyslipidemia)

RN 182959-33-7 CAPLUS
CN 9H-Carbazole, 2-[(2E)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)-2-fluoroethoxy]-, hydrochloride (1:1) (CA INDEX NAME)

Double bond geometry as shown.

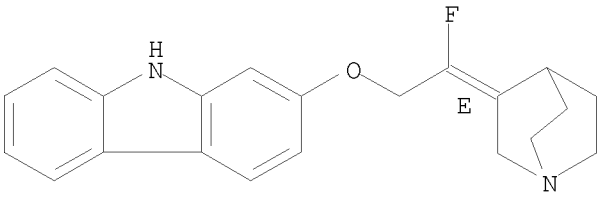


● HCl

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 13 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:465214 CAPLUS
DOCUMENT NUMBER: 139:159752
TITLE: YM-53601, a novel squalene synthase inhibitor, suppresses lipogenic biosynthesis and lipid secretion in rodents
AUTHOR(S): Ugawa, Tohru; Kakuta, Hirotoshi; Moritani, Hiroshi; Inagaki, Osamu; Shikama, Hisataka
CORPORATE SOURCE: Cardiovascular Diseases Research, Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co. Ltd, Ibaraki, 305-8585, Japan
SOURCE: British Journal of Pharmacology (2003), 139(1), 140-146
CODEN: BJPCBM; ISSN: 0007-1188
PUBLISHER: Nature Publishing Group
DOCUMENT TYPE: Journal
LANGUAGE: English
AB To better understand how it decreases plasma cholesterol and triglyceride levels, we evaluated the effect of (E)-2-[2-fluoro-2-(quinuclidin-3-ylidene)ethoxy]-9H-carbazole monohydrochloride (YM-53601) on lipogenic biosynthesis in the liver and lipid secretion from the liver in rats and hamsters. Single administration of YM-53601 in cholestyramine-treated rats inhibited triglyceride and free fatty acid (FFA) biosynthesis at a similar dose range to that at which it inhibited cholesterol biosynthesis. YM-53601 inhibited both triglyceride and FFA biosynthesis in hamsters treated with cholestyramine. YM-53601 by single oral administration decreased the enhanced plasma triglyceride levels in hamsters induced by an injection of protamine sulfate, which inhibits lipoprotein lipase (LPL) and consequently increases plasma very low-d. lipoprotein (VLDL) triglyceride levels. YM-53601 also decreased the enhanced plasma triglyceride and cholesterol levels in hamsters treated with Triton WR1339, which also inhibits the degradation of VLDL. Plasma cholesterol was significantly decreased as soon as 1 h after single administration of YM-53601 in hamsters fed a normal diet. This is the first report that a squalene synthase inhibitor suppresses lipogenic biosynthesis in the liver and cholesterol and triglyceride secretion from the liver in vivo. We therefore suggest that the mechanism by which YM-53601 decreases plasma triglyceride might include these effects. The finding that YM-53601 rapidly decreased plasma cholesterol suggests that this compound may be effective in decreasing plasma cholesterol levels early in the course of treatment of hypercholesterolemia in humans.
IT 182959-33-7, YM 53601
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); BIOL (Biological study)
(YM-53601, a novel squalene synthase inhibitor, suppresses lipogenic biosynthesis and lipid secretion in rodents)
RN 182959-33-7 CAPLUS
CN 9H-Carbazole, 2-[(2E)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)-2-fluoroethoxy]-, hydrochloride (1:1) (CA INDEX NAME)

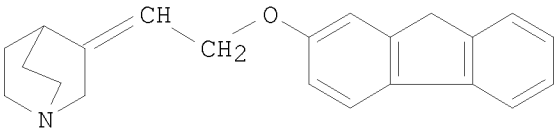
Double bond geometry as shown.



● HCl

REFERENCE COUNT: 28 THERE ARE 28 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 14 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:345229 CAPLUS
DOCUMENT NUMBER: 139:223702
TITLE: Syntheses and biological evaluation of novel quinuclidine derivatives as squalene synthase inhibitors
AUTHOR(S): Ishihara, Tsukasa; Kakuta, Hirotoshi; Moritani, Hiroshi; Ugawa, Tohru; Sakamoto, Shuichi; Tsukamoto, Shin-Ichi; Yanagisawa, Isao
CORPORATE SOURCE: Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co., Ltd., Tsukuba, Ibaraki, 305-8585, Japan
SOURCE: Bioorganic & Medicinal Chemistry (2003), 11(11), 2403-2414
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 139:223702
GI

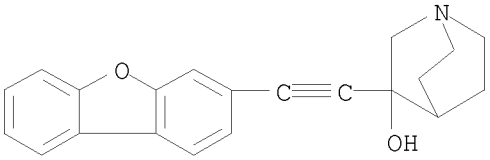


I

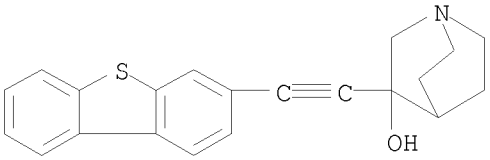
AB Squalene synthase (E.C. 2.5.1.21) catalyzes the reductive dimerization of two mols. of farnesyl diphosphate to form squalene and is involved in the first committed step in cholesterol biosynthesis. Inhibition of this enzyme is therefore an attractive target for hypocholesterolemic strategies. A series of quinuclidine derivs. incorporating a tricyclic system was synthesized and evaluated for their ability to inhibit squalene synthase in vitro. A 9H-fluorene moiety was found to be optimal as the tricyclic system for potent inhibitory activity. Improved activity can be achieved with a conformationally constrained three-atom linkage connecting the tricyclic system with the quinuclidine nucleus. Among these compds., (Z)-3-[2-(9H-fluoren-2-yloxy)ethylidene]-quinuclidine hydrochloride I was found to be a potent inhibitor of squalene synthase derived from hamster liver and human hepatoma cells with IC50 values of 76 and 48 nM, resp. Oral dosing of compound I demonstrated effective reduction of plasma non-HDL cholesterol levels in hamsters.

IT 180154-63-6P 180154-64-7P 180154-66-9P
180154-69-2P 591733-15-2P 591733-16-3P
591733-17-4P 591733-18-5P 591733-19-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(syntheses and biol. evaluation quinuclidines as squalene synthase inhibitors)

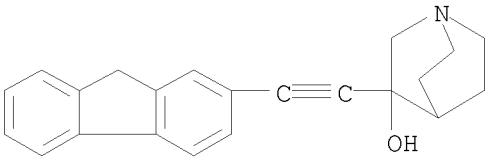
RN 180154-63-6 CAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-ol, 3-[2-(3-dibenzofuranyl)ethynyl]- (CA INDEX NAME)



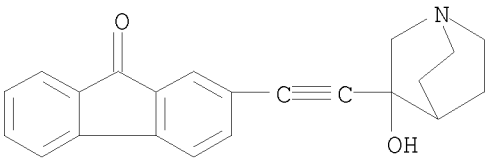
RN 180154-64-7 CAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-ol, 3-[2-(3-dibenzothienyl)ethynyl]- (CA INDEX NAME)



RN 180154-66-9 CAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-ol, 3-[2-(9H-fluoren-2-yl)ethynyl]- (CA INDEX NAME)

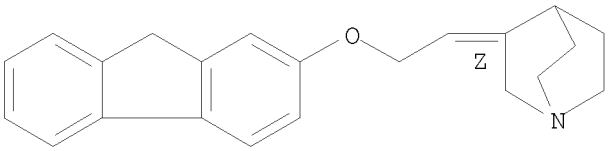


RN 180154-69-2 CAPLUS
CN 9H-Fluoren-9-one, 2-[2-(3-hydroxy-1-azabicyclo[2.2.2]oct-3-yl)ethynyl]- (CA INDEX NAME)



RN 591733-15-2 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-[2-(9H-fluoren-2-yloxy)ethylidene]-, hydrochloride (1:1), (3Z)- (CA INDEX NAME)

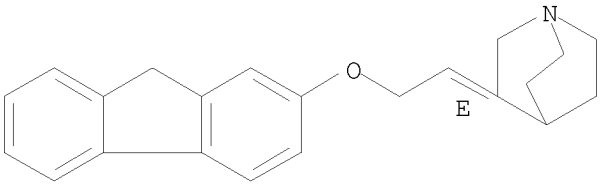
Double bond geometry as shown.



● HCl

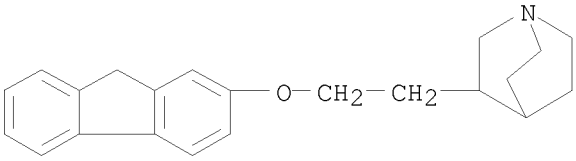
RN 591733-16-3 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-[2-(9H-fluoren-2-yloxy)ethylidene]-, hydrochloride (1:1), (3E)- (CA INDEX NAME)

Double bond geometry as shown.



● HCl

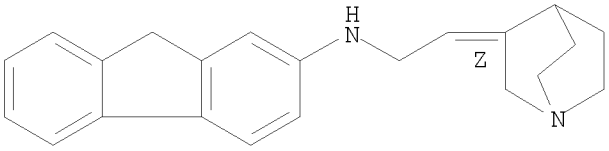
RN 591733-17-4 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-[2-(9H-fluoren-2-yloxy)ethyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

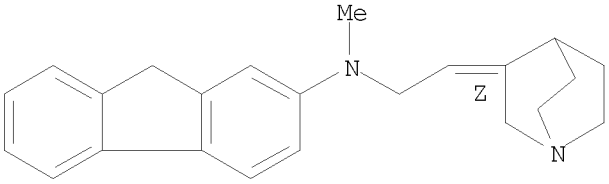
RN 591733-18-5 CAPLUS
CN 9H-Fluoren-2-amine, N-[(2Z)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethyl]- (CA INDEX NAME)

Double bond geometry as shown.



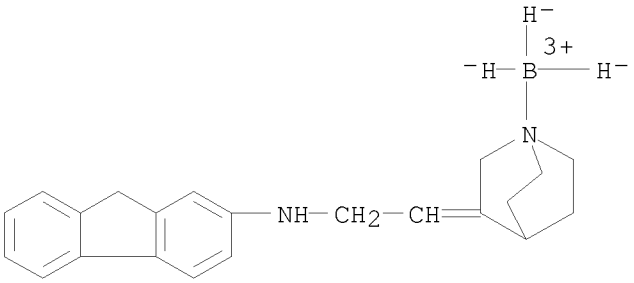
RN 591733-19-6 CAPLUS
CN 9H-Fluoren-2-amine, N-[2-(2Z)-1-azabicyclo[2.2.2]oct-3-ylideneethyl]-N-methyl- (CA INDEX NAME)

Double bond geometry as shown.

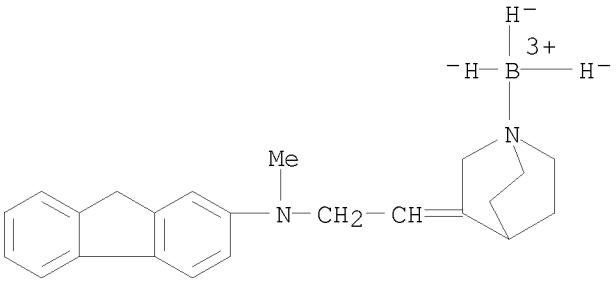


IT 592532-82-6P 592532-83-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(syntheses and biol. evaluation quinuclidines as squalene synthase inhibitors)

RN 592532-82-6 CAPLUS
CN Boron, [N-[(2Z)-2-(1-azabicyclo[2.2.2]oct-3-ylidene-κN)ethyl]-9H-fluoren-2-amine]trihydro-, (T-4)- (9CI) (CA INDEX NAME)



RN 592532-83-7 CAPLUS
CN Boron, [N-[(2Z)-2-(1-azabicyclo[2.2.2]oct-3-ylidene-κN)ethyl]-N-methyl-9H-fluoren-2-amine]trihydro-, (T-4)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 58 THERE ARE 58 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 15 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN

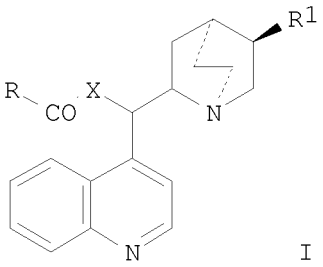
ACCESSION NUMBER: 2003:173580 CAPLUS
DOCUMENT NUMBER: 138:221735
TITLE: Preparation of bicyclic cinchonan derivatives for pharmaceutical use as inhibitors of chemokine binding to hUS28
INVENTOR(S): McMaster, Brian E.; Schall, Thomas J.; Penfold, Mark; Wright, J. J.; Dairaghi, Daniel J.
PATENT ASSIGNEE(S): Chemocentryx, Inc., USA
SOURCE: PCT Int. Appl., 38 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003018549	A2	20030306	WO 2002-US28007	20020829
WO 2003018549	A3	20030821		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2002323570	A1	20030310	AU 2002-323570	20020829
US 20030114423	A1	20030619	US 2002-233336	20020829
US 6821998	B2	20041123		
US 20030149055	A1	20030807	US 2002-233326	20020829
US 7101894	B2	20060905		
EP 1429771	A2	20040623	EP 2002-757560	20020829
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				

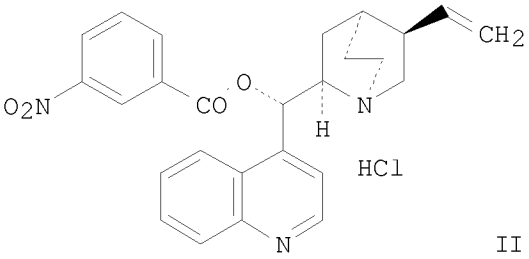
PRIORITY APPLN. INFO.: US 2001-316386P P 20010830
WO 2002-US28007 W 20020829

OTHER SOURCE(S): MARPAT 138:221735

GI



I



II

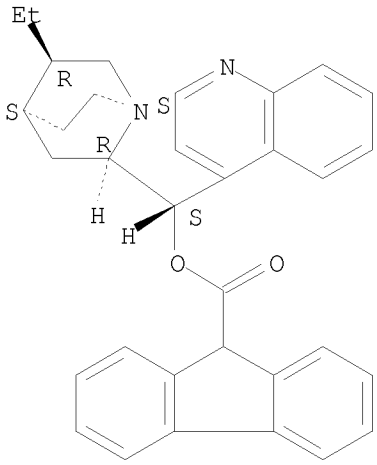
AB Bicyclic cinchonan derivs., such as I [R = aryl, arylalkyl, heteroarylalkyl, amino, etc.; R1 = CH:CH2, CH2Me; X = O, NH], were prepd for therapeutic use as antiviral agents for treating cytomegalovirus (CMV) infection or CMV related diseases. Thus, acylated cinchonine hydrochloride salt II was prepared in 89% yield by refluxing cinchonine with 3-nitrobenzoyl chloride in toluene for 24 h. The prepared bicyclics

underwent radioligand binding assays using Rhesus dermal fibroblasts infected with CMV and in hUS28 transfected murine cells.

IT 500553-53-7P 500553-54-8P 500553-64-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of bicyclic cinchonan derivs. for pharmaceutical use as inhibitors of chemokine binding to hUS28 for treatment of cytomegalovirus infection and related diseases)

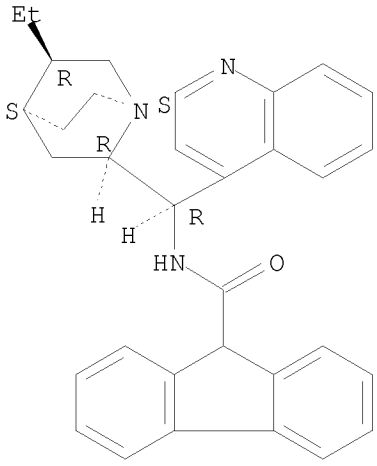
RN 500553-53-7 CAPLUS
CN Cinchonan-9-ol, 10,11-dihydro-, 9H-fluorene-9-carboxylate (ester), (9S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



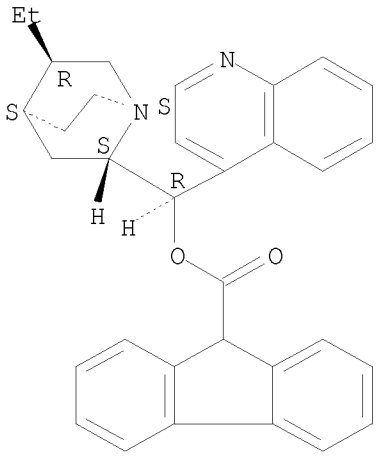
RN 500553-54-8 CAPLUS
CN 9H-Fluorene-9-carboxamide, N-[(9R)-10,11-dihydrocinchonan-9-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 500553-64-0 CAPLUS
CN Cinchonan-9-ol, 10,11-dihydro-, 9H-fluorene-9-carboxylate (ester), (8α,9R)- (9CI) (CA INDEX NAME)

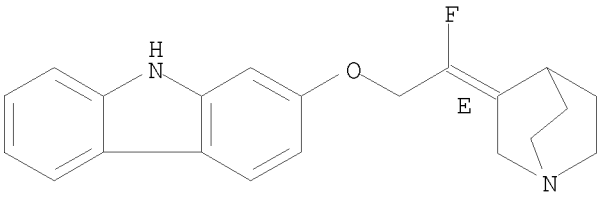
Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 16 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:813663 CAPLUS
DOCUMENT NUMBER: 138:314281
TITLE: Effect of YM-53601, a novel squalene synthase inhibitor, on the clearance rate of plasma LDL and VLDL in hamsters
AUTHOR(S): Ugawa, Tohru; Kakuta, Hirotoshi; Moritani, Hiroshi; Inagaki, Osamu
CORPORATE SOURCE: Cardiovascular Diseases Research, Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co. Ltd., Tsukuba, 305-8585, Japan
SOURCE: British Journal of Pharmacology (2002), 137(4), 561-567
CODEN: BJPCBM; ISSN: 0007-1188
PUBLISHER: Nature Publishing Group
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 1 To better understand how it decreases plasma cholesterol and triglyceride, the authors evaluated the effect of YM-53601 (E-2-[2-fluoro-2-(quinuclidin-3-ylidene) ethoxy]-9H-carbozole monohydrochloride) on the clearance rate of low d. lipoprotein (LDL) and very low d. lipoprotein (VLDL) in hamsters. 2 Treatment with YM-53601 at 50 mg kg-1 for 5 days in hamsters fed a normal diet enhanced the disappearance of 1,1'-Dioctadecyl-3,3,3',3'-tetramethylindocarbocyanine perchlorate (DiI)-VLDL and DiI-LDL. This effect on DiI-LDL was lost in the early phase after DiI-methyl(met)-LDL, chemical modified to block LDL receptor binding, was injected in hamsters, but was retained in the late phase. Pre-treatment with protamine sulfate, which inhibits the activity of LPL, also failed to enhance DiI-VLDL clearance rate by YM-53601. 3 Even on single oral administration at 30 mg kg-1, YM-53601 enhanced the disappearance of the high concentration of plasma triglyceride after injection of intrafat, an emulsion of fat. Plasma triglyceride was significantly decreased as soon as 1 h after single administration of YM-53601 in hamsters fed a normal diet. 4 These results indicate that the decrease in plasma total cholesterol and triglyceride after the treatment with YM-53601 is due to its enhancement of the clearance rate of LDL and VLDL, resp. Moreover, YM-53601 may be effective in decreasing plasma triglyceride levels early in the course of treatment of hypertriglyceridemia in humans.
IT 182959-33-7, YM 53601
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(effect of YM-53601 on the clearance rate of plasma LDL and VLDL in hamsters)
RN 182959-33-7 CAPLUS
CN 9H-Carbazole, 2-[(2E)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)-2-fluoroethoxy]-, hydrochloride (1:1) (CA INDEX NAME)

Double bond geometry as shown.

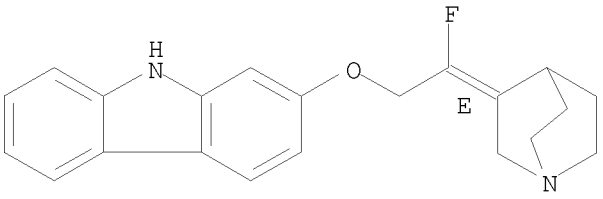


● HCl

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 17 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:290519 CAPLUS
DOCUMENT NUMBER: 137:195358
TITLE: Experimental model of escape phenomenon in hamsters and the effectiveness of YM-53601 in the model
AUTHOR(S): Ugawa, Tohru; Kakuta, Hirotoshi; Moritani, Hiroshi; Shikama, Hisataka
CORPORATE SOURCE: Cardiovascular Diseases Research, Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co. Ltd., Tsukuba, 305-8585, Japan
SOURCE: British Journal of Pharmacology (2002), 135(6), 1572-1578
CODEN: BJPCBM; ISSN: 0007-1188
PUBLISHER: Nature Publishing Group
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The aim of this study was to establish an exptl. model of the escape phenomenon, in which plasma cholesterol, initially reduced by a 3-hydroxy-3-methylglutaryl CoA (HMG-CoA) reductase inhibitor such as pravastatin, increases again on long-term administration. The authors also evaluated the efficacy of YM-53601 ((E)-2-[2-fluoro-2-(quinuclidin-3-ylidene) ethoxy]-9H-carbazole monohydrochloride), a squalene synthase inhibitor, in this model. Pravastatin inhibited cholesterol biosynthesis in hamster primary hepatocytes (IC50, 14 nM). After pre-treatment with pravastatin, in contrast, almost no effect on cholesterol biosynthesis was seen. In hamsters fed a high fat diet, 3 mg kg-1 pravastatin for 9 days decreased plasma non-HDL cholesterol (total cholesterol - high d. lipoprotein cholesterol) ($P < 0.01$), but this effect was lost between 17 and 27 days of treatment, accompanied by an increase in HMG-CoA reductase activity. No such increase in plasma non-HDL cholesterol was seen with YM-53601 at 30 mg kg-1 after 9 ($P < 0.001$), 17 ($P < 0.01$) or 27 ($P < 0.001$) days of treatment. Replacement of pravastatin with YM-53601 caused a decrease in plasma non-HDL cholesterol by 53% ($P < 0.001$) and in HMG-CoA reductase activity. This animal model thus satisfactorily replicates the escape phenomenon observed in humans and may therefore be useful in evaluation of lipid-lowering agents, specifically comparison of HMG-CoA reductase inhibitors. Further, YM-53601 may be useful in the treatment of hypercholesterolemia without induction of the escape phenomenon.
IT 182959-33-7, YM 53601
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(YM 53601; exptl. model of escape phenomenon in hamsters and effectiveness of YM-53601 in model compared with HMG-CoA reductase inhibitor)
RN 182959-33-7 CAPLUS
CN 9H-Carbazole, 2-[(2E)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)-2-fluoroethoxy]-, hydrochloride (1:1) (CA INDEX NAME)

Double bond geometry as shown.



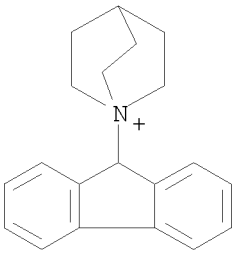
● HCl

REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 18 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:72578 CAPLUS
DOCUMENT NUMBER: 136:270374
TITLE: Synthesis and Photochemistry of Tertiary Amine Photobase Generators
AUTHOR(S): Jensen, Kathryn H.; Hanson, James E.
CORPORATE SOURCE: Department of Chemistry, Seton Hall University, South Orange, NJ, 07079, USA
SOURCE: Chemistry of Materials (2002), 14(2), 918-923
CODEN: CMATEX; ISSN: 0897-4756
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A family of tertiary amine photobase generators have been prepared and studied. The compds. investigated were quaternary ammonium salts of benzhydrylamine (aminodiphenylmethane) and 9-aminofluorene. The compds. were prepared by the following methods: methylation of the benzhydryl or fluorenylamines, reaction of a tertiary amine with 9-bromofluorene, and reaction of a primary or secondary amine with 9-bromofluorene followed by exhaustive methylation. Alkylation was limited to methylation in the benzhydryl system, as larger alkyl groups would not react. This appears to be a result of steric hindrance. The fluorenyl system allowed for a wider variation in the synthesis of tertiary amine photobase generators. Examination of the solution photochem. by NMR spectroscopy supported a heterolytic mechanism for photodecompn.

IT 405113-63-5P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(synthesis and photochem. of tertiary amine photobase generators)
RN 405113-63-5 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-(9H-fluoren-9-yl)-, bromide (1:1) (CA INDEX NAME)



● Br^-

REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 19 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:50645 CAPLUS
DOCUMENT NUMBER: 134:116110
TITLE: Synthesis of novel quinuclidine derivatives for the manufacture of medicament for use as antimuscarinic agents
INVENTOR(S): Fernandez Forner, Dolors; Prat Quinones, Maria; Buil Albero, Maria Antonia
PATENT ASSIGNEE(S): Almirall Prodesfarma S.A., Spain
SOURCE: PCT Int. Appl., 82 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001004118	A2	20010118	WO 2000-EP6469	20000707
WO 2001004118	A3	20010719		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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ES 2165768	B1	20030401		
CA 2381165	A1	20010118	CA 2000-2381165	20000707
BR 2000012434	A	20020402	BR 2000-12434	20000707
EP 1200431	A2	20020502	EP 2000-951361	20000707
EP 1200431	B1	20030326		
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TR 200200768	T2	20020722	TR 2002-768	20000707
CN 1373760	A	20021009	CN 2000-812754	20000707
CN 1272334	C	20060830		
HU 2002002100	A2	20021028	HU 2002-2100	20000707
HU 2002002100	A3	20030929		
JP 2003504368	T	20030204	JP 2001-509727	20000707
JP 4030040	B2	20080109		
AT 235492	T	20030415	AT 2000-951361	20000707
EE 200200017	A	20030415	EE 2002-17	20000707
EE 4915	B1	20071015		
ES 2193098	T3	20031101	ES 2000-951361	20000707
AU 779881	B2	20050217	AU 2000-64330	20000707
RU 2264401	C2	20051120	RU 2002-103605	20000707
IL 147533	A	20060221	IL 2000-147533	20000707
CN 1824664	A	20060830	CN 2006-10006892	20000707
CN 100451018	C	20090114		
RU 2306312	C2	20070920	RU 2005-121162	20000707
TW 284644	B	20070801	TW 2000-89113865	20000712
EG 24066	A	20080508	EG 2000-907	20000712
ZA 2002000232	A	20030410	ZA 2002-232	20020110
IN 2002DN00049	A	20070302	IN 2002-DN49	20020111
KR 773844	B1	20071106	KR 2002-700479	20020112
BG 106301	A	20020830	BG 2002-106301	20020114
BG 65565	B1	20081230		
US 20030055080	A1	20030320	US 2002-47464	20020114

US 6750226	B2	20040615			
MX 2002000467	A	20030425	MX 2002-467		20020114
HK 1042487	A1	20030718	HK 2002-103992		20020529
US 20040132768	A1	20040708	US 2003-740264		20031217
US 7109210	B2	20060919			
US 20050209272	A1	20050922	US 2005-116777		20050428
US 7078412	B2	20060718			
AU 2005202144	A1	20050609	AU 2005-202144		20050518
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JP 2005350476	A	20051222	JP 2005-203365		20050712
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US 7214687	B2	20070508			
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US 7358260	B2	20080415			
KR 2007007396	A	20070115	KR 2006-727733		20061228
KR 854321	B1	20080826			
KR 2007009744	A	20070118	KR 2006-727730		20061228
KR 854315	B1	20080826			
IN 2007DN10124	A	20080208	IN 2007-DN10124		20071227
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US 20080221155	A1	20080911	US 2008-74929		20080307
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			AU 2000-64330	A3	20000707
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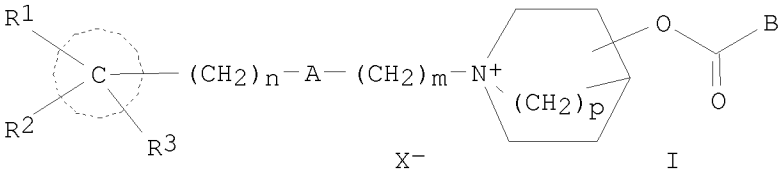
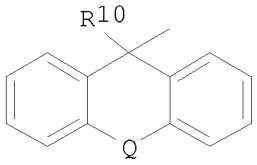
			RU 2002-103605	A3	20000707
			WO 2000-EP6469	W	20000707
			IN 2002-DN49	A3	20020111
			KR 2002-700479	A3	20020112
			US 2002-47464	A3	20020114
			US 2003-740264	A1	20031217
			US 2005-116777	A3	20050428
			US 2006-325059	A1	20060103
			US 2006-636181	A1	20061208

OTHER SOURCE(S): MARPAT 134:116110

GI



I



II

AB Novel quinuclidine derivs. {I; = Ph, C4 to C9 heteroarom. compound containing one or more heteroatoms, or a naphthalenyl, tetrahydronaphthalenyl or biphenyl group; R1-R3 each independently = H, halogen, OH, Ph, OR4, SR4,

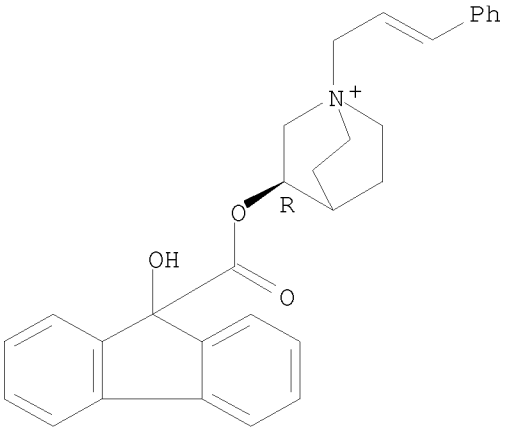
NR4R5, NHCOR4, CONR4R5, CN, NO2, COOR4, CF3, (un)substituted alkyl; (R4, R5 = H,(un)substituted alkyl, or together form an alicyclic ring); or R1 and R2 together = an aromatic, alicyclic or heterocyclic ring; n = an integer from 0 to 4; A = CH2, CH=CR6, CR6=CH, CR6R7, CO, O, S, S(O), SO2 or NR6; (R6, R7 = H,(un)substituted alkyl, or together form an alicyclic ring); m = an integer from 0 to 8; provided that when m = 0, A is not CH2; p = an integer from 1 to 2 and the substitution in the azoniabicyclic ring may be in the 2, 3 or 4 position including all possible configurations of the asym. carbons; B = R8-C(R9)R10- [R8, R9 each independently = (un)substituted Ph, (un)substituted 2- or 3-thiophenyl, (un)substituted 2- or 3-furanyl; R10 = H, OH, Me], or (II); Q = single bond, CH2, CH2-CH2, O, O-CH2, S, S-CH2 or CH=CH; and when II contain a chiral center they may represent either configuration}, X = pharmaceutically acceptable anion of a mono or polyvalent acid, which shows high affinity for muscarinic M3 receptors (Hm3), were prepd for the use in treatment of respiratory, urinary or gastrointestinal disease. Thus, 3(R)-(2-furan-2-yl-2-hydroxy-2-phenylacetoxy)-1-(3-phenoxypropyl)-1-azoniabicyclo[2.2.2]octane bromide was prepared by the reaction of phenoxypropyl bromide with (furan-2-yl)-hydroxy-phenylacetic acid -1-aza-bicyclo[2.2.2]oct-3(R)-yl ester and showed IC50 of 6.8 nM in human muscarinic receptor binding studies. Pharmaceutical compns. were also claimed.

IT 320346-98-3P 320346-99-4P 320347-00-0P
320347-01-1P 320347-03-3P 320347-04-4P
320347-06-6P 320347-08-8P 320347-10-2P
320347-11-3P 320347-12-4P 320347-14-6P
320347-16-8P 320347-18-0P 320347-20-4P
320347-21-5P 320347-22-6P 320347-23-7P
320347-25-9P 320347-27-1P 320347-30-6P
320347-32-8P 320347-34-0P 320347-36-2P
320347-38-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of novel quinuclidine derivs. for use as antimuscarinic agents)

RN 320346-98-3 CAPLUS

CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-1-(3-phenyl-2-propen-1-yl)-, bromide (1:1), (3R)- (CA INDEX NAME)

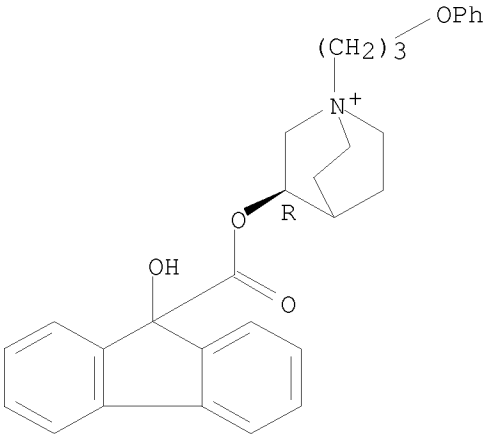
Absolute stereochemistry.
Double bond geometry unknown.



● Br^-

RN 320346-99-4 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-1-(3-phenoxypropyl)-, bromide (1:1), (3R)- (CA INDEX NAME)

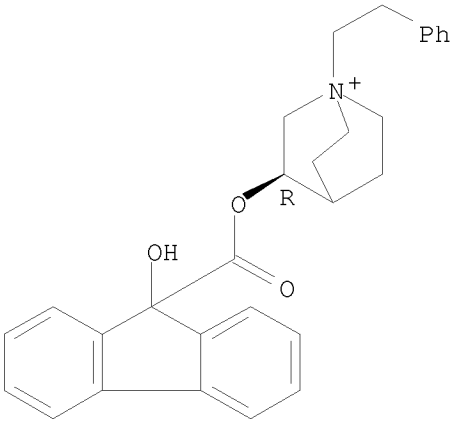
Absolute stereochemistry.



● Br^-

RN 320347-00-0 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-1-(2-phenylethyl)-, bromide (1:1), (3R)- (CA INDEX NAME)

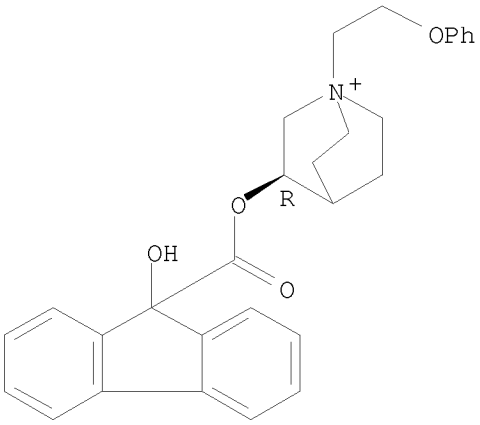
Absolute stereochemistry.



● Br^-

RN 320347-01-1 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-1-(2-phenoxyethyl)-, bromide (1:1), (3R)- (CA INDEX NAME)

Absolute stereochemistry.



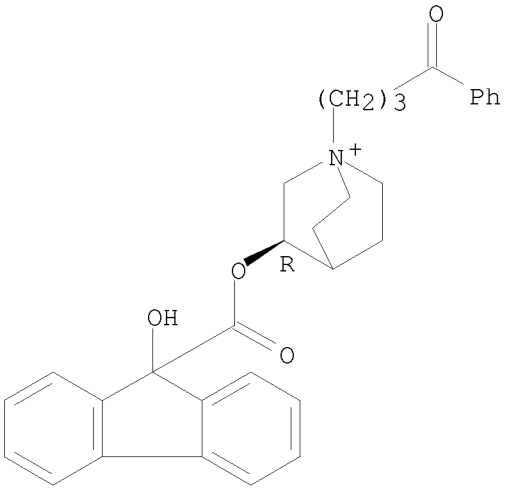
● Br^-

RN 320347-03-3 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-1-(4-oxo-4-phenylbutyl)-, (3R)-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

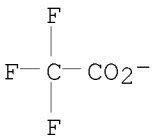
CRN 320347-02-2
CMF C31 H32 N O4

Absolute stereochemistry.



CM 2

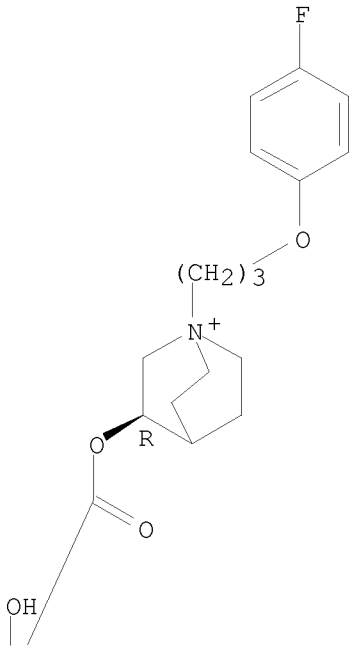
CRN 14477-72-6
CMF C2 F3 O2



RN 320347-04-4 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-[3-(4-fluorophenoxy)propyl]-3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-, chloride (1:1), (3R)- (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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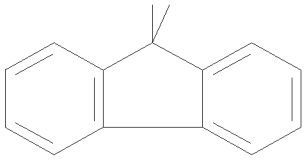


● Cl⁻

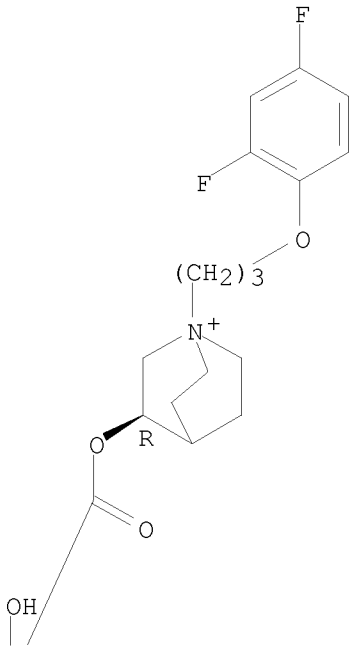
RN 320347-06-6 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-[3-(2,4-difluorophenoxy)propyl]-3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-, (3R)-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 320347-05-5
CMF C30 H30 F2 N O4

Absolute stereochemistry.

PAGE 1-A



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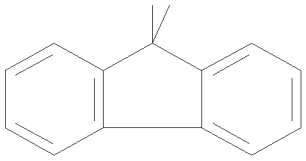
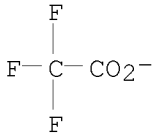


CM 2

CRN 14477-72-6
CMF C2 F3 O2

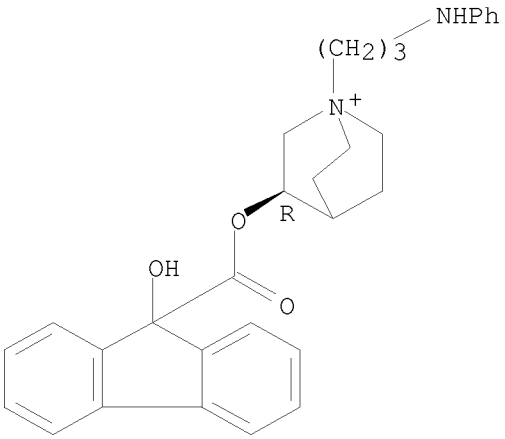


RN 320347-08-8 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-1-[3-(phenylamino)propyl]-, (3R)-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

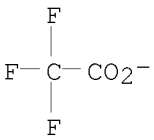
CRN 320347-07-7
CMF C30 H33 N2 O3

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2



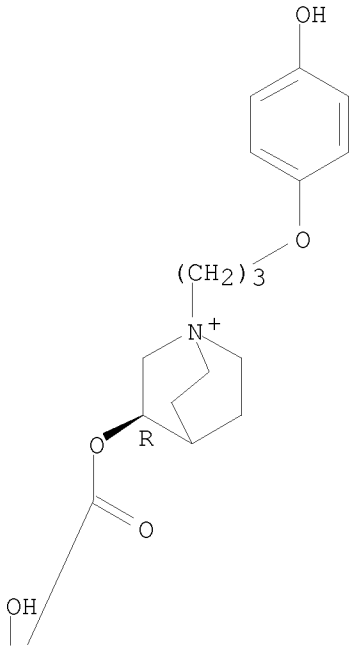
RN 320347-10-2 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-1-[3-(4-hydroxyphenoxy)propyl]-, (3R)-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 320347-09-9
CMF C30 H32 N O5

Absolute stereochemistry.

PAGE 1-A



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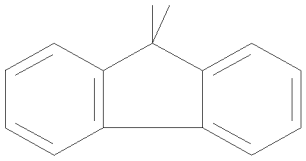

CM 2

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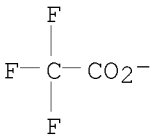
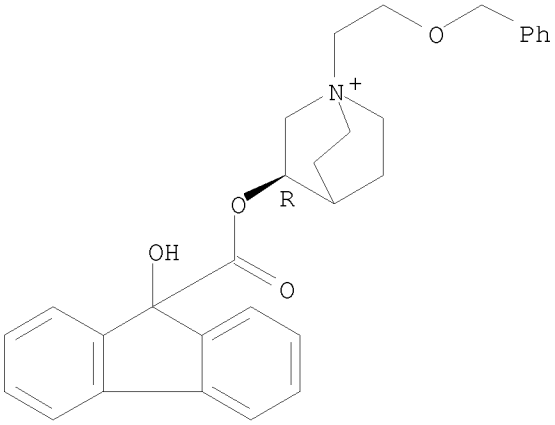
CMF C2 F3 O2



RN 320347-11-3 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-1-[2-(phenylmethoxy)ethyl]-, bromide (1:1), (3R)- (CA INDEX NAME)

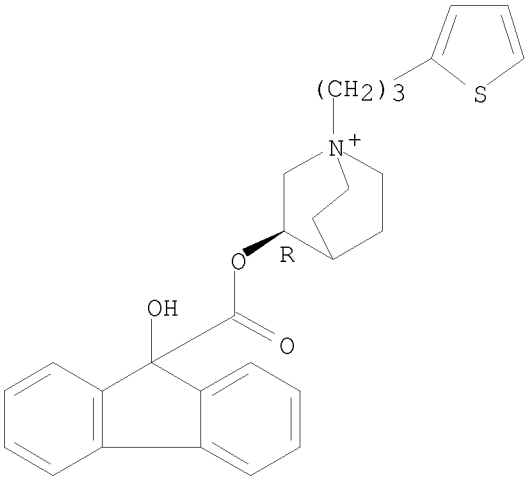
Absolute stereochemistry.



● Br^-

RN 320347-12-4 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-1-[3-(2-thienyl)propyl]-, bromide (1:1), (3R)- (CA INDEX NAME)

Absolute stereochemistry.



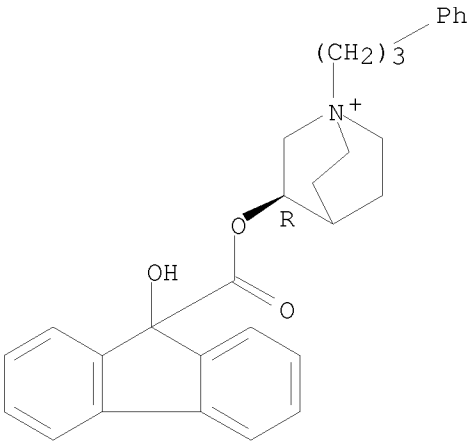
● Br^-

RN 320347-14-6 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-1-(3-phenylpropyl)-, (3R)-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

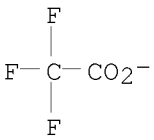
CRN 320347-13-5
CMF C30 H32 N O3

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

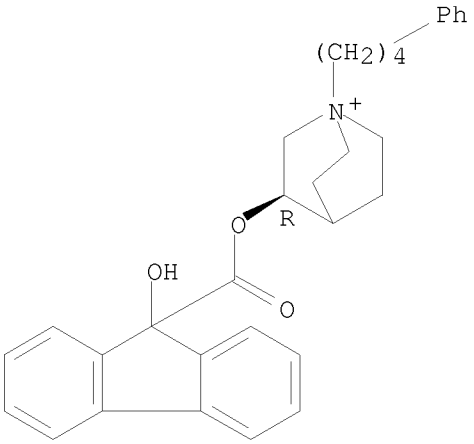


RN 320347-16-8 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-1-(4-phenylbutyl)-, (3R)-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

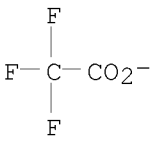
CRN 320347-15-7
CMF C31 H34 N O3

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

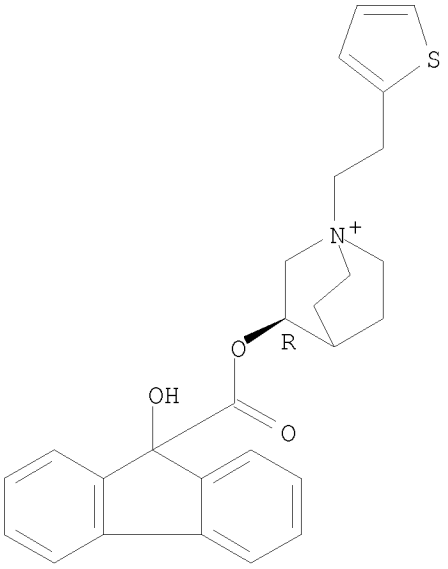


RN 320347-18-0 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-1-[2-(2-thienyl)ethyl]-, (3R)-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

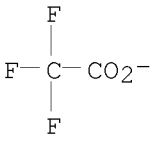
CRN 320347-17-9
CMF C27 H28 N O3 S

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

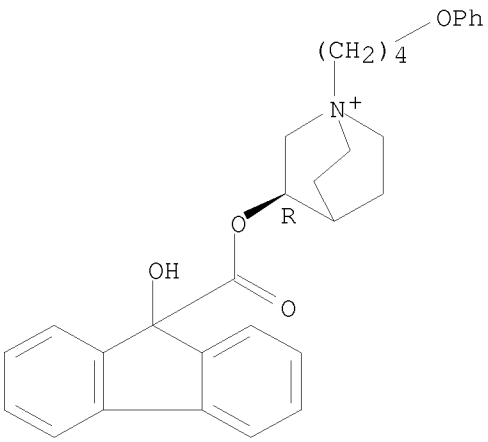


RN 320347-20-4 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-hydroxy-9H-fluoren-9-yl)carbonyl]oxy]-1-(4-phenoxybutyl)-, (3R)-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

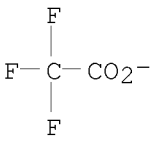
CRN 320347-19-1
CMF C31 H34 N O4

Absolute stereochemistry.



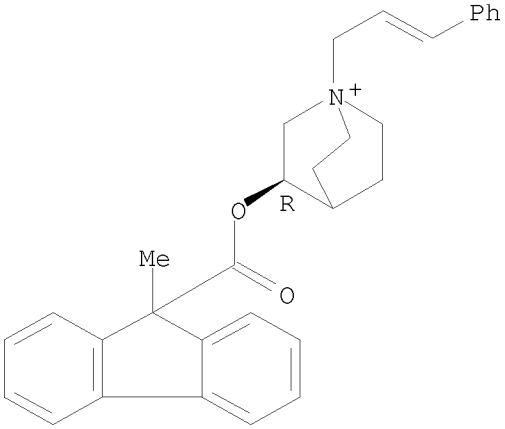
CM 2

CRN 14477-72-6
CMF C2 F3 O2



RN 320347-21-5 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-methyl-9H-fluoren-9-yl)carbonyl]oxy]-1-(3-phenyl-2-propen-1-yl)-, bromide (1:1), (3R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.

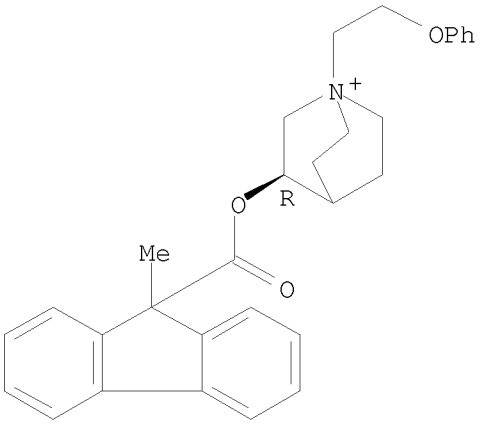


● Br−

RN 320347-22-6 CAPLUS

CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-methyl-9H-fluoren-9-yl)carbonyl]oxy]-1-(2-phenoxyethyl)-, bromide (1:1), (3R)- (CA INDEX NAME)

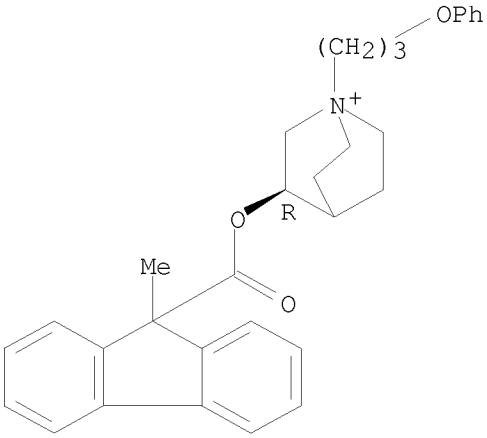
Absolute stereochemistry.



● Br^-

RN 320347-23-7 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-methyl-9H-fluoren-9-yl)carbonyl]oxy]-1-(3-phenoxypropyl)-, bromide (1:1), (3R)- (CA INDEX NAME)

Absolute stereochemistry.



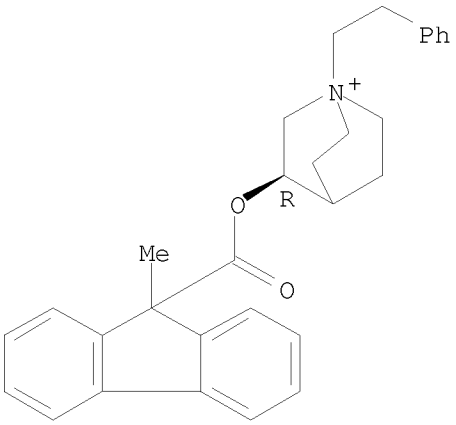
● Br^-

RN 320347-25-9 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-methyl-9H-fluoren-9-yl)carbonyl]oxy]-1-(2-phenylethyl)-, (3R)-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

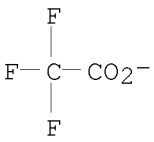
CRN 320347-24-8
CMF C30 H32 N O2

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

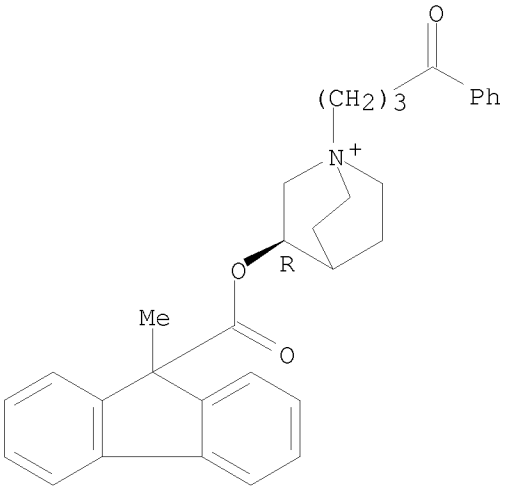


RN 320347-27-1 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-methyl-9H-fluoren-9-yl)carbonyl]oxy]-1-(4-oxo-4-phenylbutyl)-, (3R)-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

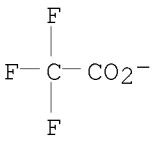
CRN 320347-26-0
CMF C32 H34 N O3

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2



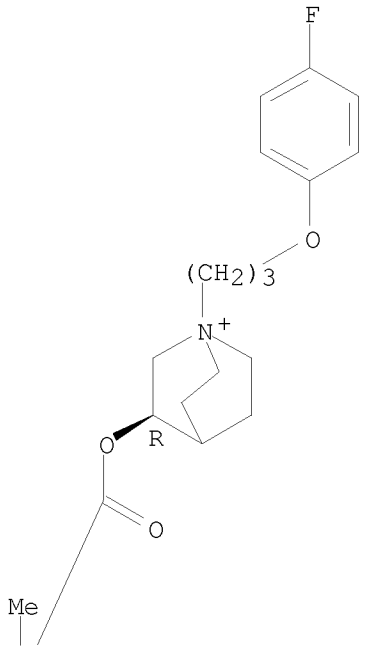
RN 320347-30-6 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-[3-(4-fluorophenoxy)propyl]-3-[[(9-methyl-9H-fluoren-9-yl)carbonyl]oxy]-, (3R)-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

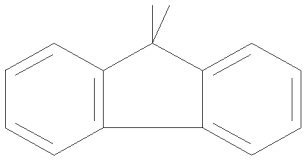
CRN 320347-29-3
CMF C31 H33 F N O3

Absolute stereochemistry.

PAGE 1-A

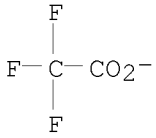


PAGE 2-A



CM 2

CRN 14477-72-6
CMF C2 F3 O2



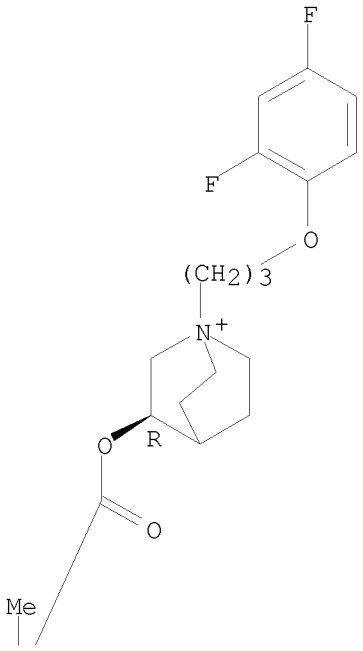
RN 320347-32-8 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-[3-(2,4-difluorophenoxy)propyl]-3-[[(9-methyl-9H-fluoren-9-yl)carbonyl]oxy]-, (3R)-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

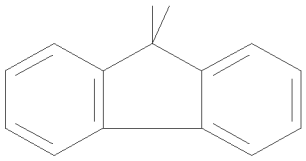
CRN 320347-31-7
CMF C31 H32 F2 N O3

Absolute stereochemistry.

PAGE 1-A

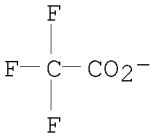


PAGE 2-A



CM 2

CRN 14477-72-6
CMF C2 F3 O2

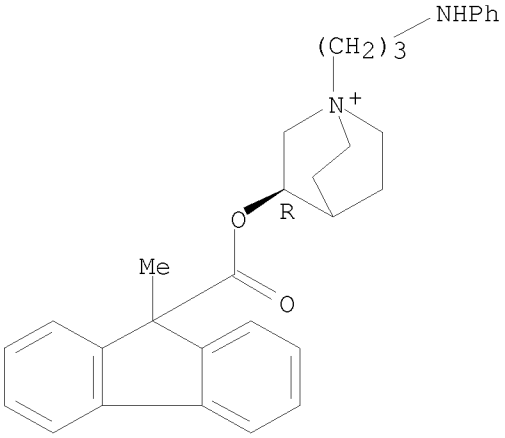


RN 320347-34-0 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-methyl-9H-fluoren-9-yl)carbonyl]oxy]-1-[3-(phenylamino)propyl]-, (3R)-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

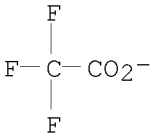
CRN 320347-33-9
CMF C31 H35 N2 O2

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2



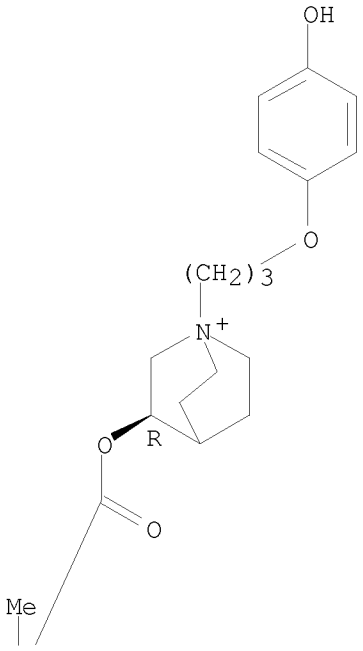
RN 320347-36-2 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 1-[3-(4-hydroxyphenoxy)propyl]-3-[[(9-methyl-9H-fluoren-9-yl)carbonyl]oxy]-, (3R)-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

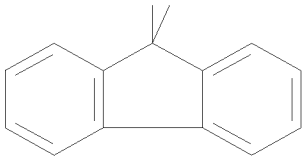
CRN 320347-35-1
CMF C31 H34 N O4

Absolute stereochemistry.

PAGE 1-A

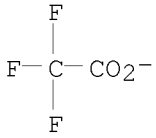


PAGE 2-A



CM 2

CRN 14477-72-6
CMF C2 F3 O2

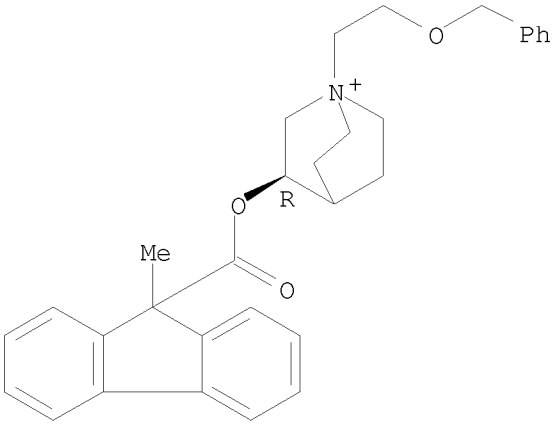


RN 320347-38-4 CAPLUS
CN 1-Azoniabicyclo[2.2.2]octane, 3-[[(9-methyl-9H-fluoren-9-yl)carbonyl]oxy]-1-[2-(phenylmethoxy)ethyl]-, (3R)-, salt with trifluoroacetic acid (1:1) (9CI) (CA INDEX NAME)

CM 1

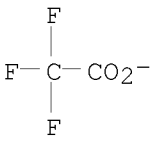
CRN 320347-37-3
CMF C31 H34 N O3

Absolute stereochemistry.



CM 2

CRN 14477-72-6
CMF C2 F3 O2

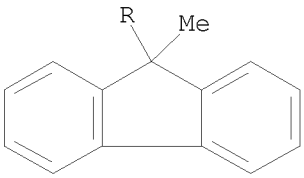


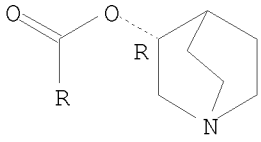
IT 320348-03-6P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel quinuclidine derivs. for use as antimuscarinic agents)
RN 320348-03-6 CAPLUS
CN 9H-Fluorene-9-carboxylic acid, 9-methyl-, (3R)-1-azabicyclo[2.2.2]oct-3-yl ester, ethanedioate (1:1) (CA INDEX NAME)

CM 1

CRN 320348-02-5
CMF C22 H23 N O2

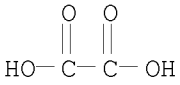
Absolute stereochemistry.





CM 2

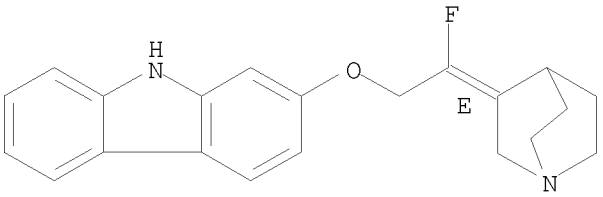
CRN 144-62-7
CMF C2 H2 O4



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 20 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:656121 CAPLUS
DOCUMENT NUMBER: 133:329358
TITLE: YM-53601, a novel squalene synthase inhibitor, reduces plasma cholesterol and triglyceride levels in several animal species
AUTHOR(S): Ugawa, Tohru; Kakuta, Hirotoshi; Moritani, Hiroshi; Matsuda, Koyo; Ishihara, Tsukasa; Yamaguchi, Motoko; Naganuma, Shin; Iizumi, Yuichi; Shikama, Hisataka
CORPORATE SOURCE: Cardiovascular Diseases Research, Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co., Ltd., Tsukuba, 305-8585, Japan
SOURCE: British Journal of Pharmacology (2000), 131(1), 63-70
CODEN: BJPCBM; ISSN: 0007-1188
PUBLISHER: Nature Publishing Group
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The aim of this study was to evaluate the potency of YM-53601 ((E)-2-[2-fluoro-2-(quinuclidin-3-ylidene) ethoxy]-9H-carbazole monohydrochloride), a new inhibitor of squalene synthase, in reducing both plasma cholesterol and triglyceride levels, compared with 3-hydroxy-3-methylglutaryl CoA (HMG-CoA) reductase inhibitor and fibrates, resp. YM-53601 equally inhibited squalene synthase activities in hepatic microsomes prepared from several animal species and also suppressed cholesterol biosynthesis in rats (ED50, 32 mg kg-1). In guinea-pigs, YM-53601 and pravastatin reduced plasma nonHDL-C (=total cholesterol - high d. lipoprotein cholesterol) by 47% ($P < 0.001$) and 33% ($P < 0.001$), resp. (100 mg kg-1, daily for 14 days). In rhesus monkeys, YM-53601 decreased plasma nonHDL-C by 37% (50 mg kg-1, twice daily for 21 days, $P < 0.01$), whereas the HMG-CoA reductase inhibitor, pravastatin, failed to do (25 mg kg-1, twice daily for 28 days). YM-53601 caused plasma triglyceride reduction in hamsters fed a normal diet (81% decrease at 50 mg kg-1, daily for 5 days, $P < 0.001$). In hamsters fed a high-fat diet, the ability of YM-53601 to lower triglyceride (by 73%, $P < 0.001$) was superior to that of fenofibrate (by 53%, $P < 0.001$), the most potent fibrate (dosage of each drug: 100 mg kg-1, daily for 7 days). This is the first report that a squalene synthase inhibitor is superior to an HMG-CoA reductase inhibitor in lowering plasma nonHDL-C level in rhesus monkeys and is superior to a fibrate in significantly lowering plasma triglyceride level. YM-53601 may therefore prove useful in treating hypercholesterolemia and hypertriglyceridemia in humans.
IT 182959-33-7, YM 53601
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(YM-53601, a novel squalene synthase inhibitor, reduces plasma cholesterol and triglyceride levels in several animal species)
RN 182959-33-7 CAPLUS
CN 9H-Carbazole, 2-[(2E)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)-2-fluoroethoxy]-, hydrochloride (1:1) (CA INDEX NAME)

Double bond geometry as shown.



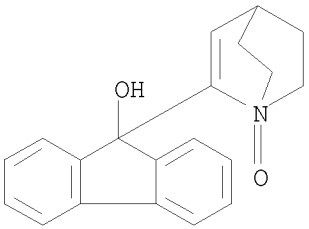
● HCl

REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 21 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:42199 CAPLUS
DOCUMENT NUMBER: 132:222426
TITLE: The synthesis and functionalization of quinuclidine enamine N-oxide and borane complex
AUTHOR(S): O'Neil, Ian A.; Wynn, Duncan; Lai, Justine Y. Q.
CORPORATE SOURCE: Department of Chemistry, University of Liverpool, Liverpool, L69 7ZD, UK
SOURCE: Tetrahedron Letters (1999), Volume Date 2000, 41(2), 271-274
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 132:222426
GI

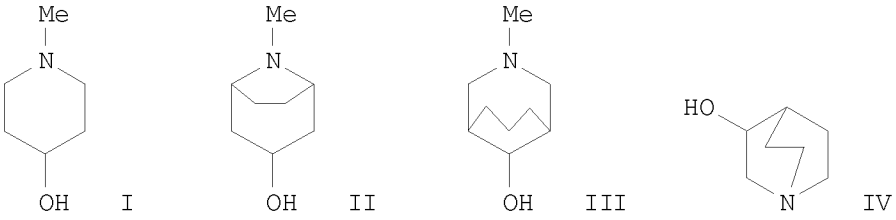
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The synthesis of quinuclidine enamine N-oxide and quinuclidine enamine borane complex is described. Selective deprotonation of the double bond with Me3CLi allows direct functionalization at the α-position with a range of electrophiles. E.g., treatment of 3-hydroxyquinuclidine with tosyl chloride in the presence of Et3N gives 3-quinuclidinol tosylate (I) in 83-90% yield; treatment of I with m-chloroperbenzoic acid gives the quinuclidinol tosylate N-oxide II in 76% yield which undergoes elimination with Me3COK in THF to give the enamide N-oxide III in 80% yield. E.g., treatment of I with borane gives the N-trihydridoborane quinuclidinol tosylate IV in 100% yield; IV undergoes elimination with Me3COK in THF to give the enamide N-borane complex V in 52% yield. E.g., treatment of III with Me3CLi in THF at -45° followed by addition of 9-fluorenone gives the quinuclidine VI regioselectively in 85% yield.
IT 260411-40-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of quinuclidine enamide N-oxide and borane complex derivs. by α-deprotonation followed by addition to carbonyl compds. and reaction with electrophiles)
RN 260411-40-3 CAPLUS
CN 9H-Fluoren-9-ol, 9-(1-oxido-1-azabicyclo[2.2.2]oct-2-en-2-yl)- (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 22 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:159472 CAPLUS
DOCUMENT NUMBER: 130:251985
TITLE: Stereochemistry of the heterocyclic alcohols containing piperidine unit
AUTHOR(S): Gao, Shou-Hai; Hu, Wen-Xiang; Yun, Liu-Hong
CORPORATE SOURCE: Institute of Pharmacology and Toxicology, Academy of Military Medical Sciences, Beijing, 100850, Peop. Rep. China
SOURCE: Gaodeng Xuexiao Huaxue Xuebao (1999), 20(2), 232-236
CODEN: KTHPDM; ISSN: 0251-0790
PUBLISHER: Gaodeng Jiaoyu Chubanshe
DOCUMENT TYPE: Journal
LANGUAGE: Chinese
GI

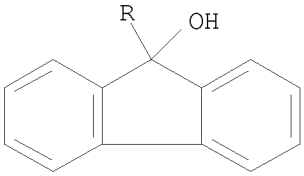


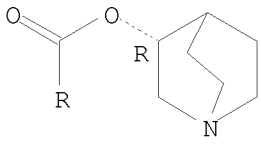
AB The stereochem. of the heterocyclic alcs. (1-4 = I-IV) containing piperidine unit was studied on the basis of the results of mol. mechanics and quantum chemical calcns. The results showed that there existed non-classical orbital super-conjugated interactions between the nitrogen atom and oxygen atom which caused the conformations to be more stable when the hydroxylic group lay at axial than at equatorial with respect to the piperidine ring in compound 1 and compound 3. If the axial hydrogen atoms at C2 and C6 positions in the piperidine ring were substituted, or the mol. existed in the polar solns., this non-classical orbital super-conjugated interactions would be much weaker. In this case, the conformations were more stable when the hydroxylic group was equatorial.

IT 221671-34-7 221671-42-7
RL: PRP (Properties)
(mol. mechanics and AM1 study of the conformation of heterocyclic piperidine alcs. and of piperidinyl hydroxycarboxylates)

RN 221671-34-7 CAPLUS
CN 9H-Fluorene-9-carboxylic acid, 9-hydroxy-, (3R)-1-azabicyclo[2.2.2]oct-3-yl ester (CA INDEX NAME)

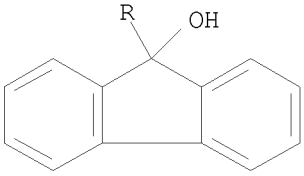
Absolute stereochemistry.

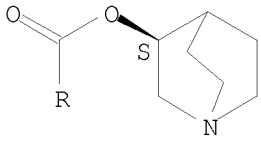




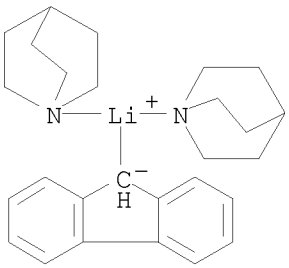
RN 221671-42-7 CAPLUS
CN 9H-Fluorene-9-carboxylic acid, 9-hydroxy-,
(3S)-1-azabicyclo[2.2.2]oct-3-yl ester (CA INDEX NAME)

Absolute stereochemistry.





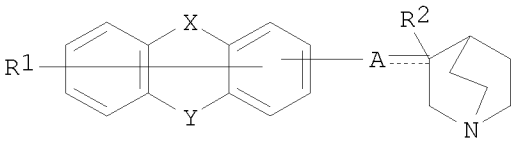
L3 ANSWER 23 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:705835 CAPLUS
DOCUMENT NUMBER: 126:31393
ORIGINAL REFERENCE NO.: 126:6389a,6392a
TITLE: Structural studies of fluorenyllithium complexes using 7Li solid-state NMR spectroscopy
AUTHOR(S): Johnels, Dan; Andersson, Anders; Boman, Arne; Edlund, Ulf
CORPORATE SOURCE: Dep. Organic Chem., Umea Univ., Umea, S901 87, Swed.
SOURCE: Magnetic Resonance in Chemistry (1996), 34(11), 908-912
CODEN: MRCHEG; ISSN: 0749-1581
PUBLISHER: Wiley
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The effect on the solid-state 7Li chemical shifts and quadrupolar coupling consts. of different locations of the Li cation relative to the carbanion framework of delocalized carbanions was studied. When the Li cation is situated above the conjugated system, the chemical shift is .apprx.-7 ppm as expected, and around -2 ppm otherwise. The quadrupolar coupling consts. is necessary to retrieve the correct structural information.
IT 125109-68-4
RL: PRP (Properties)
(structural studies using Li-7 chemical shift, quadrupolar coupling consts. and elec. field gradient of solid-state NMR of)
RN 125109-68-4 CAPLUS
CN Lithium, bis(1-azabicyclo[2.2.2]octane)-9H-fluoren-9-yl- (9CI) (CA INDEX NAME)



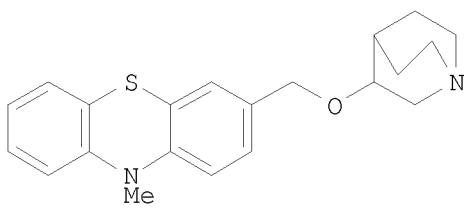
L3 ANSWER 24 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:664615 CAPLUS
DOCUMENT NUMBER: 125:301007
ORIGINAL REFERENCE NO.: 125:56343a,56346a
TITLE: Preparation of quinuclidine derivatives having tricyclic fused hetero rings as squalene synthase inhibitors
INVENTOR(S): Isaka, Masahiko; Ishihara, Tsukasa; Matsuda, Koyo; Kakuta, Hirotoshi; Moritani, Hiroshi
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 78 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9626938	A1	19960906	WO 1996-JP491		19960301
W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, FI, GE, HU, IS, JP, KE, KG, KR, KZ, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN					
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG					
CA 2213706	A1	19960906	CA 1996-2213706		19960301
AU 9648440	A	19960918	AU 1996-48440		19960301
AU 696626	B2	19980917			
EP 812840	A1	19971217	EP 1996-904296		19960301
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI					
CN 1177353	A	19980325	CN 1996-192277		19960301
HU 9801361	A2	19980928	HU 1998-1361		19960301
HU 9801361	A3	19981028			
US 5830902	A	19981103	US 1997-894549		19970821
PRIORITY APPLN. INFO.:			JP 1995-43325	A	19950302
			JP 1995-125050	A	19950524
			WO 1996-JP491	W	19960301

OTHER SOURCE(S): MARPAT 125:301007
GI



I



II

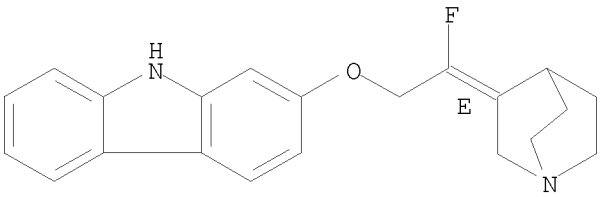
AB The title compds. I [R1 represents hydrogen, halogeno or lower alkyl; R2

represents hydrogen, hydroxy or lower alkoxy; the dotted line represents a single bond or a double bond, provided that R2 is absent when the dotted line indicates a double bond; X and Y are the same or different and each represents bond, oxygen , carbonyl , S(O)p or NR3, wherein p is 0, 1 or 2, and R3 represents hydrogen or optionally substituted lower alkyl; A represents saturated or unsatd. lower alkylene, etc.] are prepared The title compound II in vitro showed IC50 of 85 nM against squalene synthase.

IT 182959-28-0P 182959-33-7P 182959-40-6P
182959-44-0P 182959-46-2P 182959-50-8P
182959-54-2P 182959-60-0P 182959-67-7P
182959-72-4P 182959-79-1P 182959-85-9P
182959-90-6P 182961-13-3P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(quinuclidine derivs. having tricyclic fused hetero rings with squalene synthase inhibiting activity)

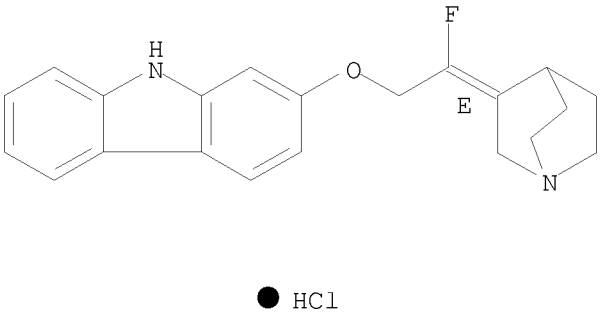
RN 182959-28-0 CAPLUS
CN 9H-Carbazole, 2-[2-(1-azabicyclo[2.2.2]oct-3-ylidene)-2-fluoroethoxy]-, (E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.



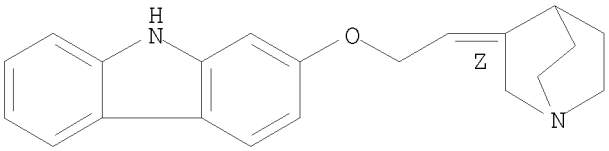
RN 182959-33-7 CAPLUS
CN 9H-Carbazole, 2-[(2E)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)-2-fluoroethoxy]-, hydrochloride (1:1) (CA INDEX NAME)

Double bond geometry as shown.



RN 182959-40-6 CAPLUS
CN 9H-Carbazole, 2-[(2Z)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

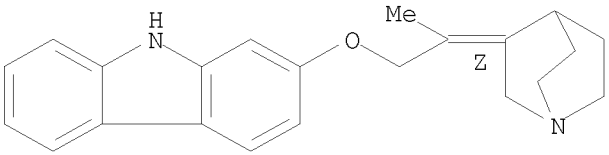
Double bond geometry as shown.



● HCl

RN 182959-44-0 CAPLUS
CN 9H-Carbazole, 2-[(2Z)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)propoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

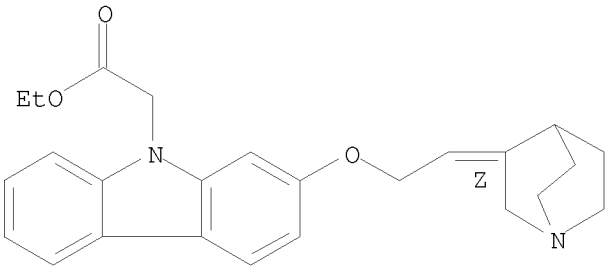
Double bond geometry as shown.



● HCl

RN 182959-46-2 CAPLUS
CN 9H-Carbazole-9-acetic acid, 2-[2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-, ethyl ester, monohydrochloride, (Z)- (9CI) (CA INDEX NAME)

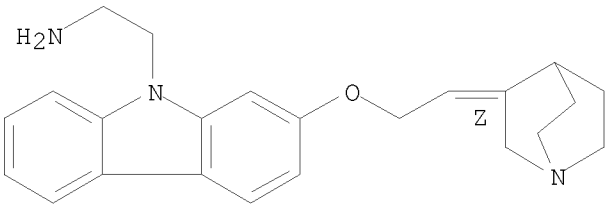
Double bond geometry as shown.



● HCl

RN 182959-50-8 CAPLUS
CN 9H-Carbazole-9-ethanamine, 2-[2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-, dihydrochloride, (Z)- (9CI) (CA INDEX NAME)

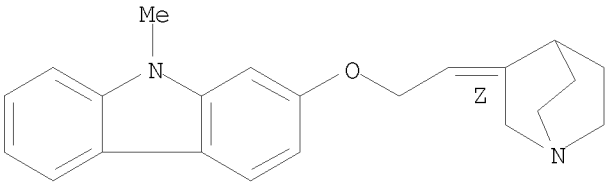
Double bond geometry as shown.



● 2 HCl

RN 182959-54-2 CAPLUS
CN 9H-Carbazole, 2-[(2Z)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-9-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

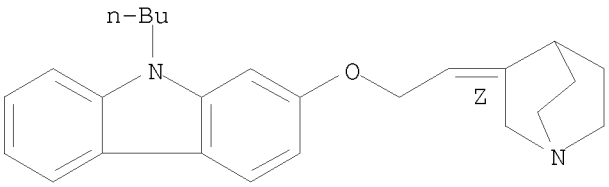
Double bond geometry as shown.



● HCl

RN 182959-60-0 CAPLUS
CN 9H-Carbazole, 2-[(2Z)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-9-butyl-, monohydrochloride (9CI) (CA INDEX NAME)

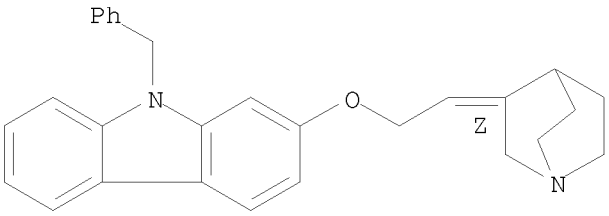
Double bond geometry as shown.



● HCl

RN 182959-67-7 CAPLUS
CN 9H-Carbazole, 2-[(2Z)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-9-(phenylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

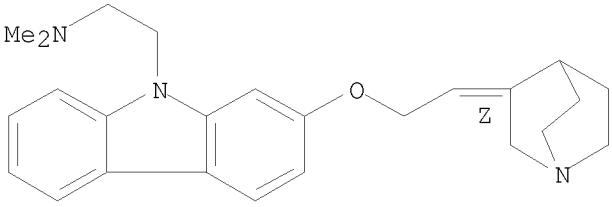
Double bond geometry as shown.



● HCl

RN 182959-72-4 CAPLUS
CN 9H-Carbazole-9-ethanamine, 2-[2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-N,N-dimethyl-, dihydrochloride, (Z)- (9CI) (CA INDEX NAME)

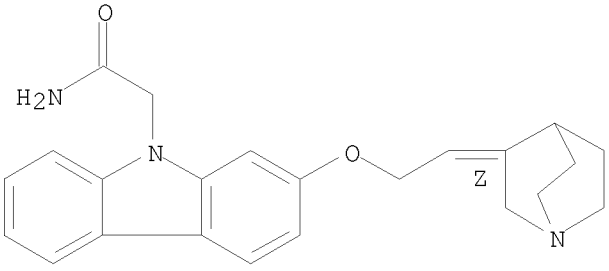
Double bond geometry as shown.



●2 HCl

RN 182959-79-1 CAPLUS
CN 9H-Carbazole-9-acetamide, 2-[(2Z)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-, monohydrochloride (9CI) (CA INDEX NAME)

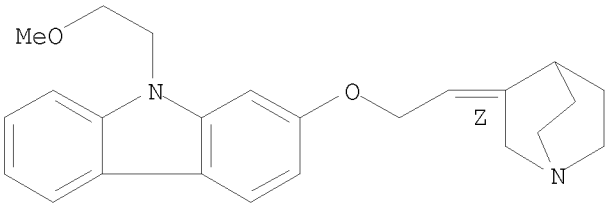
Double bond geometry as shown.



● HCl

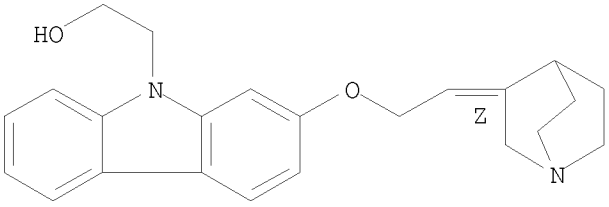
RN 182959-85-9 CAPLUS
CN 9H-Carbazole, 2-[(2Z)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-9-(2-methoxyethyl)- (CA INDEX NAME)

Double bond geometry as shown.



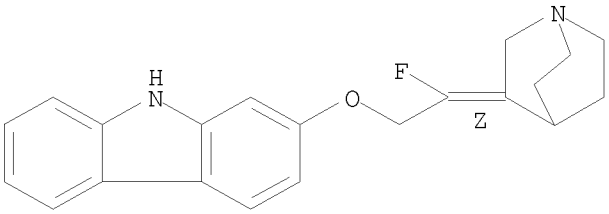
RN 182959-90-6 CAPLUS
CN 9H-Carbazole-9-ethanol, 2-[(2Z)-2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]- (CA INDEX NAME)

Double bond geometry as shown.



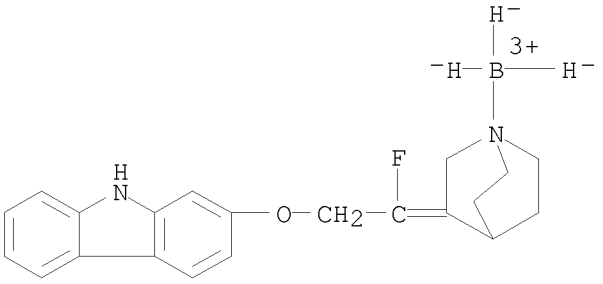
RN 182961-13-3 CAPLUS
CN 9H-Carbazole, 2-[2-(1-azabicyclo[2.2.2]oct-3-ylidene)-2-fluoroethoxy]-, monohydrochloride, (Z)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

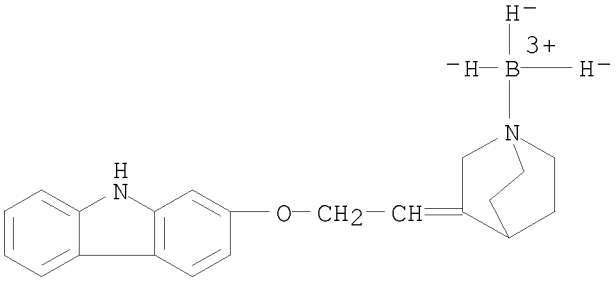


● HCl

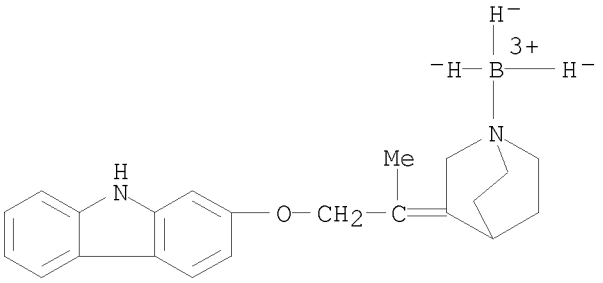
IT 182961-43-9P 182961-46-2P 182961-48-4P
182961-49-5P 182961-50-8P 182961-51-9P
182961-52-0P 183075-41-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(quinuclidine derivs. having tricyclic fused hetero rings with squalene synthase inhibiting activity)
RN 182961-43-9 CAPLUS
CN Boron, [2-[2-(1-azabicyclo[2.2.2]oct-3-ylidene)-2-fluoroethoxy]-9H-carbazole-N2]trihydro-, [T-4-(E)]- (9CI) (CA INDEX NAME)



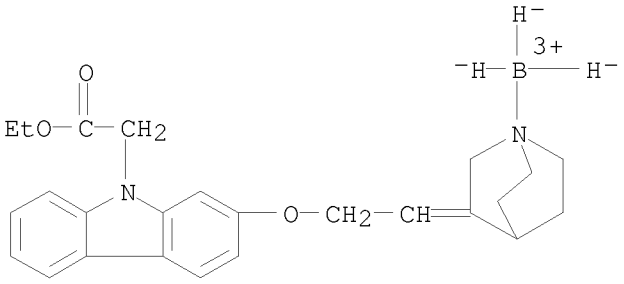
RN 182961-46-2 CAPLUS
CN Boron, [2-[2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-9H-carbazole-N2]trihydro-, [T-4-(Z)]- (9CI) (CA INDEX NAME)



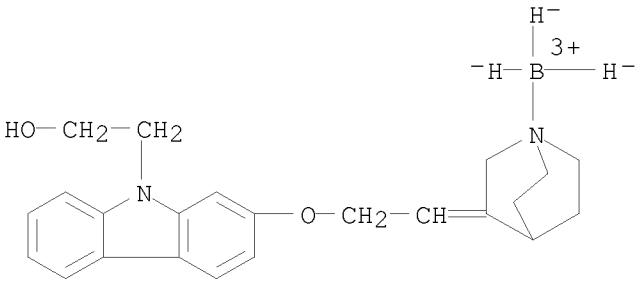
RN 182961-48-4 CAPLUS
CN Boron, [2-[2-(1-azabicyclo[2.2.2]oct-3-ylidene)propoxy]-9H-carbazole-N2]-, [T-4-(Z)]- (9CI) (CA INDEX NAME)



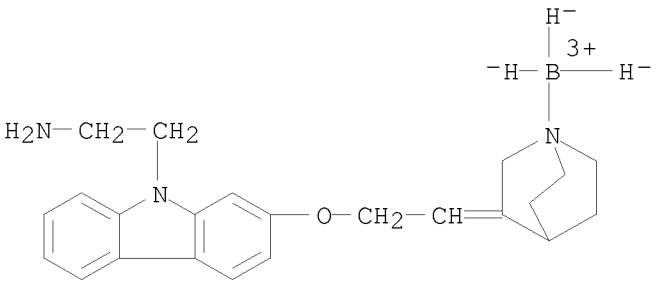
RN 182961-49-5 CAPLUS
CN Boron, [ethyl 2-[2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-9H-carbazole-9-acetate-N2]trihydro-, [T-4-(Z)]- (9CI) (CA INDEX NAME)



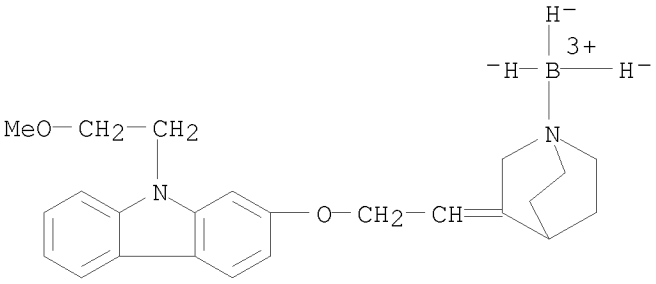
RN 182961-50-8 CAPLUS
CN Boron, [2-[2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-9H-carbazole-9-ethanol-N2]trihydro-, [T-4-(Z)]- (9CI) (CA INDEX NAME)



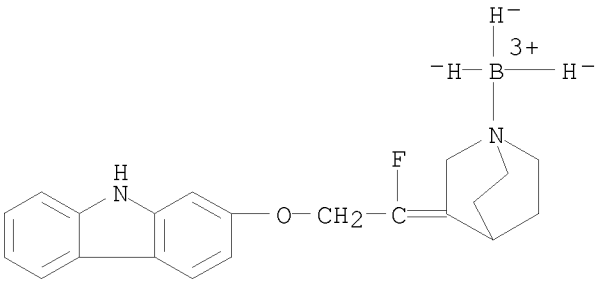
RN 182961-51-9 CAPLUS
CN Boron, [2-[2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-9H-carbazole-9-ethanamine-N2]trihydro-, [T-4-(Z)]- (9CI) (CA INDEX NAME)



RN 182961-52-0 CAPLUS
CN Boron, [2-[2-(1-azabicyclo[2.2.2]oct-3-ylidene)ethoxy]-9-(2-methoxyethyl)-9H-carbazole-N2]trihydro-, [T-4-(Z)]- (9CI) (CA INDEX NAME)



RN 183075-41-4 CAPLUS
CN Boron, [2-[2-(1-azabicyclo[2.2.2]oct-3-ylidene)-2-fluoroethoxy]-9H-carbazole-N2]trihydro-, [T-4-(Z)]- (9CI) (CA INDEX NAME)

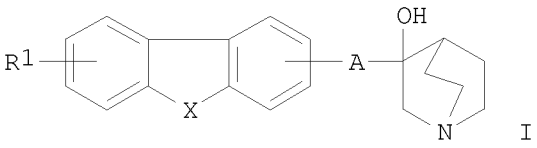


REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

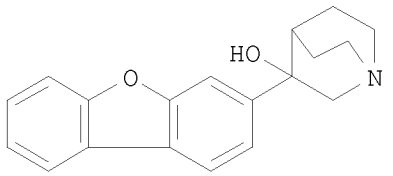
L3 ANSWER 25 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:509522 CAPLUS
DOCUMENT NUMBER: 125:167796
ORIGINAL REFERENCE NO.: 125:31441a
TITLE: Preparation of quinuclidine derivatives as squalene synthase inhibitors
INVENTOR(S): Isaka, Masahiko; Ishihara, Tsukasa; Kazuta, Kenichi; Suga, Akira; Matsuda, Mitsuaki; Tsunoda, Hirotoshi; Moritani, Hiroshi
PATENT ASSIGNEE(S): Yamanouchi Pharma Co Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 21 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 08134067	A	19960528	JP 1994-277884	19941111

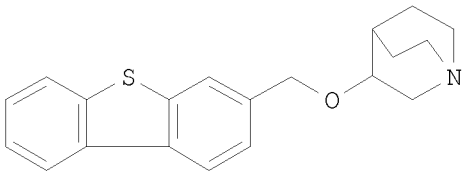
PRIORITY APPLN. INFO.: JP 1994-277884 19941111
OTHER SOURCE(S): MARPAT 125:167796
GI



I



II

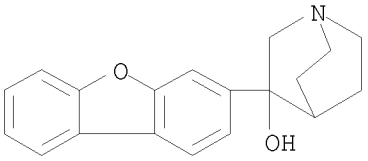


III

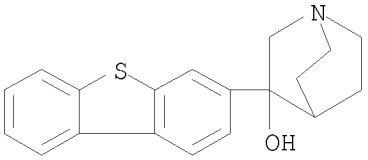
AB The title compds. [I; R1 = H, NO2, NH2, lower acylamino; X = CH2, CO, O, S, NH, lower alkylimino; A = single bond, (un)saturated C2-4 alkylene in which any one of C atoms optionally is replaced by O, S, NH, or lower alkylimino] or salts thereof, which are safe inhibitors of cholesterol biosynthesis and useful as antihyperlipidemics for preventing and treating arteriosclerosis, are prepared Thus, 3-bromoquinuclidine in THF was treated with BuLi in hexane at -78°, stirred for 3 h, treated with a solution of 3-quinuclidine in THF, and stirred at -78° for 20 min and at 0° for 10 min to give dibenzofuranylquinuclidinol (II) (44%). A dibenzothiophenymethylquinuclidine derivative (III.HCl) showed IC50 of 7.6 + 10-8 M against rat squalene synthase.
IT 180154-59-0P 180154-61-4P 180154-63-6P

180154-64-7P 180154-66-9P 180154-69-2P
180154-71-6P 180154-72-7P 180154-74-9P
180154-75-0P 180154-77-2P 180154-80-7P
180154-82-9P 180154-83-0P 180154-84-1P
180154-86-3P 180154-87-4P 180154-90-9P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of quinuclidine derivs. as squalene synthase inhibitors, antihyperlipidemics, and antiarteriosclerotics)

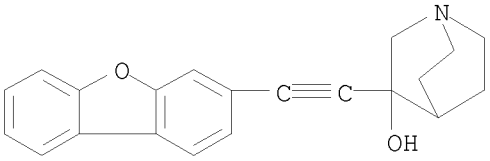
RN 180154-59-0 CAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-ol, 3-(3-dibenzofuranyl)- (CA INDEX NAME)



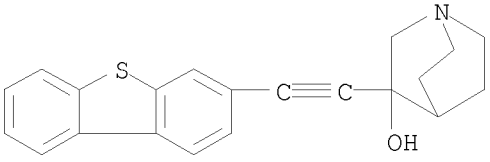
RN 180154-61-4 CAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-ol, 3-(3-dibenzothienyl)- (CA INDEX NAME)



RN 180154-63-6 CAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-ol, 3-[2-(3-dibenzofuranyl)ethynyl]- (CA INDEX NAME)

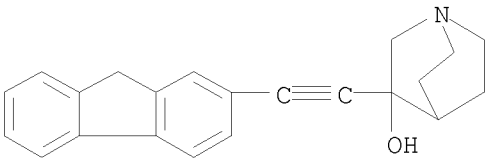


RN 180154-64-7 CAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-ol, 3-[2-(3-dibenzothienyl)ethynyl]- (CA INDEX NAME)

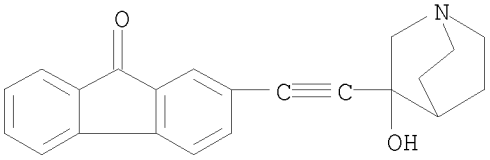


RN 180154-66-9 CAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-ol, 3-[2-(9H-fluoren-2-yl)ethynyl]- (CA INDEX

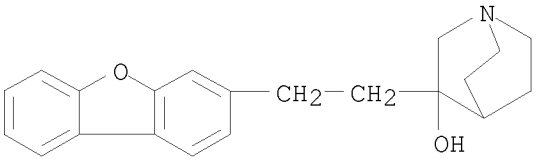
NAME)



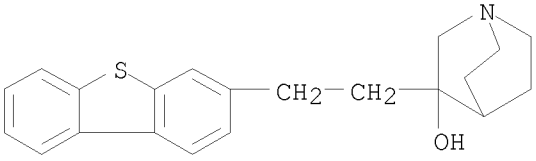
RN 180154-69-2 CAPLUS
CN 9H-Fluoren-9-one, 2-[2-(3-hydroxy-1-azabicyclo[2.2.2]oct-3-yl)ethynyl]- (CA INDEX NAME)



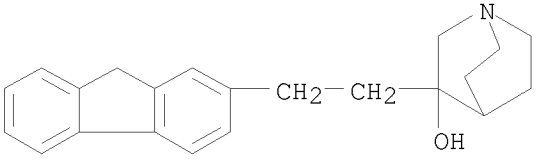
RN 180154-71-6 CAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-ol, 3-[2-(3-dibenzofuranyl)ethyl]- (CA INDEX NAME)



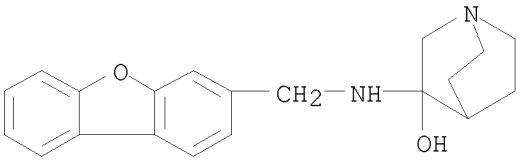
RN 180154-72-7 CAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-ol, 3-[2-(3-dibenzothienyl)ethyl]- (CA INDEX NAME)



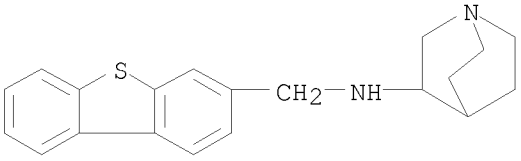
RN 180154-74-9 CAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-ol, 3-[2-(9H-fluoren-2-yl)ethyl]- (CA INDEX NAME)



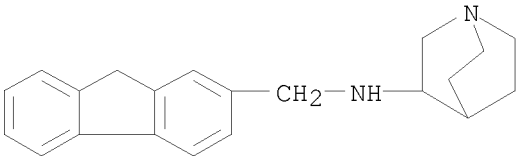
RN 180154-75-0 CAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-ol, 3-[(3-dibenzofuranylmethyl)amino]- (CA INDEX NAME)



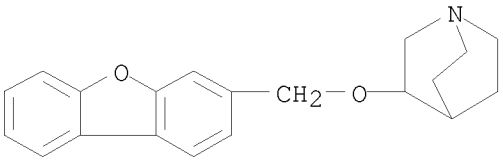
RN 180154-77-2 CAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-amine, N-(3-dibenzothienylmethyl)- (CA INDEX NAME)



RN 180154-80-7 CAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-amine, N-(9H-fluoren-2-ylmethyl)- (CA INDEX NAME)

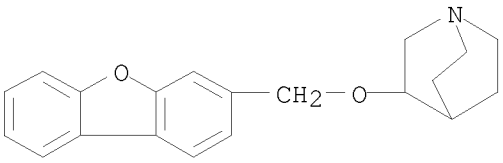


RN 180154-82-9 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-(3-dibenzofuranylmethoxy)-, hydrochloride (1:1) (CA INDEX NAME)

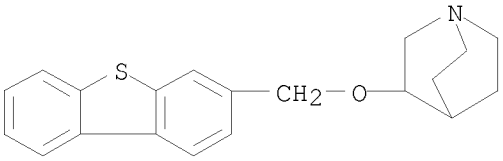


● HCl

RN 180154-83-0 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-(3-dibenzofuranylmethoxy)- (CA INDEX NAME)

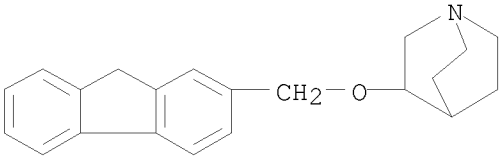


RN 180154-84-1 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-(3-dibenzothienylmethoxy)-, hydrochloride (1:1) (CA INDEX NAME)



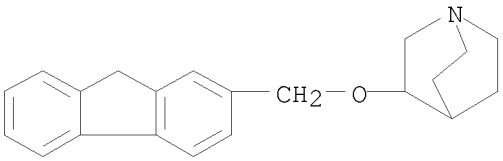
● HCl

RN 180154-86-3 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-(9H-fluoren-2-ylmethoxy)-, hydrochloride (1:1) (CA INDEX NAME)

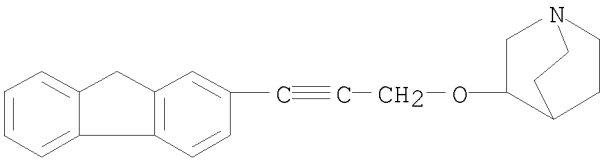


● HCl

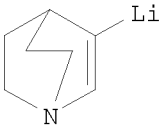
RN 180154-87-4 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-(9H-fluoren-2-ylmethoxy)- (CA INDEX NAME)



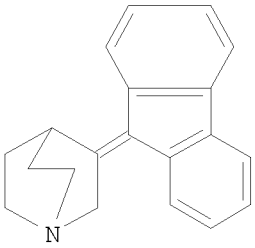
RN 180154-90-9 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-[[3-(9H-fluoren-2-yl)-2-propyn-1-yl]oxy]- (CA INDEX NAME)


N
C≡C—CH2—O

L3 ANSWER 26 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1995:301499 CAPLUS
DOCUMENT NUMBER: 122:132950
ORIGINAL REFERENCE NO.: 122:24791a,24794a
TITLE: 3-Lithioquinuclidin-2-ene: a novel intermediate for the synthesis of muscarinic agonists and antagonists
AUTHOR(S): Nordvall, Gunnar; Sundquist, Staffan; Nilvebrant, Lisbeth; Hacksell, Uli
CORPORATE SOURCE: Department of Organic Pharmaceutical Chemistry, Uppsala Univ., Uppsala, S-751 23, Swed.
SOURCE: Bioorganic & Medicinal Chemistry Letters (1994), 4(24), 2837-40
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 122:132950
GI



I

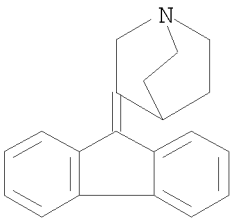


II

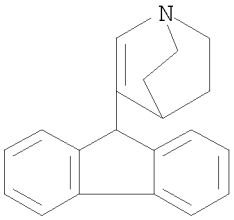
AB A method for the generation of 3-lithioquinuclidin-2-ene (I) as a nucleophilic intermediate for the synthesis of 3-substituted quinuclidin-2-enes is presented. The quinuclidine moiety is a mimic for the quaternary nitrogen in acetylcholine. An example compound is 3-(9H-fluoren-9-ylidene)-1-azabicyclo[2.2.2]octane (II).

IT 56490-07-4P, 3-(9H-Fluoren-9-ylidene)-1-azabicyclo[2.2.2]octane
160892-50-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation of quinuclidine derivs. muscarinic agonists and antagonists)

RN 56490-07-4 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-(9H-fluoren-9-ylidene)- (CA INDEX NAME)



RN 160892-50-2 CAPLUS
CN 1-Azabicyclo[2.2.2]oct-2-ene, 3-(9H-fluoren-9-yl)- (CA INDEX NAME)

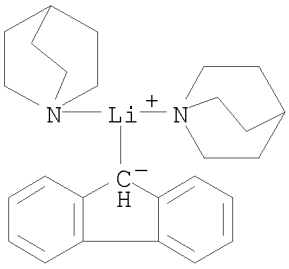

N

L3 ANSWER 27 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1990:98592 CAPLUS
DOCUMENT NUMBER: 112:98592
ORIGINAL REFERENCE NO.: 112:16779a,16782a
TITLE: Solid state carbon-13 NMR studies of lithium fluorenide complexes
AUTHOR(S): Johnels, Dan; Edlund, Ulf
CORPORATE SOURCE: Dep. Org. Chem., Univ. Umea, Umea, S-901 87, Swed.
SOURCE: Journal of the American Chemical Society (1990), 112(4), 1647-9
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English

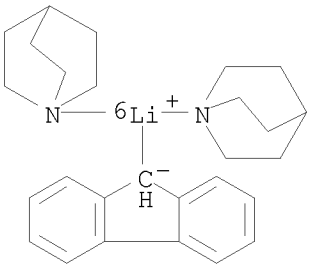
AB A 13C CP/MAS study of lithium fluorenide complexes shows that the lithium arrangement in the solid state is dependent on the ether or amine ligands used for complexation. As also suggested from earlier x-ray studies, the quinuclidine complex prefers an asym. structure. This is also the case for the di-Et ether complex while a sym. arrangement is proposed using N,N,N',N'-tetramethylenediamine or THF ligands.

IT 125109-68-4 125109-69-5
RL: RCT (Reactant); RACT (Reactant or reagent)
(carbon-13 cross polarization/magic angle spinning NMR of)

RN 125109-68-4 CAPLUS
CN Lithium, bis(1-azabicyclo[2.2.2]octane)-9H-fluoren-9-yl- (9CI) (CA INDEX NAME)



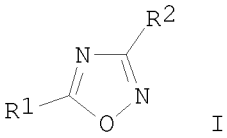
RN 125109-69-5 CAPLUS
CN Lithium-6Li, bis(1-azabicyclo[2.2.2]octane)-9H-fluoren-9-yl- (9CI) (CA INDEX NAME)



L3 ANSWER 28 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1989:407414 CAPLUS
DOCUMENT NUMBER: 111:7414
ORIGINAL REFERENCE NO.: 111:1423a,1426a
TITLE: Preparation of oxadiazoles as muscarinic agonist and CNS pro-drugs
INVENTOR(S): Baker, Raymond; Saunders, John; MacLeod, Angus Murray; Showell, Graham Andrew
PATENT ASSIGNEE(S): Merck Sharp and Dohme Ltd., UK
SOURCE: Eur. Pat. Appl., 24 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

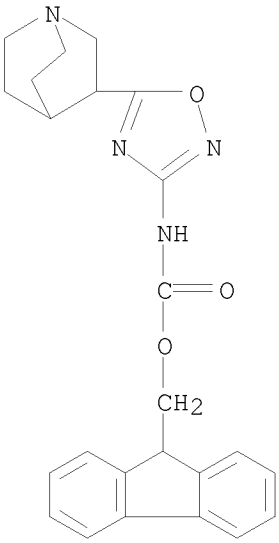
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 301729	A1	19890201	EP 1988-306388	19880713
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
IL 87106	A	19930708	IL 1988-87106	19880714
US 5242927	A	19930907	US 1988-220209	19880718
DK 8804075	A	19890407	DK 1988-4075	19880721
ZA 8805297	A	19890628	ZA 1988-5297	19880721
AU 8819739	A	19890127	AU 1988-19739	19880722
AU 613383	B2	19910801		
JP 01047775	A	19890222	JP 1988-181961	19880722
PRIORITY APPLN. INFO.:			GB 1987-17446 A	19870723
OTHER SOURCE(S):	MARPAT 111:7414			

GI

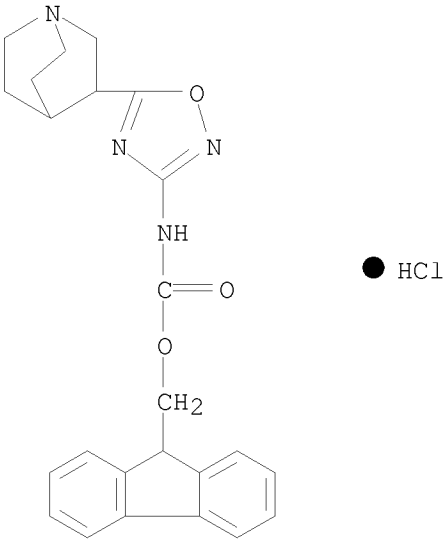


I

AB The title compds. (I; R1 = nonarom. azacyclyl or azabicyclyl; R2 = group convertible in vivo to an amino group), useful as CNS agents (no data), were prepared Octanoyl chloride was added to a mixture of 3-[5-(3-amino-1,2,4-oxadiazol)yl]quinuclidine and 4-dimethylaminopyridine in pyridine at 0° and the mixture was kept at 40° for 16 h to give 36% 3-[5-(3-octanoylamino-1,2,4-oxadiazol)yl]quinuclidine.
IT 121024-58-6P 121037-71-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as CNS agent)
RN 121024-58-6 CAPLUS
CN Carbamic acid, [5-(1-azabicyclo[2.2.2]oct-3-yl)-1,2,4-oxadiazol-3-yl]-, 9H-fluoren-9-ylmethyl ester (9CI) (CA INDEX NAME)



RN 121037-71-6 CAPLUS
CN Carbamic acid, [5-(1-azabicyclo[2.2.2]oct-3-yl)-1,2,4-oxadiazol-3-yl]-, 9H-fluoren-9-ylmethyl ester, monohydrochloride (9CI) (CA INDEX NAME)



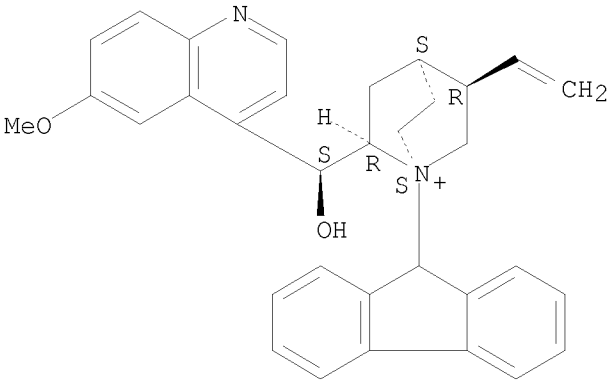
L3 ANSWER 29 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1987:575226 CAPLUS
DOCUMENT NUMBER: 107:175226
ORIGINAL REFERENCE NO.: 107:28111a,28114a
TITLE: Asymmetric epoxidation of cyclic enones under chiral phase transfer conditions
AUTHOR(S): Baba, Naomichi; Oda, Junichi; Kawaguchi, Mamoru
CORPORATE SOURCE: Inst. Chem. Res., Kyoto Univ., Uji, 611, Japan
SOURCE: Agricultural and Biological Chemistry (1986), 50(12), 3113-17
CODEN: ABCHA6; ISSN: 0002-1369
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Asym. epoxidn. of cyclic enones was performed with 9-alkylfluorenyl peroxides under two-phase conditions in the presence of novel phase transfer catalysts derived from cinchona alkaloids. The observed enantiomeric excess ranged between 30 .apprx.63%, from which it is shown that the fluorenyl group had a remarkable effect on the enhancement of enantioselectivity.

IT 110605-20-4
RL: CAT (Catalyst use); USES (Uses)
(phase transfer catalysts, for asym. epoxidn. of cyclic enones)

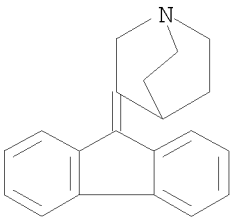
RN 110605-20-4 CAPLUS
CN Cinchonanium, 1-(9H-fluoren-9-yl)-9-hydroxy-6'-methoxy-, bromide, (9S)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

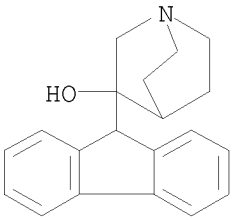


● Br^-

L3 ANSWER 30 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1975:541876 CAPLUS
DOCUMENT NUMBER: 83:141876
ORIGINAL REFERENCE NO.: 83:22233a,22236a
TITLE: Tricyclic quinuclidylidenes as potential antihistamine-bronchodilating agents
AUTHOR(S): Villani, Frank J.; Mann, Thomas A.; Wefer, Elizabeth A.
CORPORATE SOURCE: Dep. Med. Chem., Schering Corp., Bloomfield, NJ, USA
SOURCE: Journal of Medicinal Chemistry (1975), 18(7), 666-9
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 83:141876
GI For diagram(s), see printed CA Issue.
AB Of 9 title compds., prepared by dehydration of the carbinols formed by reductive alkylation of tricyclic ketones with 3-chloroquinuclidine [42332-45-6] or the reaction of tricyclic lithio derivs. with 3-quinuclidinone [3731-38-2], 4 had antihistamine activity in tests with guinea pigs. The most active compound (I) [56490-15-4], delayed the onset of dyspnea from histamine aerosol for 200 sec in 50% of test animals at an oral dose level of 620 μg/kg. Tests on isolated anaphylactic guinea pig lung showed that I acted only through an antihistaminic mechanism.
IT 56490-07-4P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation and antihistaminic activity of)
RN 56490-07-4 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-(9H-fluoren-9-ylidene)- (CA INDEX NAME)

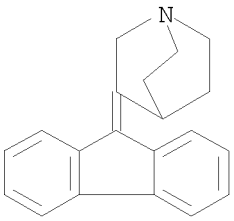


IT 56489-99-7P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and dehydration of)
RN 56489-99-7 CAPLUS
CN 1-Azabicyclo[2.2.2]octan-3-ol, 3-(9H-fluoren-9-yl)- (CA INDEX NAME)



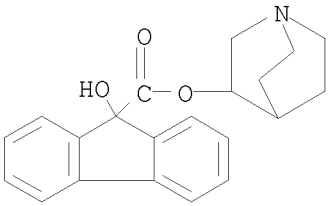
IT 56490-12-1P
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of)
RN 56490-12-1 CAPLUS
CN 1-Azabicyclo[2.2.2]octane, 3-(9H-fluoren-9-ylidene)-, hydrochloride (1:1)
(CA INDEX NAME)

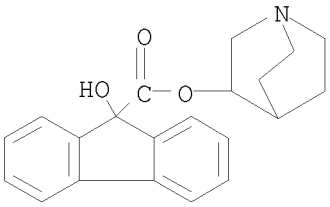


● HCl

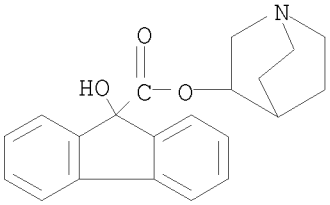
L3 ANSWER 31 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1974:504467 CAPLUS
DOCUMENT NUMBER: 81:104467
ORIGINAL REFERENCE NO.: 81:16515a,16518a
TITLE: Hydrogen bond condition in some anticholinergic esters of glycolic acids. I
AUTHOR(S): Larsson, Lennart; Wallensteen, Mana; Wallerberg, Gun; Oestman, Boerje
CORPORATE SOURCE: Div. Appl. Org. Chem., Res. Inst. Natl. Def., Sundbyberg, Swed.
SOURCE: Acta Pharmaceutica Suecica (1974), 11(3), 304-8
CODEN: APSXAS; ISSN: 0001-6675
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A correlation was not found between H bonding in 14 glycolates HOCRR1CO2R2 (e.g., R,R1 = Ph, thienyl, pyridyl; R2 = Me, Me2NCH2CH2, quinuclidinyl), determined by ir spectra, and their anticholinergic and psychotomimetic activity.
IT 29686-07-5
RL: PRP (Properties)
(ir spectrum of, relation between hydrogen bonding and biological activity of)
RN 29686-07-5 CAPLUS
CN 9H-Fluorene-9-carboxylic acid, 9-hydroxy-, 1-azabicyclo[2.2.2]oct-3-yl ester (CA INDEX NAME)



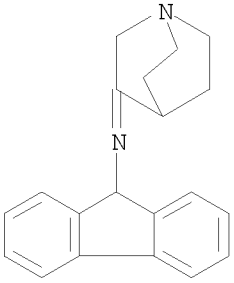
L3 ANSWER 32 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1973:461408 CAPLUS
DOCUMENT NUMBER: 79:61408
ORIGINAL REFERENCE NO.: 79:9847a,9850a
TITLE: Acid-base properties of atropine, scopolamine, and some glycolic acid esters
AUTHOR(S): Meyerhoffer, Anita; Wahlberg, Olof
CORPORATE SOURCE: Res. Inst. Natl. Def., Sundbyberg, Swed.
SOURCE: Acta Chemica Scandinavica (1947-1973) (1973), 27(3), 868-74
CODEN: ACSAA4; ISSN: 0001-5393
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Atropine (I) [51-55-8], scopolamine-HBr [114-49-8], and 9 other related anticholinergic compds. had pKa values of 8-10, as determined by emf titrns. in 0.1 M NaCl at 25.deg..
IT 29686-07-5
RL: BIOL (Biological study)
(acid-base properties of)
RN 29686-07-5 CAPLUS
CN 9H-Fluorene-9-carboxylic acid, 9-hydroxy-, 1-azabicyclo[2.2.2]oct-3-yl ester (CA INDEX NAME)



L3 ANSWER 33 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1970:475272 CAPLUS
DOCUMENT NUMBER: 73:75272
ORIGINAL REFERENCE NO.: 73:12305a,12308a
TITLE: Central and peripheral effects of anticholinergic compounds
AUTHOR(S): Albanus, Lennart
CORPORATE SOURCE: Div. Exptl. Def. Med., Res. Inst. Nat. Def., Stockholm, Swed.
SOURCE: Acta Pharmacologica et Toxicologica (1970), 28(4), 305-26
CODEN: APTOA6; ISSN: 0001-6683
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 3-Tropyl benzilate, 1-methyl-4-piperidyl benzilate, and 3-quinuclidinylcyclopentyl phenylglycolate, at 10 μg/kg, s.c., caused behavioral changes, especially in locomotion, similar to those induced by atropine and scopolamine in dogs. All compds. exhibited anticholinergic activity, the most effective one being 3-quinuclidinyl-2-thienyl phenylglycolate, which also had the most potent behavioral effect.
IT 29686-07-5
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (pharmacology of)
RN 29686-07-5 CAPLUS
CN 9H-Fluorene-9-carboxylic acid, 9-hydroxy-, 1-azabicyclo[2.2.2]oct-3-yl ester (CA INDEX NAME)



L3 ANSWER 34 OF 34 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1968:435886 CAPLUS
DOCUMENT NUMBER: 69:35886
ORIGINAL REFERENCE NO.: 69:6683a,6686a
TITLE: Some quinuclidine derivatives with potential antimalarial activity
AUTHOR(S): Nilsson, J. Lars G.; Wagermark, Jorgen; Dahlbom, Richard
CORPORATE SOURCE: Kungl. Farm. Inst., Stockholm, Swed.
SOURCE: Acta Pharmaceutica Suecica (1968), 5(2), 71-6
CODEN: APSXAS; ISSN: 0001-6675
DOCUMENT TYPE: Journal
LANGUAGE: English
GI For diagram(s), see printed CA Issue.
AB A series of carbamates and Schiff bases were prepared with a structural similarity to quinine. To 3.3 g. 3-quinuclidinol in 50 ml. dry PhMe was added 0.6 g. powdered Na and the mixture refluxed 2 hrs. to form the alcoholate. N,N-Diphenylcarbamoyl chloride (6 g.) dissolved in 25 ml. PhMe was then slowly added, and the mixture stirred and refluxed 1 hr. to yield 74% 3-quinuclidinyl N,N-diphenylcarbamate, m. 79-80°. The following I were similarly prepared (R, % yield, and m.p. given): phenothiazino, 85, 183-4°; N-ethylanilino, 44, 190-2°; indolino, 82, 125°. II were synthesized by the usual procedure (same data given): diphenylmethyl, 62, 108°; 9-fluorenyl, 35, 189-90°; cyclohexyl, 80, 75-6°. The carbamates showed strong anticholinergic activity both centrally and peripherally.
IT 18692-64-3P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 18692-64-3 CAPLUS
CN 9H-Fluoren-9-amine, N-1-azabicyclo[2.2.2]oct-3-ylidene- (CA INDEX NAME)



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COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                   201.76     387.86

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)          SINCE FILE      TOTAL
                                                      ENTRY    SESSION
CA SUBSCRIBER PRICE                                   -27.88     -27.88

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